

10/567,598

=> d his

(FILE 'HOME' ENTERED AT 14:40:20 ON 24 AUG 2010)

FILE 'REGISTRY' ENTERED AT 14:40:28 ON 24 AUG 2010

L1 STRUCTURE UPLOADED  
L2 13 S L1  
L3 828 S L1 SSS FUL  
L4 817 S L3 AND CAPLUS/LC  
L5 11 S L3 NOT L4

FILE 'CAPLUS' ENTERED AT 14:48:04 ON 24 AUG 2010

L6 315 S L3  
L7 ANALYZE L6 1- RN HIT : 817 TERMS

FILE 'REGISTRY' ENTERED AT 14:48:38 ON 24 AUG 2010

L8 1 S 22345-47-7/RN

FILE 'CAPLUS' ENTERED AT 14:49:11 ON 24 AUG 2010

FILE 'REGISTRY' ENTERED AT 14:49:37 ON 24 AUG 2010

L9 1100 S 82059?/RN  
L10 2 S L3 AND L9  
L11 STRUCTURE UPLOADED  
L12 206 S L11 SUB=L3 FUL  
L13 622 S L3 NOT L12  
L14 620 S L13 AND CAPLUS/LC  
L15 2 S L13 NOT L14

FILE 'CAPLUS' ENTERED AT 14:56:16 ON 24 AUG 2010

L16 74 S L13  
L17 ANALYZE L16 1- RN HIT : 620 TERMS

FILE 'REGISTRY' ENTERED AT 14:56:46 ON 24 AUG 2010

L18 1 S 82230-53-3/RN  
L19 1 S 102771-12-0/RN  
L20 620 S L13 NOT (L18 OR L19)

FILE 'CAPLUS' ENTERED AT 14:57:56 ON 24 AUG 2010

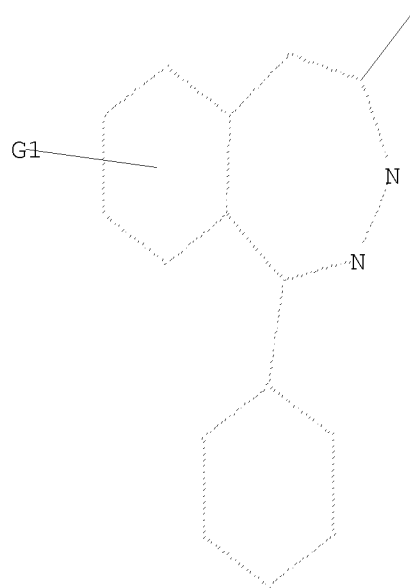
L21 42 S L20  
L22 40 S L21 NOT (2010/SO OR 2009/SO OR 2008/SO)

=> d l1

L1 HAS NO ANSWERS

L1 STR

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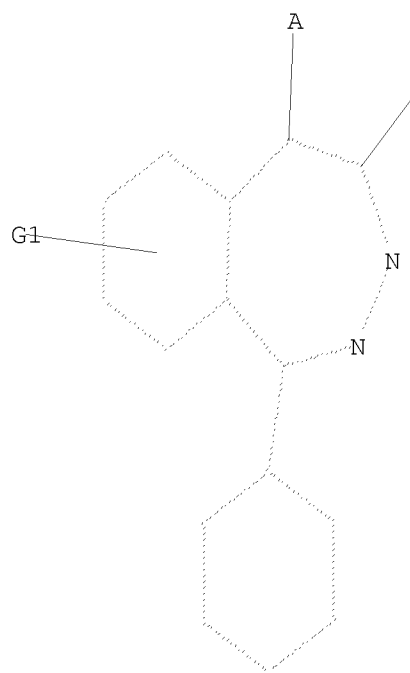


G1 O,X

Structure attributes must be viewed using STN Express query preparation.

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L11 HAS NO ANSWERS
L11          STR
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10/567,598



G1 O,X

Structure attributes must be viewed using STN Express query preparation.

=> d ibib abs hitstr total

L22 ANSWER 1 OF 40 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2008:1248902 CAPLUS

DOCUMENT NUMBER: 149:471513

TITLE: 2,3-Benzodiazepine derivatives as adenosine transporter inhibitors and their preparation, pharmaceutical compositions and use in the treatment of psychotic diseases

INVENTOR(S): Csuzdi, Emese; Solyom, Sandor; Berzsenyi, Pal; Andrasi, Ferenc; Sziraki, Istvan; Horvath, Katalin; Nagy, Zoltan

PATENT ASSIGNEE(S): Teva Pharmaceutical Industries Ltd., Israel; Teva Pharmaceuticals USA, Inc.

SOURCE: PCT Int. Appl., 119pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008124075	A1	20081016	WO 2008-US4420	20080402
W:	AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
AR 65903	A1	20090708	AR 2008-101355	20080401
US 20080269202	A1	20081030	US 2008-80418	20080402
PRIORITY APPLN. INFO.:			US 2007-921532P	P 20070402
			US 2007-936631P	P 20070620

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 149:471513

GI

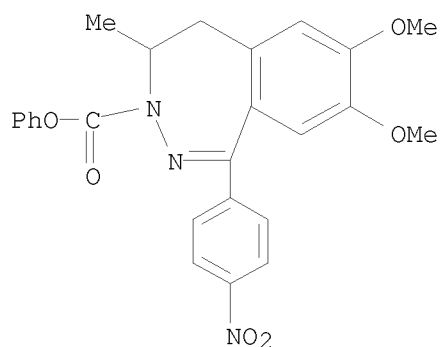
\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

AB Disclosed are 2,3-benzodiazepines of formula I, which are useful in treating psychotic disorders. Compds. of formula I wherein R3 is (un)substituted 5- to 6-membered heterocyclic ring, aminocarbonyl and derivs., aminothiocarbonyl and derivs., C1-4 alkoxycarbonyl and phenoxy carbonyl; R4-R8 are independently H, halo, C1-3 alkyl, NO2 NH2 and derivs.; R9 and R10 are independently C1-3 alkoxy; and their stereoisomers and pharmaceutically acceptable salts thereof, are claimed. Example compound II was prepared by a general procedure (procedure given). All the invention compds. were evaluated for their adenosine transporter inhibitory activity. From the assay, it was determined that II exhibited the

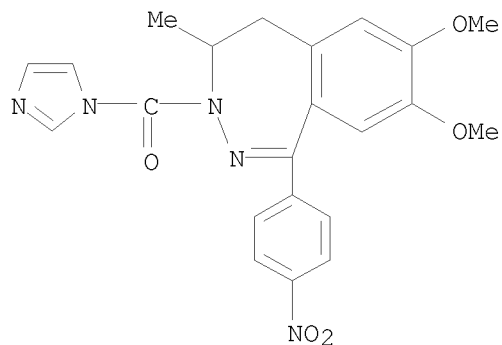
10/567,598

inhibition of 96%.

IT 1071132-36-9P 1071132-40-5P 1071132-44-9P  
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
(drug candidate and intermediate; preparation of benzodiazepine derivs. as adenosine transporter inhibitors useful in the treatment of psychotic diseases)  
RN 1071132-36-9 CAPLUS  
CN 3H-2,3-Benzodiazepine-3-carboxylic acid,  
4,5-dihydro-7,8-dimethoxy-4-methyl-1-(4-nitrophenyl)-, phenyl ester (CA INDEX NAME)

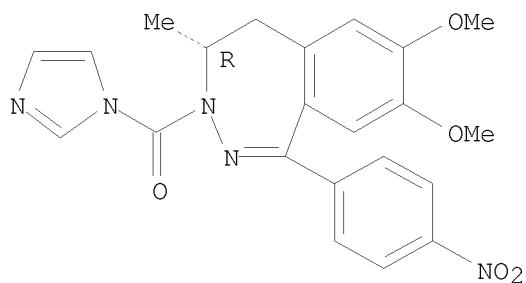


RN 1071132-40-5 CAPLUS  
CN Methanone, [4,5-dihydro-7,8-dimethoxy-4-methyl-1-(4-nitrophenyl)-3H-2,3-benzodiazepin-3-yl]-1H-imidazol-1-yl- (CA INDEX NAME)



RN 1071132-44-9 CAPLUS  
CN Methanone, [(4R)-4,5-dihydro-7,8-dimethoxy-4-methyl-1-(4-nitrophenyl)-3H-2,3-benzodiazepin-3-yl]-1H-imidazol-1-yl- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



IT	1071130-26-1P	1071130-29-4P	1071130-33-0P
	1071130-37-4P	1071130-41-0P	1071130-44-3P
	1071130-48-7P	1071130-52-3P	1071130-55-6P
	1071130-68-1P	1071130-76-1P	1071130-79-4P
	1071130-83-0P	1071130-87-4P	1071130-90-9P
	1071130-93-2P	1071130-96-5P	1071131-00-4P
	1071131-02-6P	1071131-13-9P	1071131-18-4P
	1071131-24-2P	1071131-29-7P	1071131-31-1P
	1071131-34-4P	1071131-39-9P	1071131-41-3P
	1071131-45-7P	1071131-55-9P	1071131-59-3P
	1071131-62-8P	1071131-65-1P	1071131-68-4P
	1071131-70-8P	1071131-72-0P	1071131-74-2P
	1071131-76-4P	1071131-80-0P	1071131-84-4P
	1071132-06-3P	1071132-08-5P	1071132-11-0P
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	1071132-51-8P	1071132-55-2P	1071132-60-9P
	1071132-63-2P	1071132-65-4P	1071132-69-8P
	1071132-72-3P	1071132-74-5P	1071132-78-9P
	1071132-81-4P	1071132-85-8P	1071132-89-2P
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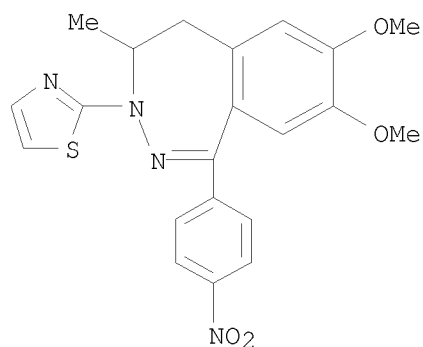
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(drug candidate; preparation of benzodiazepine derivs. as adenosine transporter inhibitors useful in the treatment of psychotic diseases)

RN 1071130-26-1 CAPLUS

CN 3H-2,3-Benzodiazepine, 4,5-dihydro-7,8-dimethoxy-4-methyl-1-(4-nitrophenyl)-3-(2-thiazolyl)- (CA INDEX NAME)

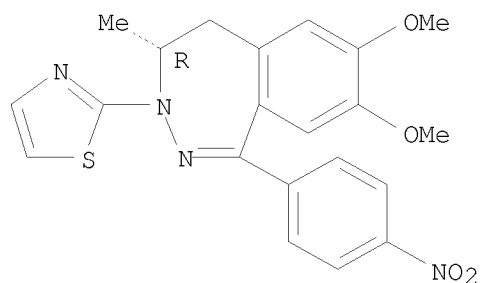
10/567,598



RN 1071130-29-4 CAPLUS

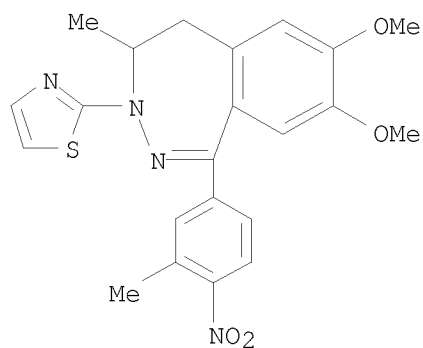
CN 3H-2,3-Benzodiazepine, 4,5-dihydro-7,8-dimethoxy-4-methyl-1-(4-nitrophenyl)-3-(2-thiazolyl)-, (4R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 1071130-33-0 CAPLUS

CN 3H-2,3-Benzodiazepine, 4,5-dihydro-7,8-dimethoxy-4-methyl-1-(3-methyl-4-nitrophenyl)-3-(2-thiazolyl)- (CA INDEX NAME)

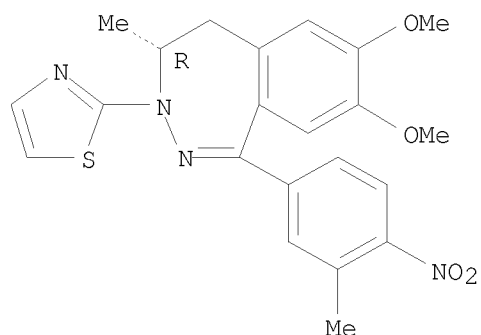


RN 1071130-37-4 CAPLUS

CN 3H-2,3-Benzodiazepine, 4,5-dihydro-7,8-dimethoxy-4-methyl-1-(3-methyl-4-nitrophenyl)-3-(2-thiazolyl)-, (4R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

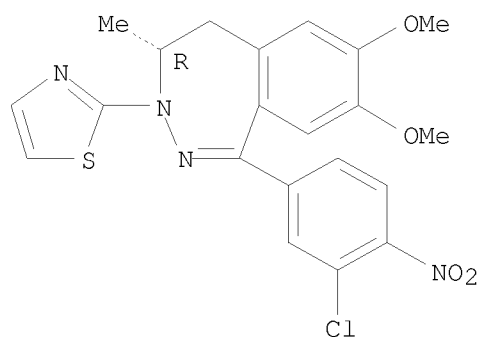
10/567,598



RN 1071130-41-0 CAPLUS

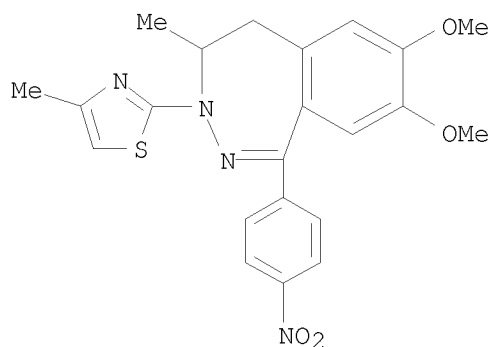
CN 3H-2,3-Benzodiazepine, 1-(3-chloro-4-nitrophenyl)-4,5-dihydro-7,8-dimethoxy-4-methyl-3-(2-thiazolyl)-, (4R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 1071130-44-3 CAPLUS

CN 3H-2,3-Benzodiazepine, 4,5-dihydro-7,8-dimethoxy-4-methyl-3-(4-methyl-2-thiazolyl)-1-(4-nitrophenyl)- (CA INDEX NAME)

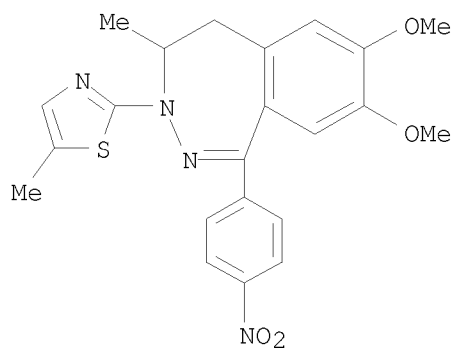


RN 1071130-48-7 CAPLUS

CN 3H-2,3-Benzodiazepine, 4,5-dihydro-7,8-dimethoxy-4-methyl-3-(5-methyl-2-thiazolyl)-1-(4-nitrophenyl)- (CA INDEX NAME)

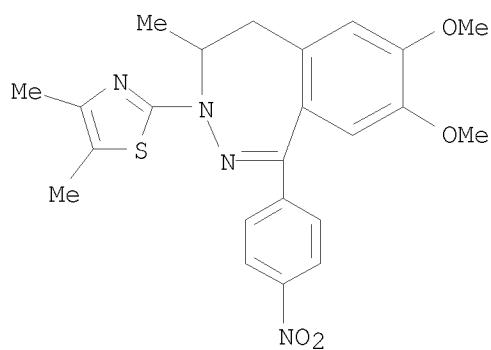


10/567,598



RN 1071130-52-3 CAPLUS

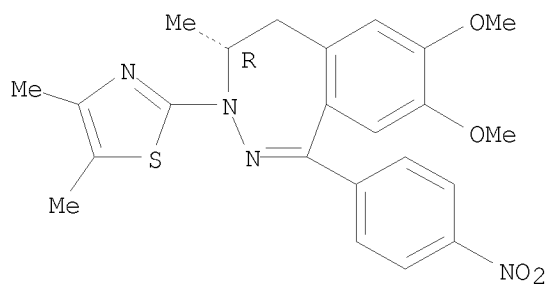
CN 3H-2,3-Benzodiazepine, 3-(4,5-dimethyl-2-thiazolyl)-4,5-dihydro-7,8-dimethoxy-4-methyl-1-(4-nitrophenyl)- (CA INDEX NAME)



RN 1071130-55-6 CAPLUS

CN 3H-2,3-Benzodiazepine, 3-(4,5-dimethyl-2-thiazolyl)-4,5-dihydro-7,8-dimethoxy-4-methyl-1-(4-nitrophenyl)-, (4R)- (CA INDEX NAME)

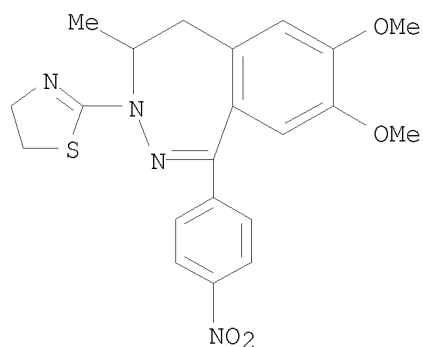
Absolute stereochemistry. Rotation (+).



RN 1071130-68-1 CAPLUS

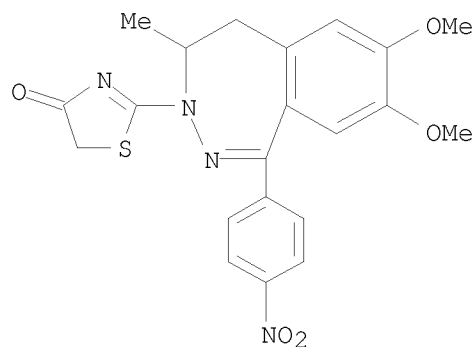
CN 3H-2,3-Benzodiazepine, 3-(4,5-dihydro-2-thiazolyl)-4,5-dihydro-7,8-dimethoxy-4-methyl-1-(4-nitrophenyl)- (CA INDEX NAME)

10/567,598



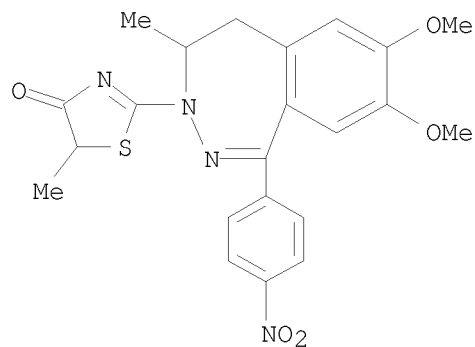
RN 1071130-76-1 CAPLUS

CN 4(5H)-Thiazolone, 2-[4,5-dihydro-7,8-dimethoxy-4-methyl-1-(4-nitrophenyl)-3H-2,3-benzodiazepin-3-yl]- (CA INDEX NAME)



RN 1071130-79-4 CAPLUS

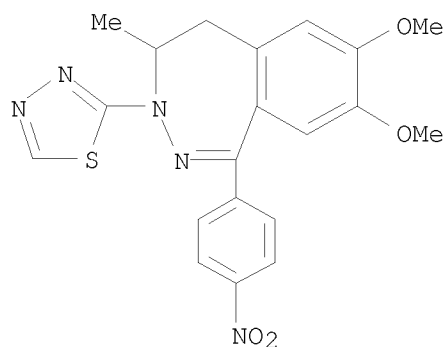
CN 4(5H)-Thiazolone, 2-[4,5-dihydro-7,8-dimethoxy-4-methyl-1-(4-nitrophenyl)-3H-2,3-benzodiazepin-3-yl]-5-methyl- (CA INDEX NAME)



RN 1071130-83-0 CAPLUS

CN 3H-2,3-Benzodiazepine, 4,5-dihydro-7,8-dimethoxy-4-methyl-1-(4-nitrophenyl)-3-(1,3,4-thiadiazol-2-yl)- (CA INDEX NAME)

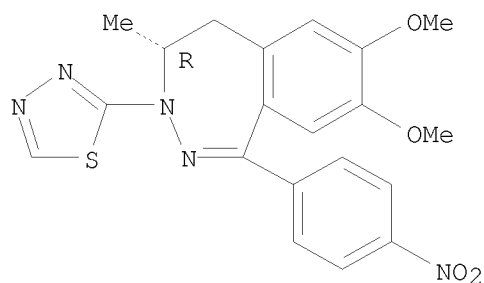
10/567,598



RN 1071130-87-4 CAPLUS

CN 3H-2,3-Benzodiazepine, 4,5-dihydro-7,8-dimethoxy-4-methyl-1-(4-nitrophenyl)-3-(1,3,4-thiadiazol-2-yl)-, (4R)- (CA INDEX NAME)

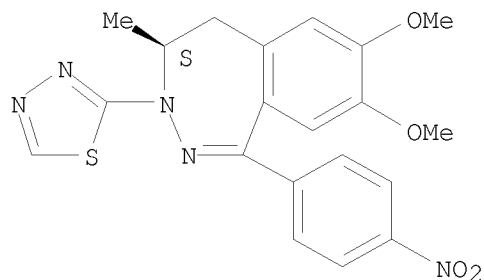
Absolute stereochemistry. Rotation (+).



RN 1071130-90-9 CAPLUS

CN 3H-2,3-Benzodiazepine, 4,5-dihydro-7,8-dimethoxy-4-methyl-1-(4-nitrophenyl)-3-(1,3,4-thiadiazol-2-yl)-, (4S)- (CA INDEX NAME)

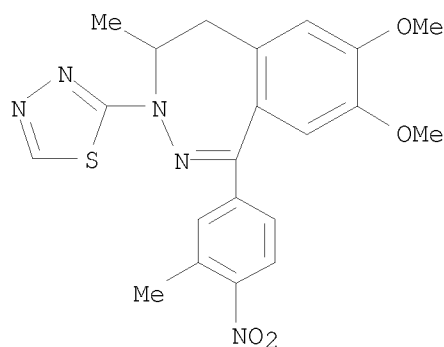
Absolute stereochemistry. Rotation (-).



RN 1071130-93-2 CAPLUS

CN 3H-2,3-Benzodiazepine, 4,5-dihydro-7,8-dimethoxy-4-methyl-1-(3-methyl-4-nitrophenyl)-3-(1,3,4-thiadiazol-2-yl)- (CA INDEX NAME)

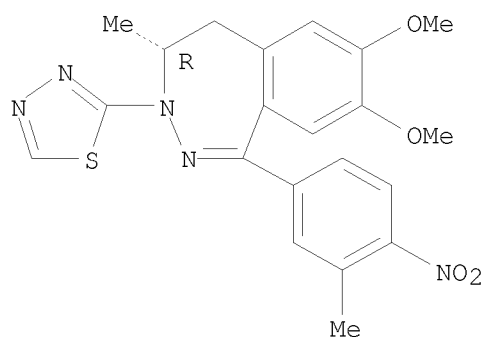
10/567,598



RN 1071130-96-5 CAPLUS

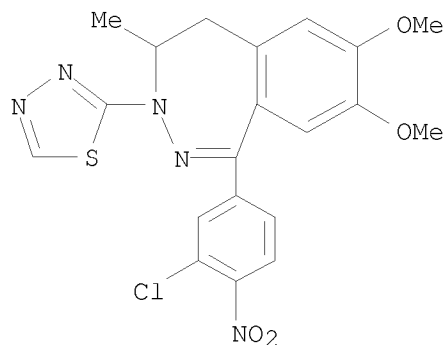
CN 3H-2,3-Benzodiazepine, 4,5-dihydro-7,8-dimethoxy-4-methyl-1-(3-methyl-4-nitrophenyl)-3-(1,3,4-thiadiazol-2-yl)-, (4R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 1071131-00-4 CAPLUS

CN 3H-2,3-Benzodiazepine, 1-(3-chloro-4-nitrophenyl)-4,5-dihydro-7,8-dimethoxy-4-methyl-3-(1,3,4-thiadiazol-2-yl)- (CA INDEX NAME)

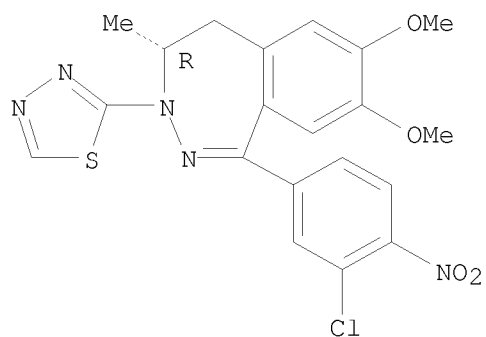


RN 1071131-02-6 CAPLUS

CN 3H-2,3-Benzodiazepine, 1-(3-chloro-4-nitrophenyl)-4,5-dihydro-7,8-dimethoxy-4-methyl-3-(1,3,4-thiadiazol-2-yl)-, (4R)- (CA INDEX NAME)

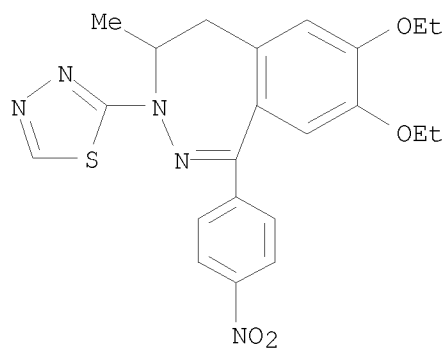
10/567,598

Absolute stereochemistry.



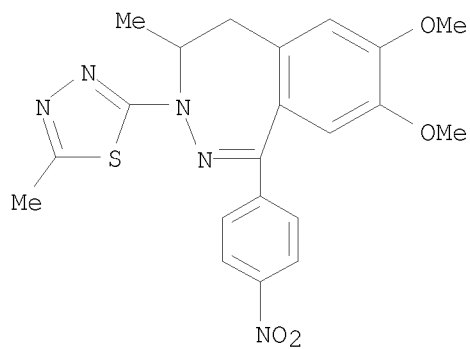
RN 1071131-13-9 CAPLUS

CN 3H-2,3-Benzodiazepine, 7,8-diethoxy-4,5-dihydro-4-methyl-1-(4-nitrophenyl)-3-(1,3,4-thiadiazol-2-yl)- (CA INDEX NAME)



RN 1071131-18-4 CAPLUS

CN 3H-2,3-Benzodiazepine, 4,5-dihydro-7,8-dimethoxy-4-methyl-3-(5-methyl-1,3,4-thiadiazol-2-yl)-1-(4-nitrophenyl)- (CA INDEX NAME)

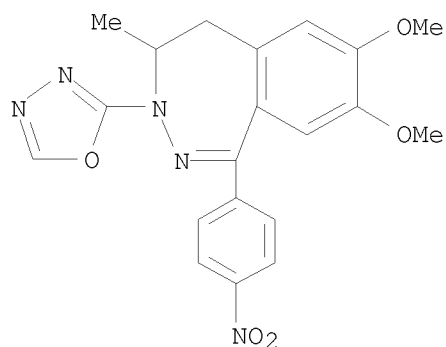


RN 1071131-24-2 CAPLUS

CN 3H-2,3-Benzodiazepine, 4,5-dihydro-7,8-dimethoxy-4-methyl-1-(4-

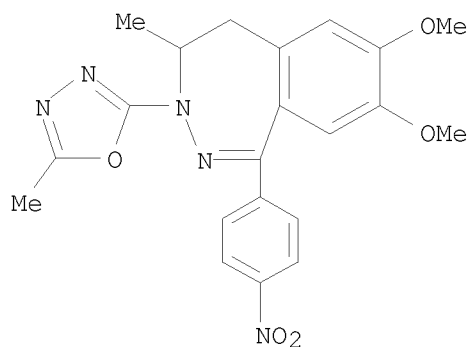
10/567,598

nitrophenyl)-3-(1,3,4-oxadiazol-2-yl)- (CA INDEX NAME)



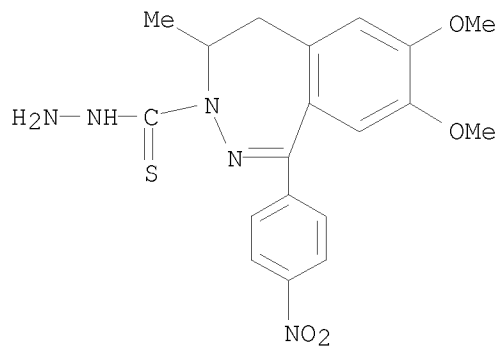
RN 1071131-29-7 CAPLUS

CN 3H-2,3-Benzodiazepine, 4,5-dihydro-7,8-dimethoxy-4-methyl-3-(5-methyl-1,3,4-oxadiazol-2-yl)-1-(4-nitrophenyl)- (CA INDEX NAME)



RN 1071131-31-1 CAPLUS

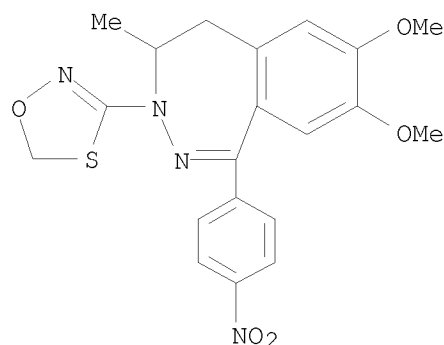
CN 3H-2,3-Benzodiazepine-3-carbothioic acid, 4,5-dihydro-7,8-dimethoxy-4-methyl-1-(4-nitrophenyl)-, hydrazide (CA INDEX NAME)



RN 1071131-34-4 CAPLUS

10/567,598

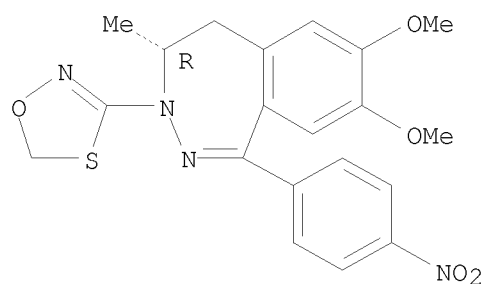
CN 3H-2,3-Benzodiazepine, 4,5-dihydro-7,8-dimethoxy-4-methyl-1-(4-nitrophenyl)-3-(1,4,2-oxathiazol-3-yl)- (CA INDEX NAME)



RN 1071131-39-9 CAPLUS

CN 3H-2,3-Benzodiazepine, 4,5-dihydro-7,8-dimethoxy-4-methyl-1-(4-nitrophenyl)-3-(1,4,2-oxathiazol-3-yl)-, (4R)- (CA INDEX NAME)

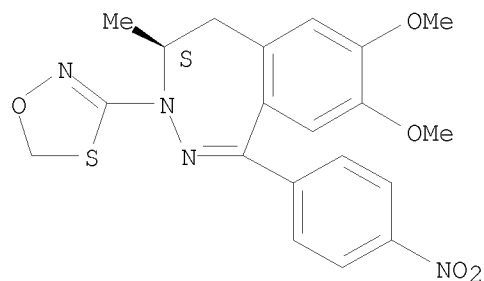
Absolute stereochemistry. Rotation (+).



RN 1071131-41-3 CAPLUS

CN 3H-2,3-Benzodiazepine, 4,5-dihydro-7,8-dimethoxy-4-methyl-1-(4-nitrophenyl)-3-(1,4,2-oxathiazol-3-yl)-, (4S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

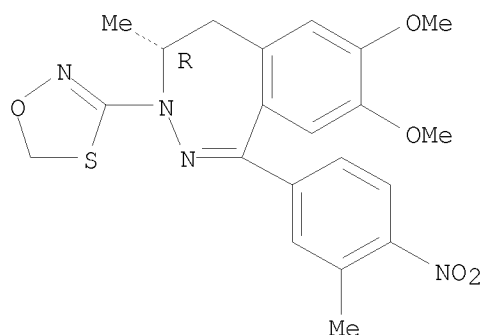


RN 1071131-45-7 CAPLUS

CN 3H-2,3-Benzodiazepine, 4,5-dihydro-7,8-dimethoxy-4-methyl-1-(3-methyl-4-nitrophenyl)-3-(1,4,2-oxathiazol-3-yl)-, (4R)- (CA INDEX NAME)

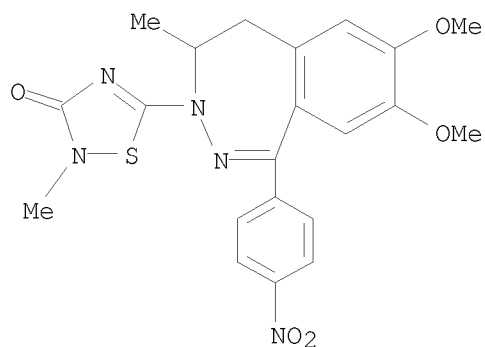
10/567,598

Absolute stereochemistry. Rotation (+).



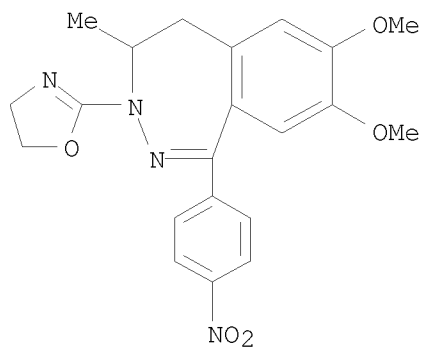
RN 1071131-55-9 CAPLUS

CN 1,2,4-Thiadiazol-3(2H)-one, 5-[4,5-dihydro-7,8-dimethoxy-4-methyl-1-(4-nitrophenyl)-3H-2,3-benzodiazepin-3-yl]-2-methyl- (CA INDEX NAME)



RN 1071131-59-3 CAPLUS

CN 3H-2,3-Benzodiazepine, 3-(4,5-dihydro-2-oxazolyl)-4,5-dihydro-7,8-dimethoxy-4-methyl-1-(4-nitrophenyl)- (CA INDEX NAME)



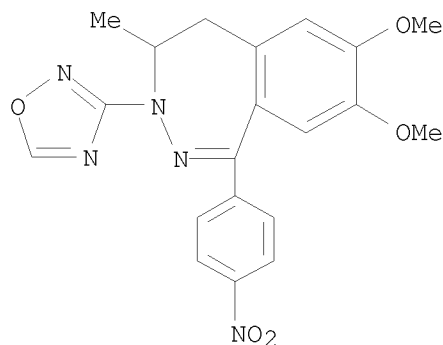
RN 1071131-62-8 CAPLUS

CN 3H-2,3-Benzodiazepine, 4,5-dihydro-7,8-dimethoxy-4-methyl-1-(4-



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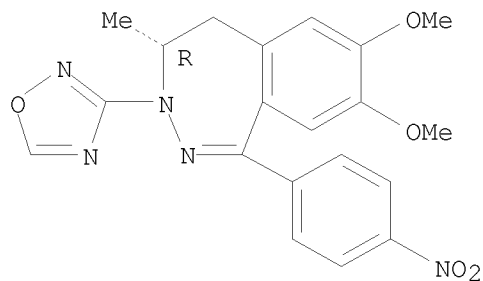
nitrophenyl)-3-(1,2,4-oxadiazol-3-yl)- (CA INDEX NAME)



RN 1071131-65-1 CAPLUS

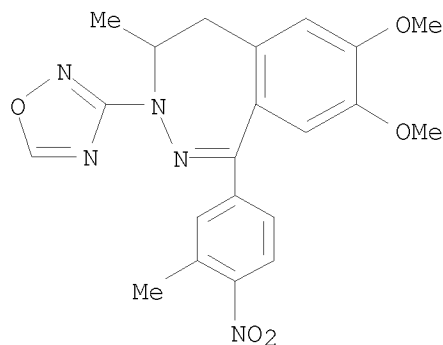
CN 3H-2,3-Benzodiazepine, 4,5-dihydro-7,8-dimethoxy-4-methyl-1-(4-nitrophenyl)-3-(1,2,4-oxadiazol-3-yl)-, (4R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 1071131-68-4 CAPLUS

CN 3H-2,3-Benzodiazepine, 4,5-dihydro-7,8-dimethoxy-4-methyl-1-(3-methyl-4-nitrophenyl)-3-(1,2,4-oxadiazol-3-yl)- (CA INDEX NAME)

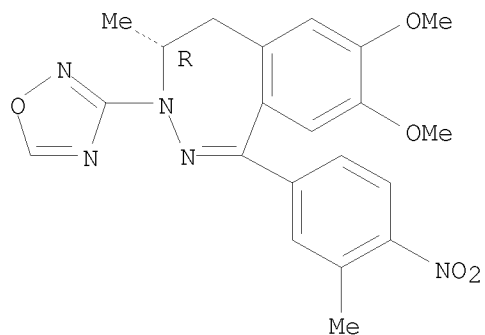


RN 1071131-70-8 CAPLUS

CN 3H-2,3-Benzodiazepine, 4,5-dihydro-7,8-dimethoxy-4-methyl-1-(3-methyl-4-nitrophenyl)-3-(1,2,4-oxadiazol-3-yl)-, (4R)- (CA INDEX NAME)

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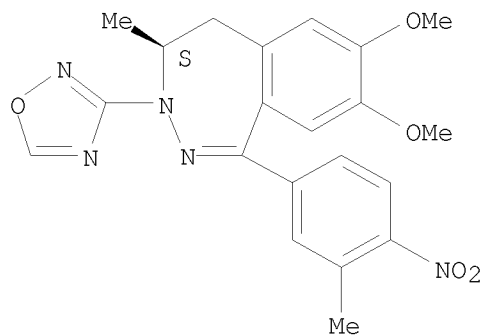
Absolute stereochemistry. Rotation (-).



RN 1071131-72-0 CAPLUS

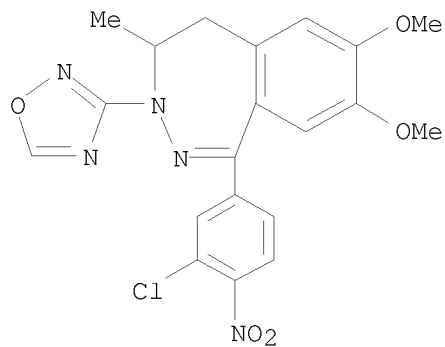
CN 3H-2,3-Benzodiazepine, 4,5-dihydro-7,8-dimethoxy-4-methyl-1-(3-methyl-4-nitrophenyl)-3-(1,2,4-oxadiazol-3-yl)-, (4S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 1071131-74-2 CAPLUS

CN 3H-2,3-Benzodiazepine, 1-(3-chloro-4-nitrophenyl)-4,5-dihydro-7,8-dimethoxy-4-methyl-3-(1,2,4-oxadiazol-3-yl)- (CA INDEX NAME)

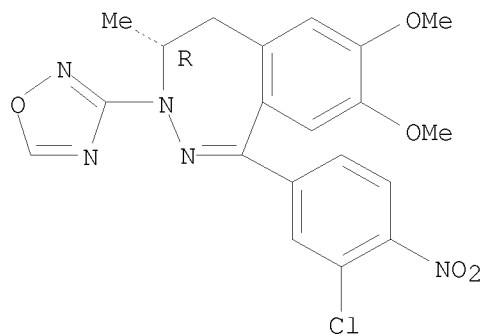


RN 1071131-76-4 CAPLUS

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CN	3H-2,3-Benzodiazepine, 1-(3-chloro-4-nitrophenyl)-4,5-dihydro-7,8-dimethoxy-4-methyl-3-(1,2,4-oxadiazol-3-yl)-, (4R)- (CA INDEX NAME)
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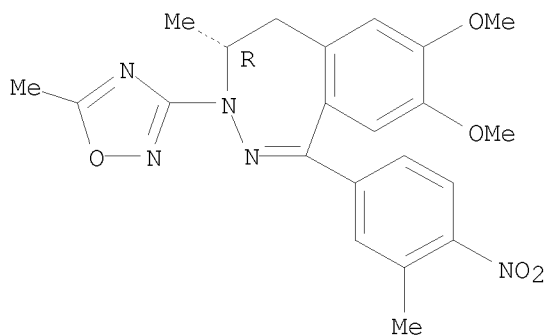
Absolute stereochemistry. Rotation (-).



RN 1071131-80-0 CAPLUS

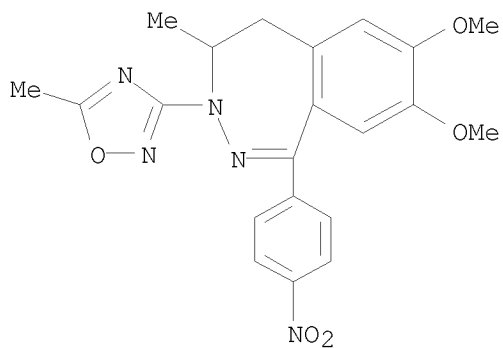
CN 3H-2,3-Benzodiazepine, 4,5-dihydro-7,8-dimethoxy-4-methyl-1-(3-methyl-4-nitrophenyl)-3-(5-methyl-1,2,4-oxadiazol-3-yl)-, (4R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 1071131-84-4 CAPLUS

CN 3H-2,3-Benzodiazepine, 4,5-dihydro-7,8-dimethoxy-4-methyl-3-(5-methyl-1,2,4-oxadiazol-3-yl)-1-(4-nitrophenyl)- (CA INDEX NAME)

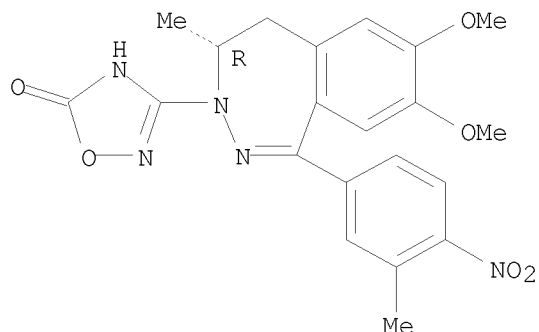


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RN 1071132-06-3 CAPLUS

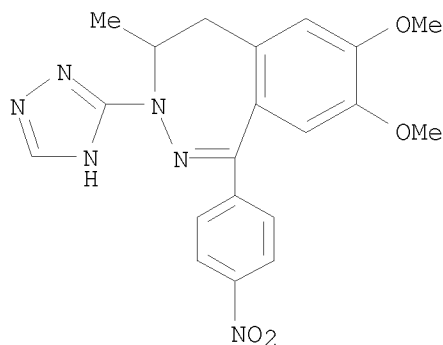
CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(4R)-4,5-dihydro-7,8-dimethoxy-4-methyl-1-(3-methyl-4-nitrophenyl)-3H-2,3-benzodiazepin-3-yl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 1071132-08-5 CAPLUS

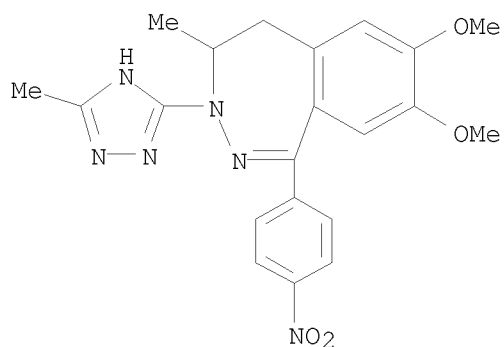
CN 3H-2,3-Benzodiazepine, 4,5-dihydro-7,8-dimethoxy-4-methyl-1-(4-nitrophenyl)-3-(1H-1,2,4-triazol-5-yl)- (CA INDEX NAME)



RN 1071132-11-0 CAPLUS

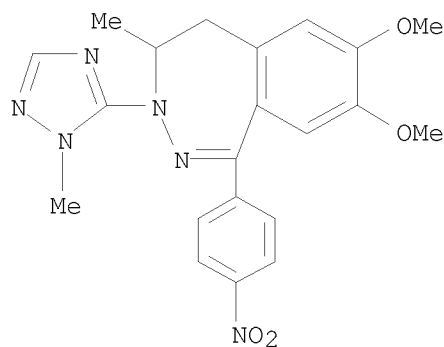
CN 3H-2,3-Benzodiazepine, 4,5-dihydro-7,8-dimethoxy-4-methyl-3-(3-methyl-1H-1,2,4-triazol-5-yl)-1-(4-nitrophenyl)- (CA INDEX NAME)

10/567,598



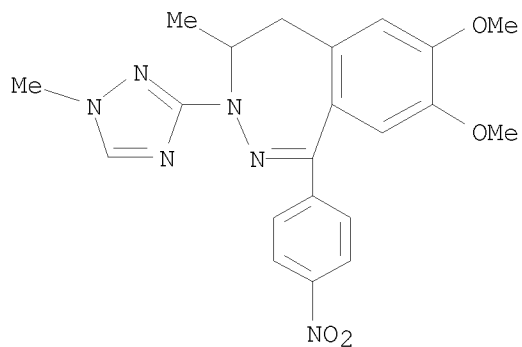
RN 1071132-16-5 CAPLUS

CN 3H-2,3-Benzodiazepine, 4,5-dihydro-7,8-dimethoxy-4-methyl-3-(1-methyl-1H-1,2,4-triazol-5-yl)-1-(4-nitrophenyl)- (CA INDEX NAME)



RN 1071132-19-8 CAPLUS

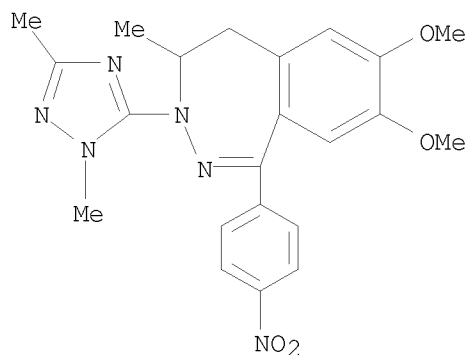
CN 3H-2,3-Benzodiazepine, 4,5-dihydro-7,8-dimethoxy-4-methyl-3-(1-methyl-1H-1,2,4-triazol-3-yl)-1-(4-nitrophenyl)- (CA INDEX NAME)



RN 1071132-23-4 CAPLUS

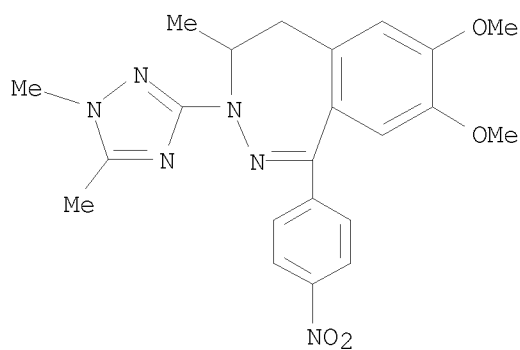
CN 3H-2,3-Benzodiazepine, 3-(1,3-dimethyl-1H-1,2,4-triazol-5-yl)-4,5-dihydro-7,8-dimethoxy-4-methyl-1-(4-nitrophenyl)- (CA INDEX NAME)

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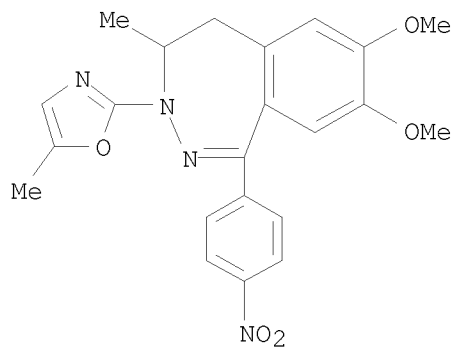
RN 1071132-26-7 CAPLUS

CN 3H-2,3-Benzodiazepine, 3-(1,5-dimethyl-1H-1,2,4-triazol-3-yl)-4,5-dihydro-7,8-dimethoxy-4-methyl-1-(4-nitrophenyl)- (CA INDEX NAME)



RN 1071132-30-3 CAPLUS

CN 3H-2,3-Benzodiazepine, 4,5-dihydro-7,8-dimethoxy-4-methyl-3-(5-methyl-2-oxazolyl)-1-(4-nitrophenyl)- (CA INDEX NAME)

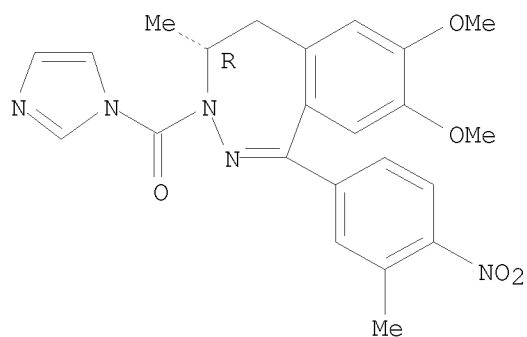


RN 1071132-48-3 CAPLUS

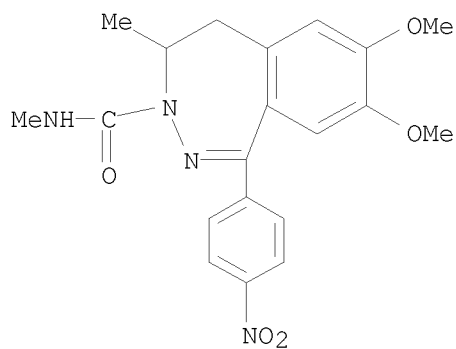
CN Methanone, [(4R)-4,5-dihydro-7,8-dimethoxy-4-methyl-1-(3-methyl-4-nitrophenyl)-3H-2,3-benzodiazepin-3-yl]-1H-imidazol-1-yl- (CA INDEX NAME)

10/567,598

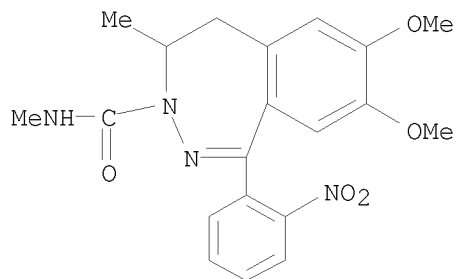
Absolute stereochemistry. Rotation (-).



RN 1071132-51-8 CAPLUS  
CN 3H-2,3-Benzodiazepine-3-carboxamide,  
4,5-dihydro-7,8-dimethoxy-N,4-dimethyl-1-(4-nitrophenyl)- (CA INDEX NAME)

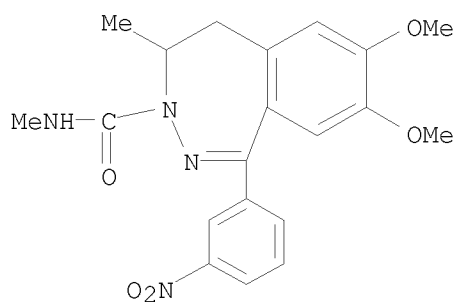


RN 1071132-55-2 CAPLUS  
CN 3H-2,3-Benzodiazepine-3-carboxamide,  
4,5-dihydro-7,8-dimethoxy-N,4-dimethyl-1-(2-nitrophenyl)- (CA INDEX NAME)



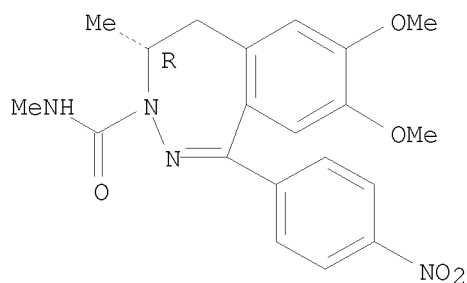
RN 1071132-60-9 CAPLUS  
CN 3H-2,3-Benzodiazepine-3-carboxamide,  
4,5-dihydro-7,8-dimethoxy-N,4-dimethyl-1-(3-nitrophenyl)- (CA INDEX NAME)

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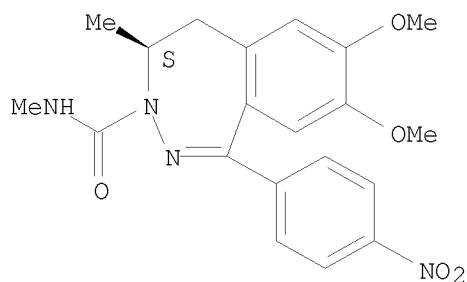
RN 1071132-63-2 CAPLUS  
CN 3H-2,3-Benzodiazepine-3-carboxamide,  
4,5-dihydro-7,8-dimethoxy-N,4-dimethyl-1-(4-nitrophenyl)-, (4R)- (CA  
INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 1071132-65-4 CAPLUS  
CN 3H-2,3-Benzodiazepine-3-carboxamide,  
4,5-dihydro-7,8-dimethoxy-N,4-dimethyl-1-(4-nitrophenyl)-, (4S)- (CA  
INDEX NAME)

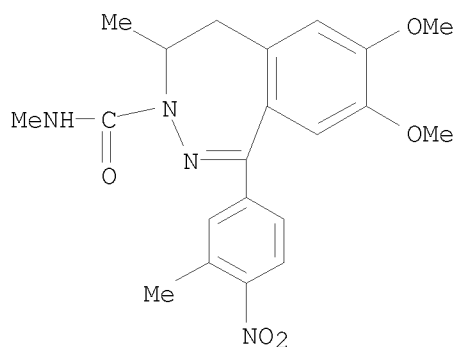
Absolute stereochemistry. Rotation (-).



RN 1071132-69-8 CAPLUS  
CN 3H-2,3-Benzodiazepine-3-carboxamide,  
4,5-dihydro-7,8-dimethoxy-N,4-dimethyl-1-(3-methyl-4-nitrophenyl)- (CA  
INDEX NAME)



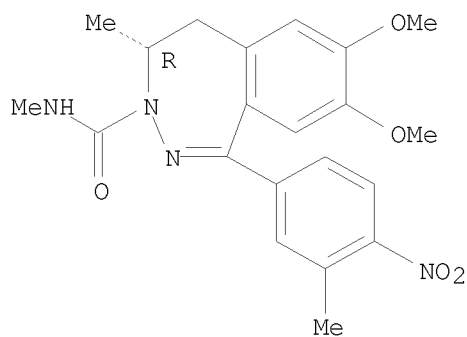
10/567,598



RN 1071132-72-3 CAPLUS

CN 3H-2,3-Benzodiazepine-3-carboxamide,  
4,5-dihydro-7,8-dimethoxy-N,4-dimethyl-1-(3-methyl-4-nitrophenyl)-, (4R)-  
(CA INDEX NAME)

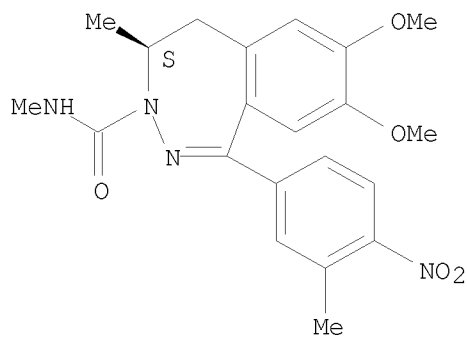
Absolute stereochemistry. Rotation (+).



RN 1071132-74-5 CAPLUS

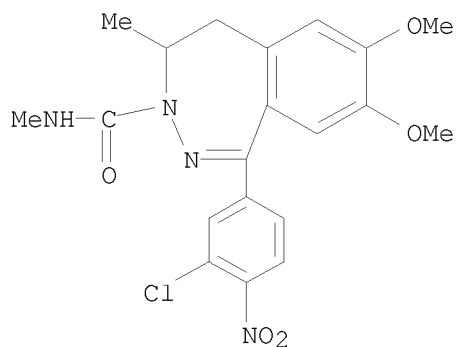
CN 3H-2,3-Benzodiazepine-3-carboxamide,  
4,5-dihydro-7,8-dimethoxy-N,4-dimethyl-1-(3-methyl-4-nitrophenyl)-, (4S)-  
(CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



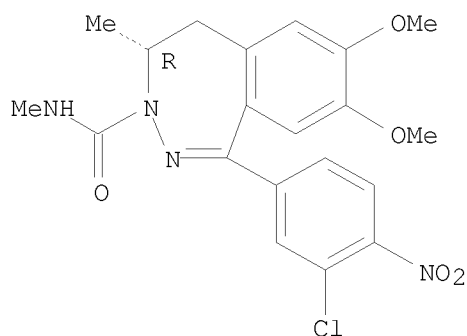
10/567,598

RN 1071132-78-9 CAPLUS  
CN 3H-2,3-Benzodiazepine-3-carboxamide,  
1-(3-chloro-4-nitrophenyl)-4,5-dihydro-7,8-dimethoxy-N,4-dimethyl- (CA  
INDEX NAME)



RN 1071132-81-4 CAPLUS  
CN 3H-2,3-Benzodiazepine-3-carboxamide,  
1-(3-chloro-4-nitrophenyl)-4,5-dihydro-7,8-dimethoxy-N,4-dimethyl-, (4R)-  
(CA INDEX NAME)

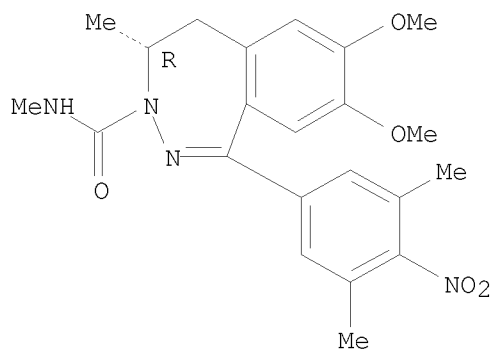
Absolute stereochemistry. Rotation (+).



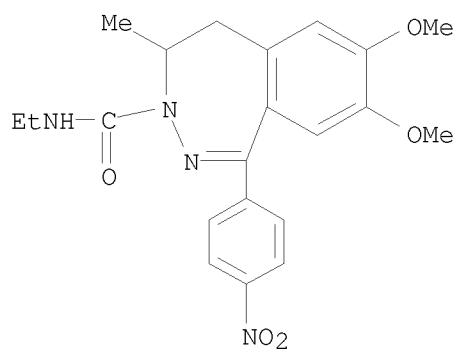
RN 1071132-85-8 CAPLUS  
CN 3H-2,3-Benzodiazepine-3-carboxamide,  
1-(3,5-dimethyl-4-nitrophenyl)-4,5-dihydro-7,8-dimethoxy-N,4-dimethyl-,  
(4R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

10/567,598

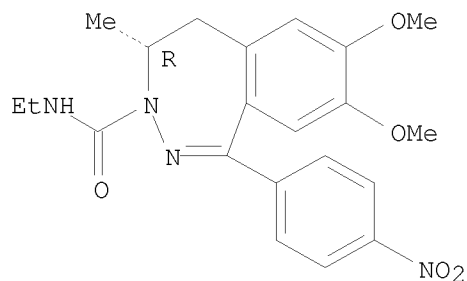


RN 1071132-89-2 CAPLUS  
CN 3H-2,3-Benzodiazepine-3-carboxamide,  
N-ethyl-4,5-dihydro-7,8-dimethoxy-4-methyl-1-(4-nitrophenyl)- (CA INDEX  
NAME)



RN 1071132-91-6 CAPLUS  
CN 3H-2,3-Benzodiazepine-3-carboxamide,  
N-ethyl-4,5-dihydro-7,8-dimethoxy-4-methyl-1-(4-nitrophenyl)-, (4R)- (CA  
INDEX NAME)

Absolute stereochemistry. Rotation (+).

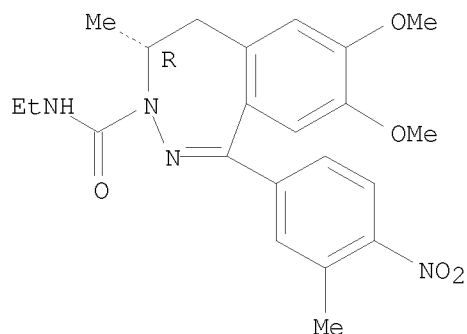


RN 1071132-95-0 CAPLUS  
CN 3H-2,3-Benzodiazepine-3-carboxamide,  
N-ethyl-4,5-dihydro-7,8-dimethoxy-4-methyl-1-(3-methyl-4-nitrophenyl)-,

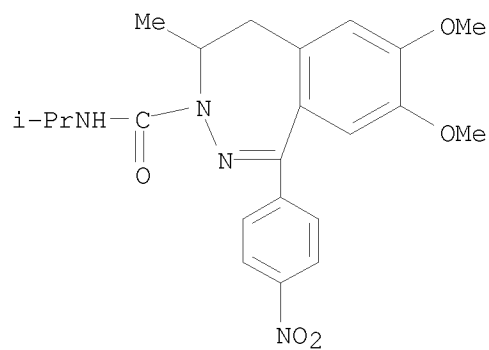
10/567,598

(4R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



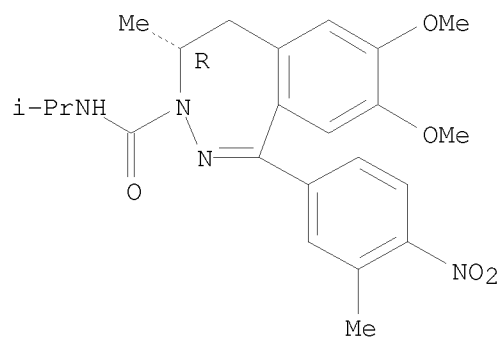
RN 1071132-97-2 CAPLUS  
CN 3H-2,3-Benzodiazepine-3-carboxamide,  
4,5-dihydro-7,8-dimethoxy-4-methyl-N-(1-methylethyl)-1-(4-nitrophenyl)-  
(CA INDEX NAME)



RN 1071133-05-5 CAPLUS  
CN 3H-2,3-Benzodiazepine-3-carboxamide,  
4,5-dihydro-7,8-dimethoxy-4-methyl-N-(1-methylethyl)-1-(3-methyl-4-  
nitrophenyl)-, (4R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

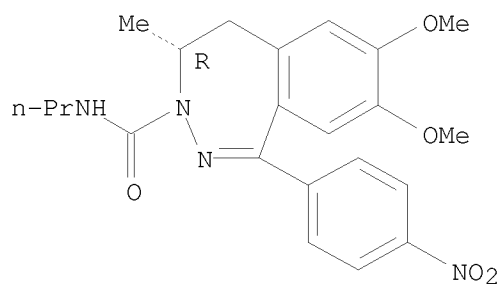
10/567,598



RN 1071133-07-7 CAPLUS

CN 3H-2,3-Benzodiazepine-3-carboxamide,  
4,5-dihydro-7,8-dimethoxy-4-methyl-1-(4-nitrophenyl)-N-propyl-, (4R)- (CA  
INDEX NAME)

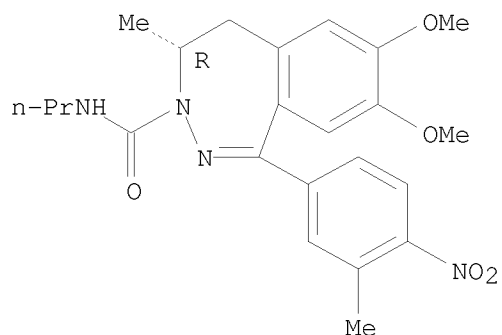
Absolute stereochemistry. Rotation (+).



RN 1071133-09-9 CAPLUS

CN 3H-2,3-Benzodiazepine-3-carboxamide,  
4,5-dihydro-7,8-dimethoxy-4-methyl-1-(3-methyl-4-nitrophenyl)-N-propyl-,  
(4R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

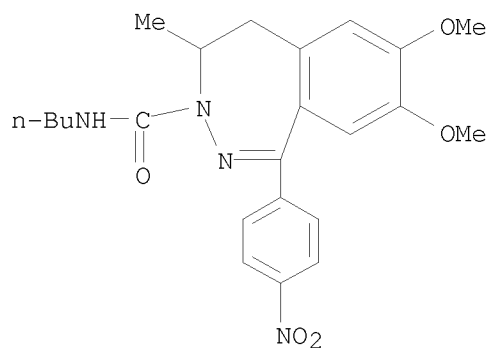


RN 1071133-14-6 CAPLUS

CN 3H-2,3-Benzodiazepine-3-carboxamide,

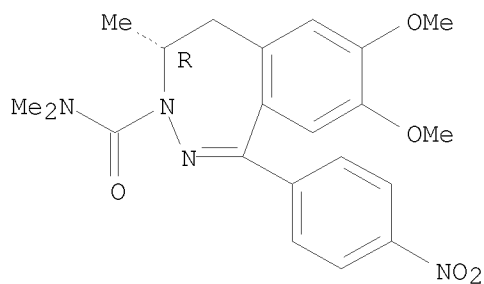
10/567,598

N-butyl-4,5-dihydro-7,8-dimethoxy-4-methyl-1-(4-nitrophenyl)- (CA INDEX NAME)

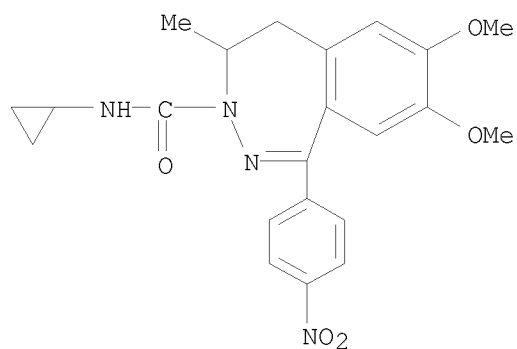


RN 1071133-32-8 CAPLUS  
CN 3H-2,3-Benzodiazepine-3-carboxamide,  
4,5-dihydro-7,8-dimethoxy-N,N,4-trimethyl-1-(4-nitrophenyl)-, (4R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



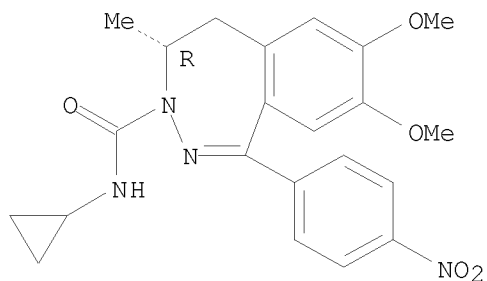
RN 1071133-45-3 CAPLUS  
CN 3H-2,3-Benzodiazepine-3-carboxamide,  
N-cyclopropyl-4,5-dihydro-7,8-dimethoxy-4-methyl-1-(4-nitrophenyl)- (CA INDEX NAME)



10/567,598

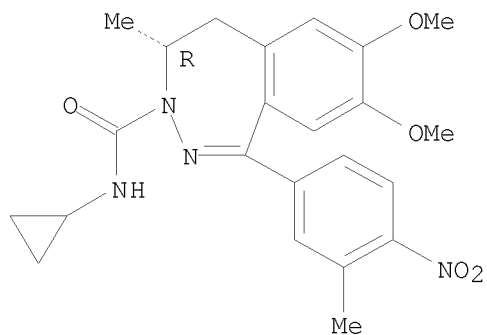
RN 1071133-49-7 CAPLUS  
CN 3H-2,3-Benzodiazepine-3-carboxamide,  
N-cyclopropyl-4,5-dihydro-7,8-dimethoxy-4-methyl-1-(4-nitrophenyl)-, (4R)-  
(CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



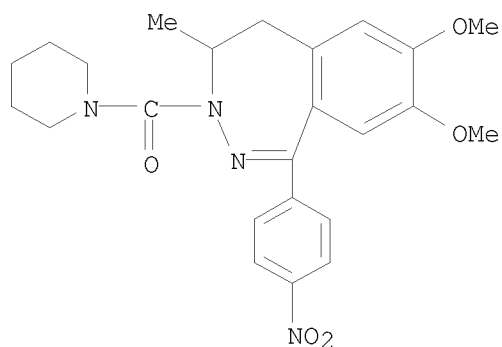
RN 1071133-52-2 CAPLUS  
CN 3H-2,3-Benzodiazepine-3-carboxamide,  
N-cyclopropyl-4,5-dihydro-7,8-dimethoxy-4-methyl-1-(3-methyl-4-nitrophenyl)-, (4R)-  
(CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

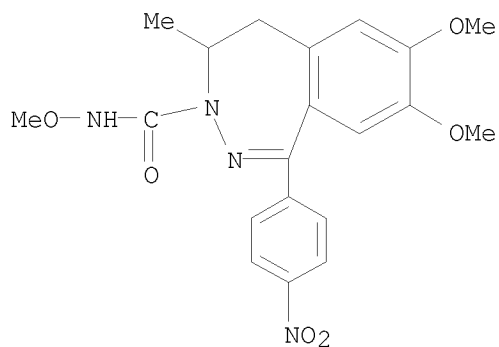


RN 1071133-60-2 CAPLUS  
CN Methanone, [4,5-dihydro-7,8-dimethoxy-4-methyl-1-(4-nitrophenyl)-3H-2,3-benzodiazepin-3-yl]-1-piperidinyl- (CA INDEX NAME)

10/567,598

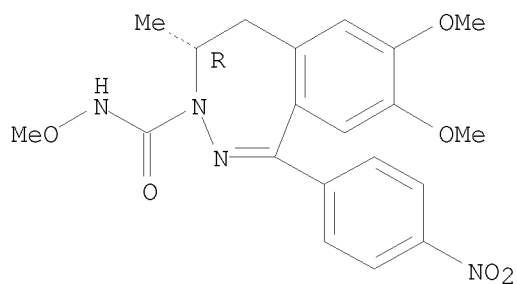


RN 1071133-63-5 CAPLUS  
CN 3H-2,3-Benzodiazepine-3-carboxamide,  
4,5-dihydro-N,7,8-trimethoxy-4-methyl-1-(4-nitrophenyl)- (CA INDEX NAME)



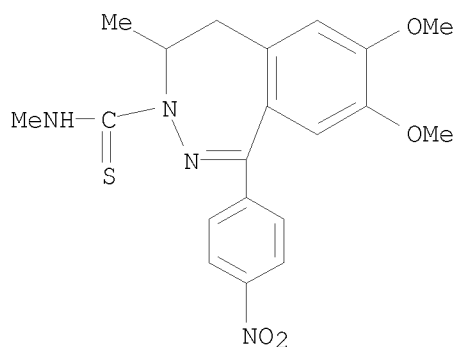
RN 1071133-66-8 CAPLUS  
CN 3H-2,3-Benzodiazepine-3-carboxamide,  
4,5-dihydro-N,7,8-trimethoxy-4-methyl-1-(4-nitrophenyl)-, (4R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 1071133-69-1 CAPLUS  
CN 3H-2,3-Benzodiazepine-3-carbothioamide,  
4,5-dihydro-7,8-dimethoxy-N,4-dimethyl-1-(4-nitrophenyl)- (CA INDEX NAME)





IT	1071130-60-3P	1071130-63-6P	1071130-73-8P
	1071131-07-1P	1071131-09-3P	1071131-11-7P
	1071131-21-9P	1071131-49-1P	1071131-51-5P
	1071131-87-7P	1071131-90-2P	1071131-96-8P
	1071131-98-0P	1071132-02-9P	1071132-33-6P
	1071133-00-0P	1071133-17-9P	1071133-22-6P
	1071133-25-9P	1071133-28-2P	1071133-34-0P
	1071133-38-4P	1071133-42-0P	1071133-56-6P
	1071133-71-5P	1071133-75-9P	1071133-79-3P
	1071133-81-7P	1071133-83-9P	1071133-85-1P
	1071133-87-3P	1071133-90-8P	1071133-95-3P
	1071133-97-5P	1071134-00-3P	1071134-04-7P
	1071134-06-9P	1071134-09-2P	1071134-13-8P
	1071134-17-2P	1071134-22-9P	1071134-24-1P
	1071134-28-5P	1071134-32-1P	1071134-34-3P
	1071134-37-6P	1071134-41-2P	1071134-45-6P
	1071134-49-0P	1071134-52-5P	1071134-54-7P
	1071134-56-9P	1071134-60-5P	1071134-62-7P
	1071134-64-9P	1071134-68-3P	1071134-70-7P
	1071134-73-0P	1071134-76-3P	1071134-79-6P
	1071134-82-1P	1071134-85-4P	1071134-87-6P
	1071134-90-1P	1071134-95-6P	1071134-98-9P
	1071135-01-7P	1071135-05-1P	1071135-07-3P
	1071135-11-9P	1071135-14-2P	1071135-18-6P
	1071135-22-2P	1071135-26-6P	1071135-28-8P
	1071135-31-3P	1071135-35-7P	1071135-37-9P
	1071135-41-5P	1071135-46-0P	1071135-49-3P
	1071135-54-0P	1071135-56-2P	1071135-59-5P
	1071135-64-2P	1071135-66-4P	1071135-68-6P
	1071135-73-3P	1071135-76-6P	1071135-79-9P
	1071135-81-3P	1071135-83-5P	1071135-85-7P
	1071135-87-9P	1071135-91-5P	1071135-94-8P
	1071135-97-1P	1071135-99-3P	1071136-04-3P
	1071136-07-6P	1071136-11-2P	1071136-14-5P
	1071136-16-7P	1071136-18-9P	1071136-23-6P
	1071136-25-8P	1071136-30-5P	1071136-34-9P
	1071136-36-1P	1071136-41-8P	1071210-68-8P
	1071779-70-8P		

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

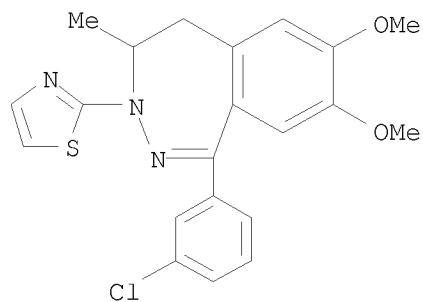
(drug candidate; preparation of benzodiazepine derivs. as adenosine

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transporter inhibitors useful in the treatment of psychotic diseases)

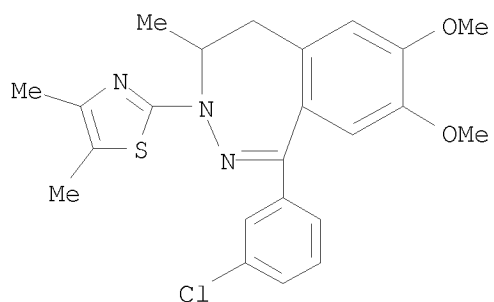
RN 1071130-60-3 CAPLUS

CN 3H-2,3-Benzodiazepine, 1-(3-chlorophenyl)-4,5-dihydro-7,8-dimethoxy-4-methyl-3-(2-thiazolyl)- (CA INDEX NAME)



RN 1071130-63-6 CAPLUS

CN 3H-2,3-Benzodiazepine, 1-(3-chlorophenyl)-3-(4,5-dimethyl-2-thiazolyl)-4,5-dihydro-7,8-dimethoxy-4-methyl-, hydrochloride (1:1) (CA INDEX NAME)



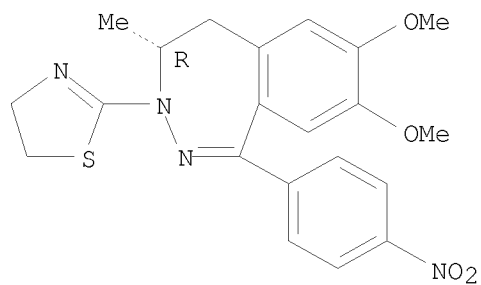
● HCl

RN 1071130-73-8 CAPLUS

CN 3H-2,3-Benzodiazepine, 3-(4,5-dihydro-2-thiazolyl)-4,5-dihydro-7,8-dimethoxy-4-methyl-1-(4-nitrophenyl)-, (4R)- (CA INDEX NAME)

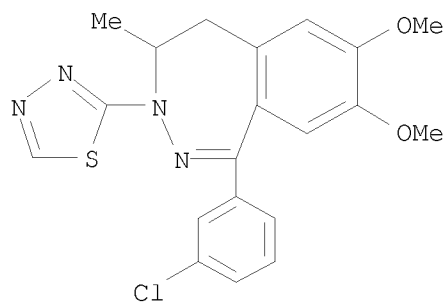
Absolute stereochemistry.

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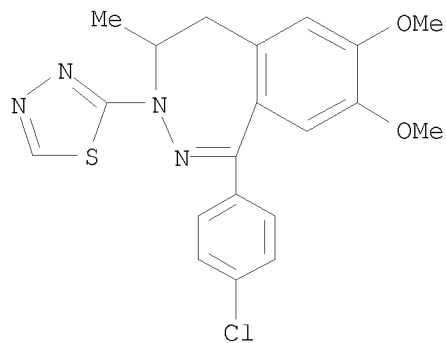
RN 1071131-07-1 CAPLUS

CN 3H-2,3-Benzodiazepine, 1-(3-chlorophenyl)-4,5-dihydro-7,8-dimethoxy-4-methyl-3-(1,3,4-thiadiazol-2-yl)- (CA INDEX NAME)



RN 1071131-09-3 CAPLUS

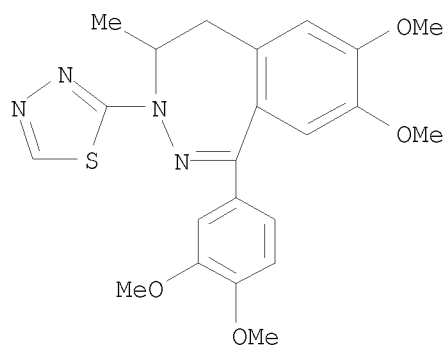
CN 3H-2,3-Benzodiazepine, 1-(4-chlorophenyl)-4,5-dihydro-7,8-dimethoxy-4-methyl-3-(1,3,4-thiadiazol-2-yl)- (CA INDEX NAME)



RN 1071131-11-7 CAPLUS

CN 3H-2,3-Benzodiazepine, 1-(3,4-dimethoxyphenyl)-4,5-dihydro-7,8-dimethoxy-4-methyl-3-(1,3,4-thiadiazol-2-yl)- (CA INDEX NAME)

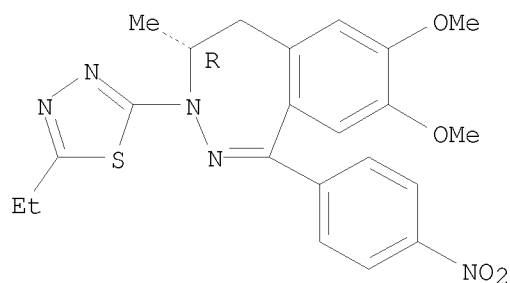
10/567,598



RN 1071131-21-9 CAPLUS

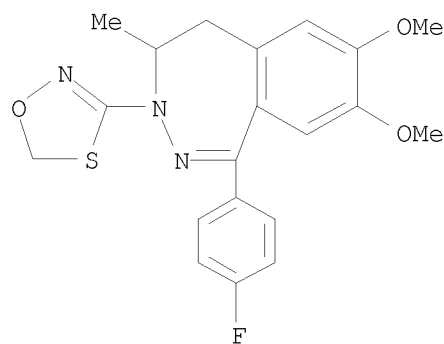
CN 3H-2,3-Benzodiazepine, 3-(5-ethyl-1,3,4-thiadiazol-2-yl)-4,5-dihydro-7,8-dimethoxy-4-methyl-1-(4-nitrophenyl)-, (4R)- (CA INDEX NAME)

Absolute stereochemistry.



RN 1071131-49-1 CAPLUS

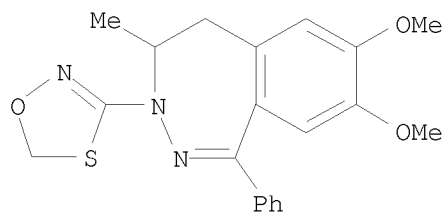
CN 3H-2,3-Benzodiazepine, 1-(4-fluorophenyl)-4,5-dihydro-7,8-dimethoxy-4-methyl-3-(1,4,2-oxathiazol-3-yl)- (CA INDEX NAME)



RN 1071131-51-5 CAPLUS

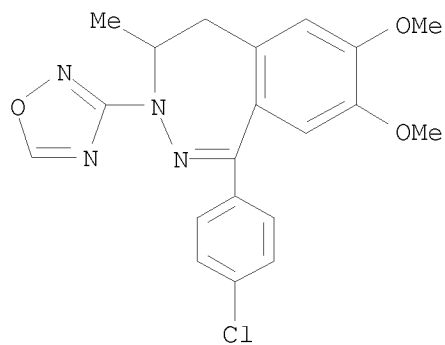
CN 3H-2,3-Benzodiazepine, 4,5-dihydro-7,8-dimethoxy-4-methyl-3-(1,4,2-oxathiazol-3-yl)-1-phenyl- (CA INDEX NAME)

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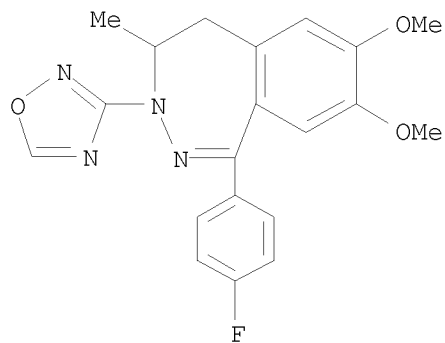
RN 1071131-87-7 CAPLUS

CN 3H-2,3-Benzodiazepine, 1-(4-chlorophenyl)-4,5-dihydro-7,8-dimethoxy-4-methyl-3-(1,2,4-oxadiazol-3-yl)- (CA INDEX NAME)



RN 1071131-90-2 CAPLUS

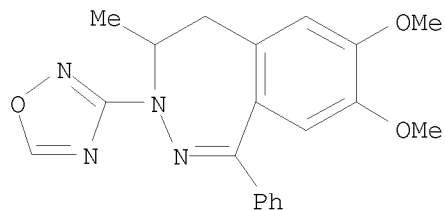
CN 3H-2,3-Benzodiazepine, 1-(4-fluorophenyl)-4,5-dihydro-7,8-dimethoxy-4-methyl-3-(1,2,4-oxadiazol-3-yl)- (CA INDEX NAME)



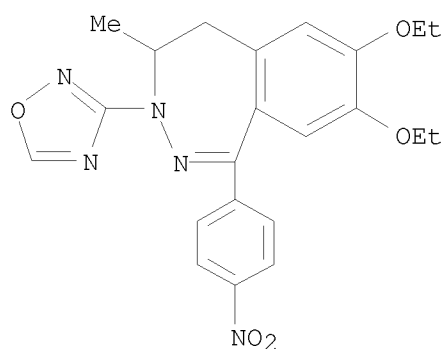
RN 1071131-96-8 CAPLUS

CN 3H-2,3-Benzodiazepine, 4,5-dihydro-7,8-dimethoxy-4-methyl-3-(1,2,4-oxadiazol-3-yl)-1-phenyl- (CA INDEX NAME)

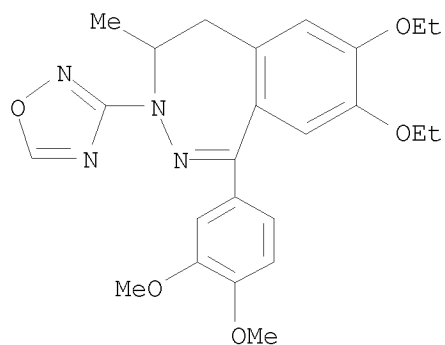
10/567,598



RN 1071131-98-0 CAPLUS  
CN 3H-2,3-Benzodiazepine, 7,8-diethoxy-4,5-dihydro-4-methyl-1-(4-nitrophenyl)-  
3-(1,2,4-oxadiazol-3-yl)- (CA INDEX NAME)



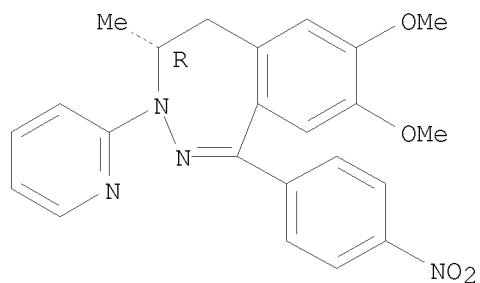
RN 1071132-02-9 CAPLUS  
CN 3H-2,3-Benzodiazepine, 1-(3,4-dimethoxyphenyl)-7,8-diethoxy-4,5-dihydro-4-  
methyl-3-(1,2,4-oxadiazol-3-yl)- (CA INDEX NAME)



RN 1071132-33-6 CAPLUS  
CN 3H-2,3-Benzodiazepine, 4,5-dihydro-7,8-dimethoxy-4-methyl-1-(4-  
nitrophenyl)-3-(2-pyridinyl)-, (4R)- (CA INDEX NAME)

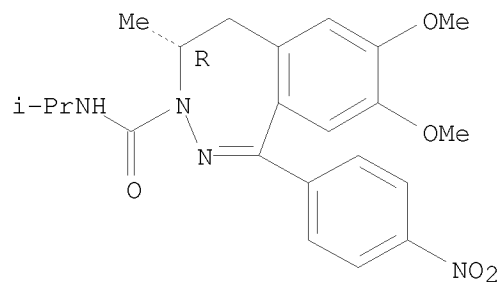
Absolute stereochemistry.

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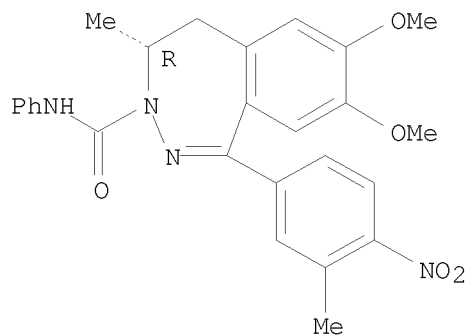
RN 1071133-00-0 CAPLUS  
CN 3H-2,3-Benzodiazepine-3-carboxamide,  
4,5-dihydro-7,8-dimethoxy-4-methyl-N-(1-methylethyl)-1-(4-nitrophenyl)-,  
(4R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



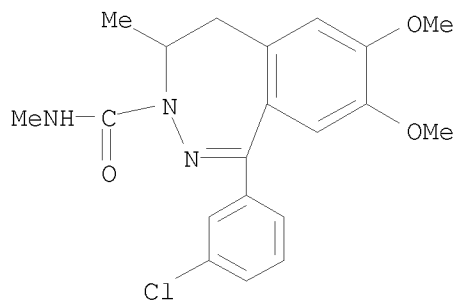
RN 1071133-17-9 CAPLUS  
CN 3H-2,3-Benzodiazepine-3-carboxamide,  
4,5-dihydro-7,8-dimethoxy-4-methyl-1-(3-methyl-4-nitrophenyl)-N-phenyl-,  
(4R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

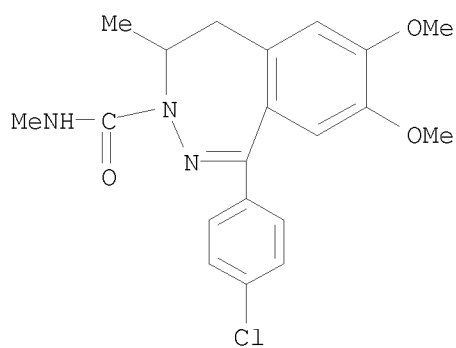


RN 1071133-22-6 CAPLUS  
CN 3H-2,3-Benzodiazepine-3-carboxamide,  
1-(3-chlorophenyl)-4,5-dihydro-7,8-dimethoxy-N,4-dimethyl- (CA INDEX  
NAME)

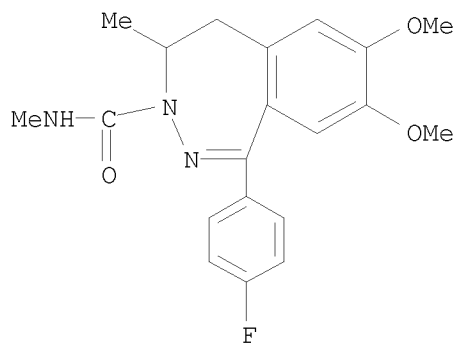
10/567,598



RN 1071133-25-9 CAPLUS  
CN 3H-2,3-Benzodiazepine-3-carboxamide,  
1-(4-chlorophenyl)-4,5-dihydro-7,8-dimethoxy-N,4-dimethyl- (CA INDEX  
NAME)



RN 1071133-28-2 CAPLUS  
CN 3H-2,3-Benzodiazepine-3-carboxamide,  
1-(4-fluorophenyl)-4,5-dihydro-7,8-dimethoxy-N,4-dimethyl- (CA INDEX  
NAME)

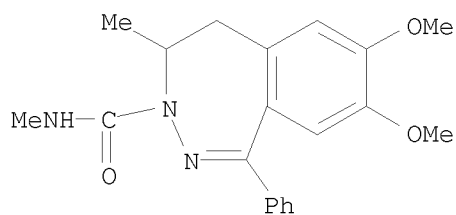


RN 1071133-34-0 CAPLUS  
CN 3H-2,3-Benzodiazepine-3-carboxamide,



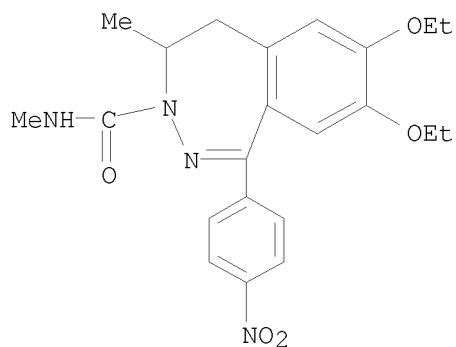
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4,5-dihydro-7,8-dimethoxy-N,4-dimethyl-1-phenyl- (CA INDEX NAME)



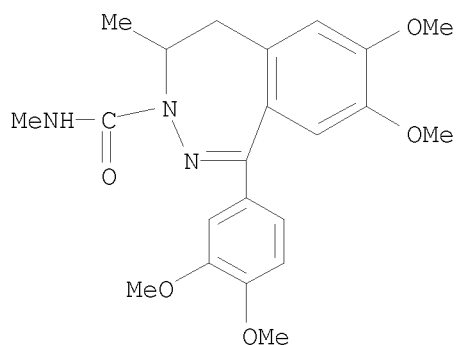
RN 1071133-38-4 CAPLUS

CN 3H-2,3-Benzodiazepine-3-carboxamide,  
7,8-diethoxy-4,5-dihydro-N,4-dimethyl-1-(4-nitrophenyl)- (CA INDEX NAME)



RN 1071133-42-0 CAPLUS

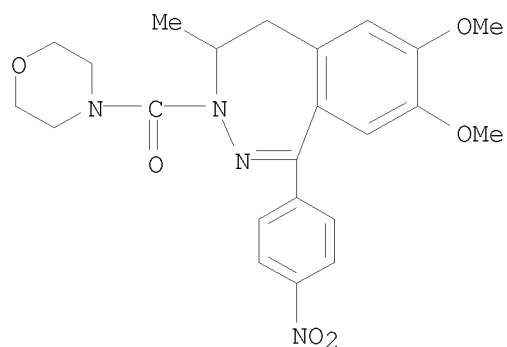
CN 3H-2,3-Benzodiazepine-3-carboxamide,  
1-(3,4-dimethoxyphenyl)-4,5-dihydro-7,8-dimethoxy-N,4-dimethyl- (CA INDEX NAME)



RN 1071133-56-6 CAPLUS

CN Methanone, [4,5-dihydro-7,8-dimethoxy-4-methyl-1-(4-nitrophenyl)-3H-2,3-benzodiazepin-3-yl]-4-morpholinyl- (CA INDEX NAME)

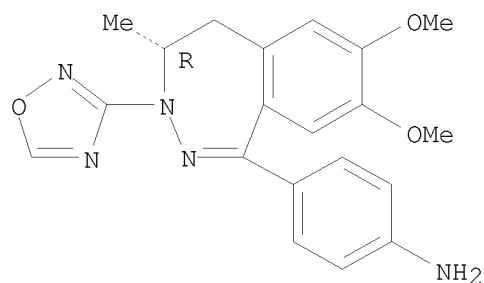
10/567,598



RN 1071133-71-5 CAPLUS

CN Benzenamine, 4-[(4R)-4,5-dihydro-7,8-dimethoxy-4-methyl-3-(1,2,4-oxadiazol-3-yl)-3H-2,3-benzodiazepin-1-yl]- (CA INDEX NAME)

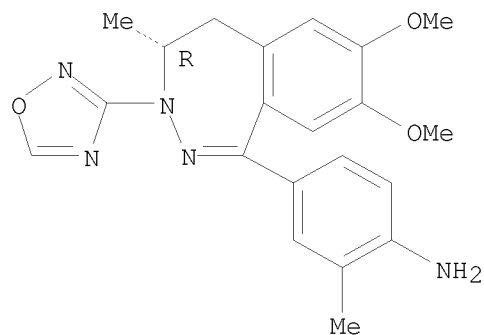
Absolute stereochemistry. Rotation (-).



RN 1071133-75-9 CAPLUS

CN Benzenamine, 4-[(4R)-4,5-dihydro-7,8-dimethoxy-4-methyl-3-(1,2,4-oxadiazol-3-yl)-3H-2,3-benzodiazepin-1-yl]-2-methyl- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

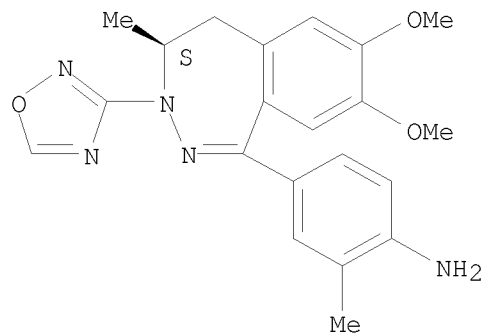


RN 1071133-79-3 CAPLUS

CN Benzenamine, 4-[(4S)-4,5-dihydro-7,8-dimethoxy-4-methyl-3-(1,2,4-oxadiazol-3-yl)-3H-2,3-benzodiazepin-1-yl]-2-methyl- (CA INDEX NAME)

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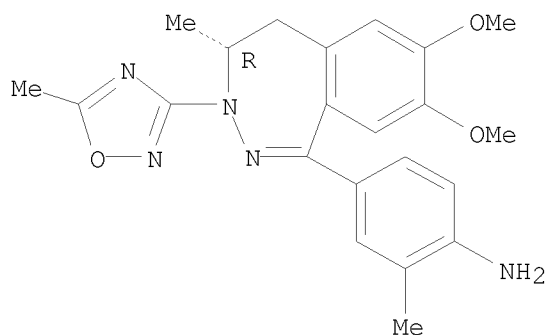
Absolute stereochemistry. Rotation (+).



RN 1071133-81-7 CAPLUS

CN Benzenamine, 4-[(4R)-4,5-dihydro-7,8-dimethoxy-4-methyl-3-(5-methyl-1,2,4-oxadiazol-3-yl)-3H-2,3-benzodiazepin-1-yl]-2-methyl- (CA INDEX NAME)

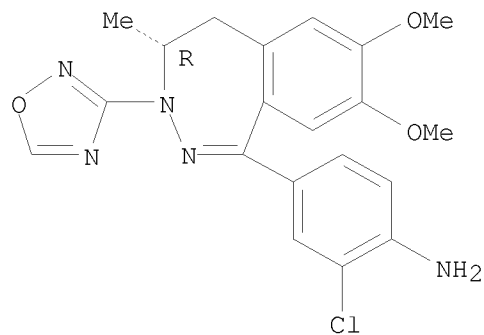
Absolute stereochemistry. Rotation (-).



RN 1071133-83-9 CAPLUS

CN Benzenamine, 2-chloro-4-[(4R)-4,5-dihydro-7,8-dimethoxy-4-methyl-3-(1,2,4-oxadiazol-3-yl)-3H-2,3-benzodiazepin-1-yl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

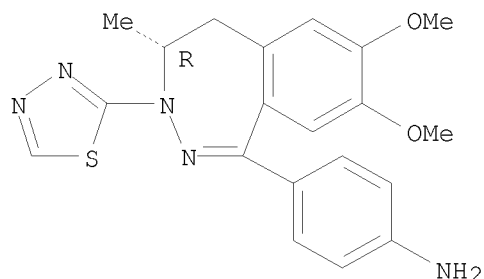


RN 1071133-85-1 CAPLUS

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CN Benzenamine, 4-[(4R)-4,5-dihydro-7,8-dimethoxy-4-methyl-3-(1,3,4-thiadiazol-2-yl)-3H-2,3-benzodiazepin-1-yl]- (CA INDEX NAME)

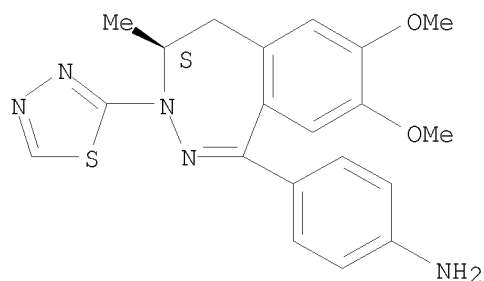
Absolute stereochemistry. Rotation (-).



RN 1071133-87-3 CAPLUS

CN Benzenamine, 4-[(4S)-4,5-dihydro-7,8-dimethoxy-4-methyl-3-(1,3,4-thiadiazol-2-yl)-3H-2,3-benzodiazepin-1-yl]- (CA INDEX NAME)

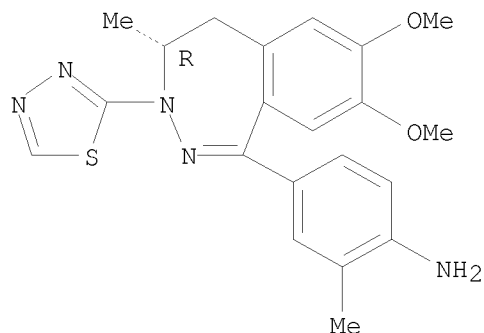
Absolute stereochemistry. Rotation (+).



RN 1071133-90-8 CAPLUS

CN Benzenamine, 4-[(4R)-4,5-dihydro-7,8-dimethoxy-4-methyl-3-(1,3,4-thiadiazol-2-yl)-3H-2,3-benzodiazepin-1-yl]-2-methyl- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



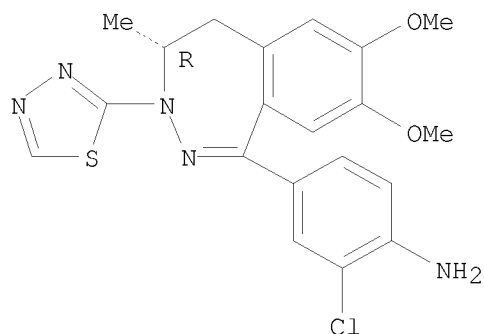
RN 1071133-95-3 CAPLUS

CN Benzenamine, 2-chloro-4-[(4R)-4,5-dihydro-7,8-dimethoxy-4-methyl-3-(1,3,4-

10/567,598

thiadiazol-2-yl)-3H-2,3-benzodiazepin-1-yl]- (CA INDEX NAME)

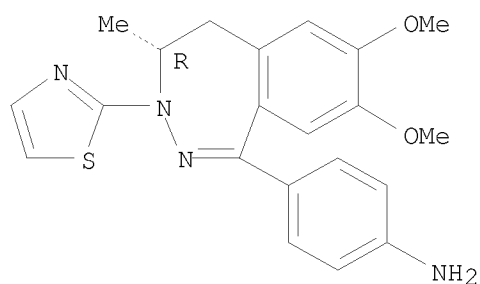
Absolute stereochemistry. Rotation (-).



RN 1071133-97-5 CAPLUS

CN Benzenamine, 4-[(4R)-4,5-dihydro-7,8-dimethoxy-4-methyl-3-(2-thiazolyl)-3H-2,3-benzodiazepin-1-yl]- (CA INDEX NAME)

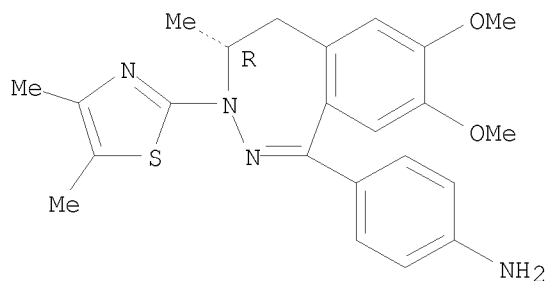
Absolute stereochemistry. Rotation (-).



RN 1071134-00-3 CAPLUS

CN Benzenamine, 4-[(4R)-3-(4,5-dimethyl-2-thiazolyl)-4,5-dihydro-7,8-dimethoxy-4-methyl-3H-2,3-benzodiazepin-1-yl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

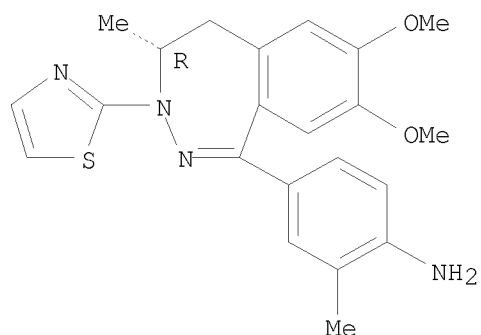


RN 1071134-04-7 CAPLUS

CN Benzenamine, 4-[(4R)-4,5-dihydro-7,8-dimethoxy-4-methyl-3-(2-thiazolyl)-3H-2,3-benzodiazepin-1-yl]-2-methyl- (CA INDEX NAME)

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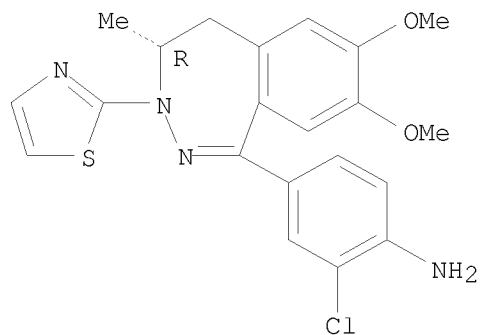
Absolute stereochemistry. Rotation (-).



RN 1071134-06-9 CAPLUS

CN Benzenamine, 2-chloro-4-[(4R)-4,5-dihydro-7,8-dimethoxy-4-methyl-3-(2-thiazolyl)-3H-2,3-benzodiazepin-1-yl]- (CA INDEX NAME)

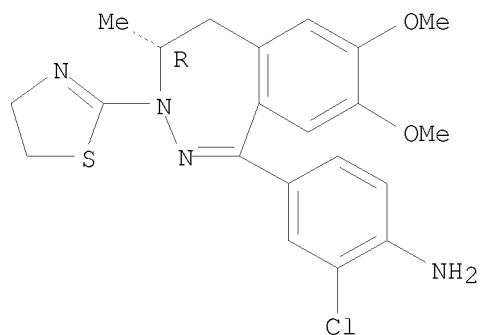
Absolute stereochemistry. Rotation (-).



RN 1071134-09-2 CAPLUS

CN Benzenamine, 2-chloro-4-[(4R)-3-(4,5-dihydro-2-thiazolyl)-4,5-dihydro-7,8-dimethoxy-4-methyl-3H-2,3-benzodiazepin-1-yl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

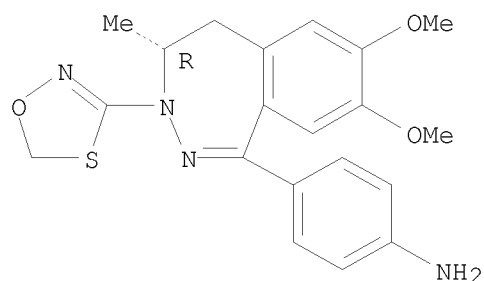


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RN 1071134-13-8 CAPLUS

CN Benzenamine, 4-[(4R)-4,5-dihydro-7,8-dimethoxy-4-methyl-3-(1,4,2-oxathiazol-3-yl)-3H-2,3-benzodiazepin-1-yl]- (CA INDEX NAME)

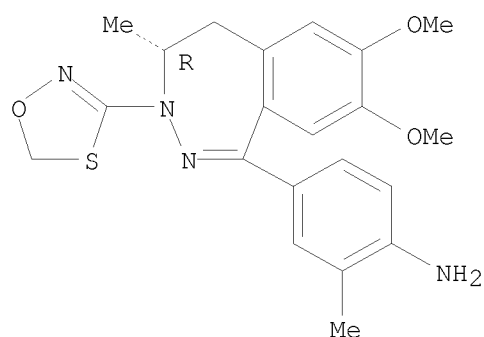
Absolute stereochemistry. Rotation (-).



RN 1071134-17-2 CAPLUS

CN Benzenamine, 4-[(4R)-4,5-dihydro-7,8-dimethoxy-4-methyl-3-(1,4,2-oxathiazol-3-yl)-3H-2,3-benzodiazepin-1-yl]-2-methyl- (CA INDEX NAME)

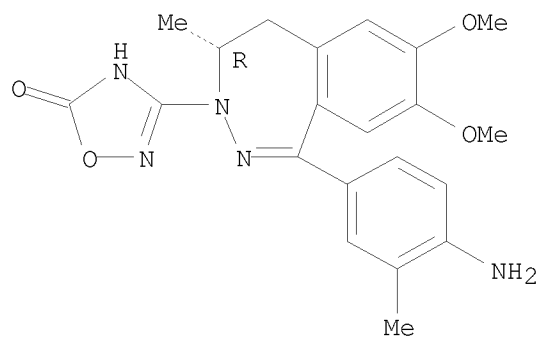
Absolute stereochemistry. Rotation (-).



RN 1071134-22-9 CAPLUS

CN 1,2,4-Oxadiazol-5(2H)-one, 3-[(4R)-1-(4-amino-3-methylphenyl)-4,5-dihydro-7,8-dimethoxy-4-methyl-3H-2,3-benzodiazepin-3-yl]- (CA INDEX NAME)

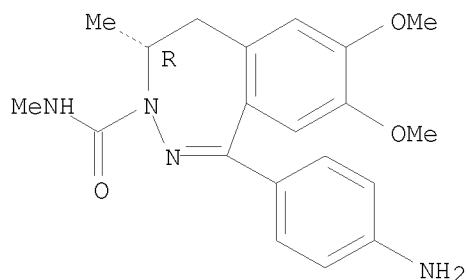
Absolute stereochemistry. Rotation (-).



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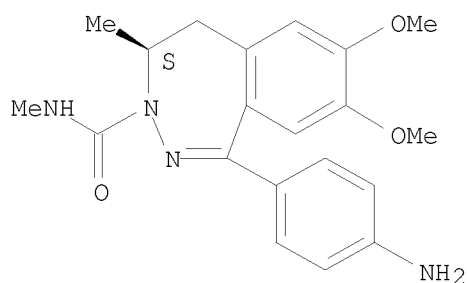
RN 1071134-24-1 CAPLUS  
CN 3H-2,3-Benzodiazepine-3-carboxamide,  
1-(4-aminophenyl)-4,5-dihydro-7,8-dimethoxy-N,4-dimethyl-, (4R)- (CA  
INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 1071134-28-5 CAPLUS  
CN 3H-2,3-Benzodiazepine-3-carboxamide,  
1-(4-aminophenyl)-4,5-dihydro-7,8-dimethoxy-N,4-dimethyl-, (4S)- (CA  
INDEX NAME)

Absolute stereochemistry. Rotation (+).

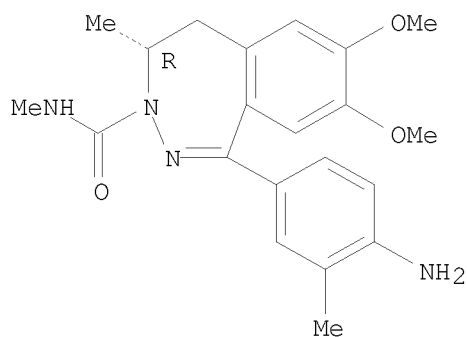


RN 1071134-32-1 CAPLUS  
CN 3H-2,3-Benzodiazepine-3-carboxamide,  
1-(4-amino-3-methylphenyl)-4,5-dihydro-7,8-dimethoxy-N,4-dimethyl-, (4R)-  
(CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

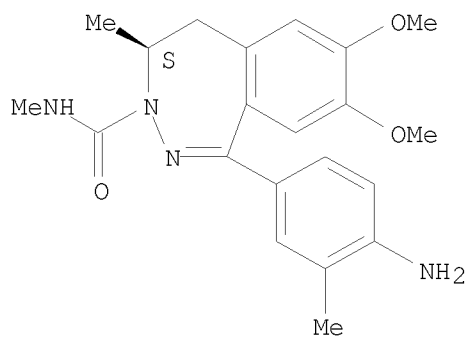


10/567,598



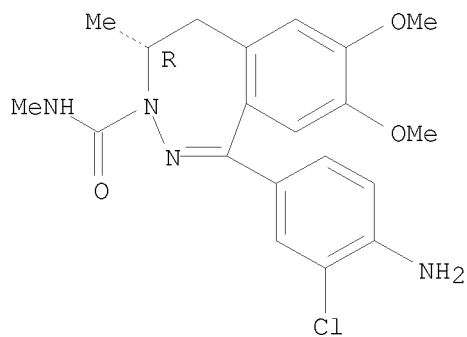
RN 1071134-34-3 CAPLUS  
CN 3H-2,3-Benzodiazepine-3-carboxamide,  
1-(4-amino-3-methylphenyl)-4,5-dihydro-7,8-dimethoxy-N,4-dimethyl-, (4S)-  
(CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 1071134-37-6 CAPLUS  
CN 3H-2,3-Benzodiazepine-3-carboxamide,  
1-(4-amino-3-chlorophenyl)-4,5-dihydro-7,8-dimethoxy-N,4-dimethyl-, (4R)-  
(CA INDEX NAME)

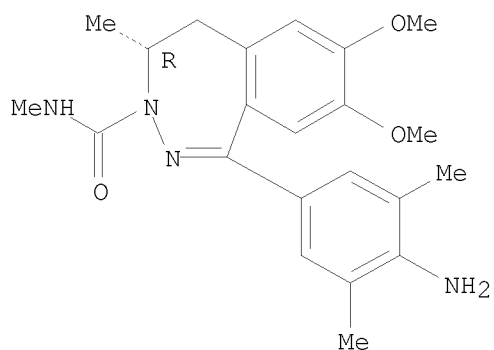
Absolute stereochemistry. Rotation (-).



10/567,598

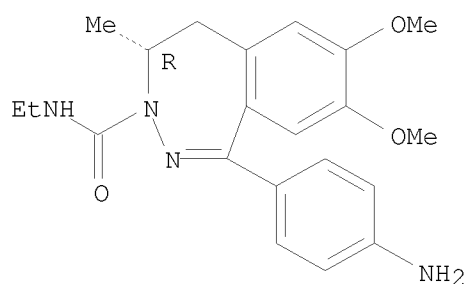
RN	1071134-41-2	CAPLUS
CN	3H-2,3-Benzodiazepine-3-carboxamide, 1-(4-amino-3,5-dimethylphenyl)-4,5-dihydro-7,8-dimethoxy-N,4-dimethyl-, (4R)- (CA INDEX NAME)	

Absolute stereochemistry. Rotation (-).



RN	1071134-45-6	CAPLUS	
CN	3H-2,3-Benzodiazepine-3-carboxamide, 1-(4-aminophenyl)-N-ethyl-4,5-dihydro-7,8-dimethoxy-4-methyl-, (4R)- (CA INDEX NAME)		

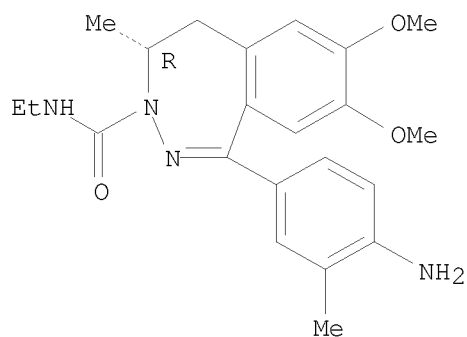
Absolute stereochemistry. Rotation (-).



RN	1071134-49-0	CAPLUS
CN	3H-2,3-Benzodiazepine-3-carboxamide, 1-(4-amino-3-methylphenyl)-N-ethyl-4,5-dihydro-7,8-dimethoxy-4-methyl-, (4R)- (CA INDEX NAME)	

Absolute stereochemistry. Rotation (-).

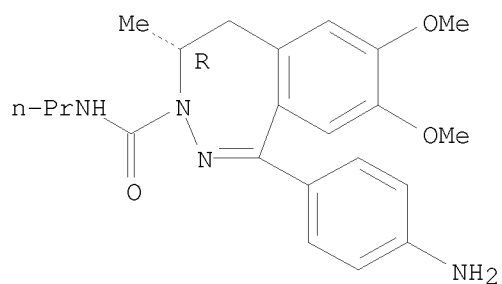
10/567,598



RN 1071134-52-5 CAPLUS

CN 3H-2,3-Benzodiazepine-3-carboxamide,  
1-(4-amino-3-methylphenyl)-4,5-dihydro-7,8-dimethoxy-4-methyl-N-propyl-, (4R)- (CA  
INDEX NAME)

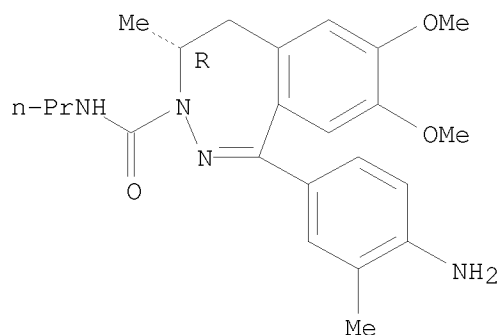
Absolute stereochemistry. Rotation (-).



RN 1071134-54-7 CAPLUS

CN 3H-2,3-Benzodiazepine-3-carboxamide,  
1-(4-amino-3-methylphenyl)-4,5-dihydro-7,8-dimethoxy-4-methyl-N-propyl-,  
(4R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



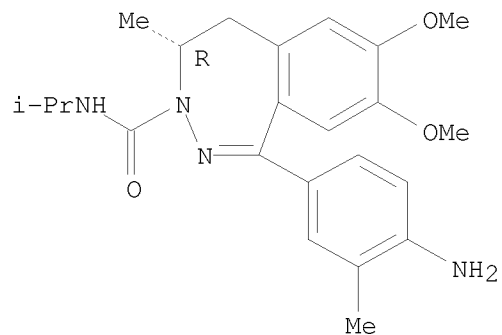
RN 1071134-56-9 CAPLUS

CN 3H-2,3-Benzodiazepine-3-carboxamide,

10/567,598

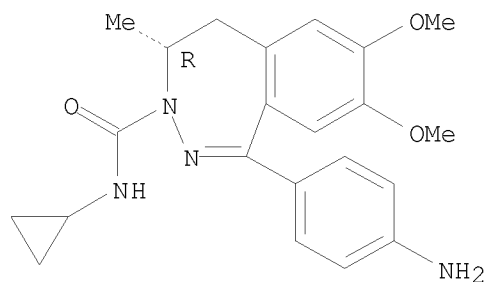
1-(4-amino-3-methylphenyl)-4,5-dihydro-7,8-dimethoxy-4-methyl-N-(1-methylethyl)-, (4R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 1071134-60-5 CAPLUS  
CN 3H-2,3-Benzodiazepine-3-carboxamide,  
1-(4-aminophenyl)-N-cyclopropyl-4,5-dihydro-7,8-dimethoxy-4-methyl-, (4R)-  
(CA INDEX NAME)

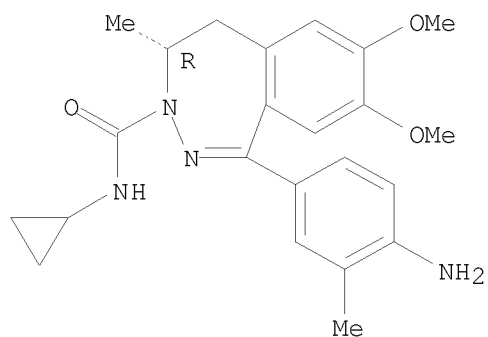
Absolute stereochemistry. Rotation (-).



RN 1071134-62-7 CAPLUS  
CN 3H-2,3-Benzodiazepine-3-carboxamide,  
1-(4-amino-3-methylphenyl)-N-cyclopropyl-4,5-dihydro-7,8-dimethoxy-4-methyl-, (4R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

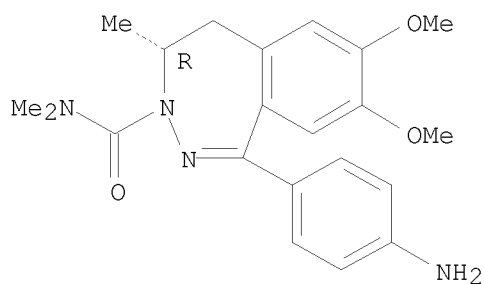
10/567,598



RN 1071134-64-9 CAPLUS

CN 3H-2,3-Benzodiazepine-3-carboxamide,  
1-(4-aminophenyl)-4,5-dihydro-7,8-dimethoxy-N,N,4-trimethyl-, (4R)- (CA  
INDEX NAME)

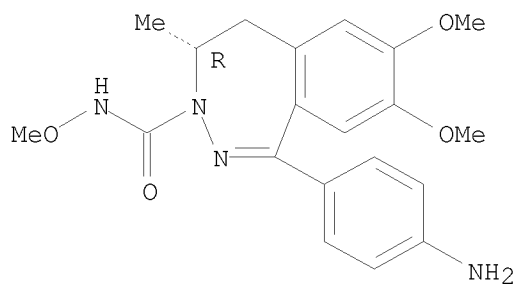
Absolute stereochemistry. Rotation (-).



RN 1071134-68-3 CAPLUS

CN 3H-2,3-Benzodiazepine-3-carboxamide,  
1-(4-aminophenyl)-4,5-dihydro-N,7,8-trimethoxy-4-methyl-, (4R)- (CA INDEX  
NAME)

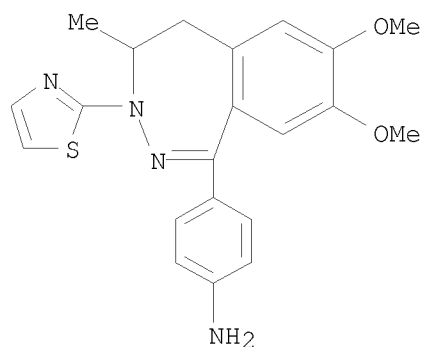
Absolute stereochemistry. Rotation (-).



RN 1071134-70-7 CAPLUS

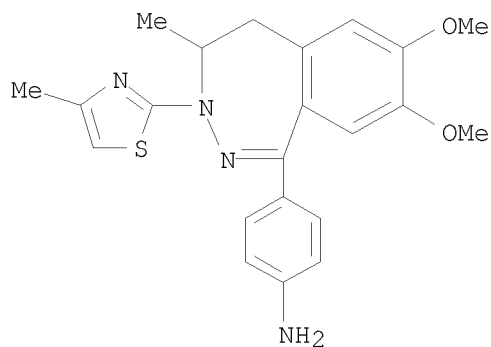
CN Benzenamine, 4-[4,5-dihydro-7,8-dimethoxy-4-methyl-3-(2-thiazolyl)-3H-2,3-  
benzodiazepin-1-yl]- (CA INDEX NAME)

10/567,598



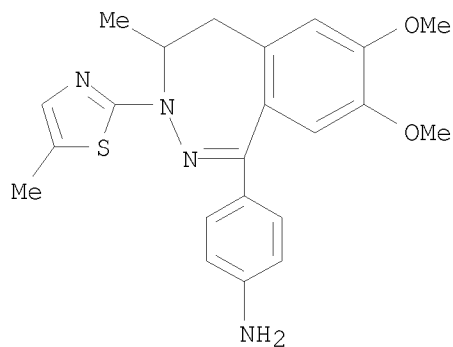
RN 1071134-73-0 CAPLUS

CN Benzenamine, 4-[4,5-dihydro-7,8-dimethoxy-4-methyl-3-(4-methyl-2-thiazolyl)-3H-2,3-benzodiazepin-1-yl]- (CA INDEX NAME)



RN 1071134-76-3 CAPLUS

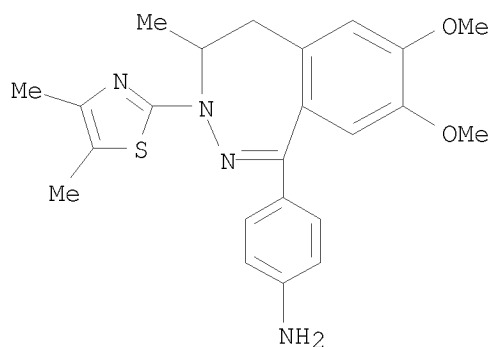
CN Benzenamine, 4-[4,5-dihydro-7,8-dimethoxy-4-methyl-3-(5-methyl-2-thiazolyl)-3H-2,3-benzodiazepin-1-yl]- (CA INDEX NAME)



RN 1071134-79-6 CAPLUS

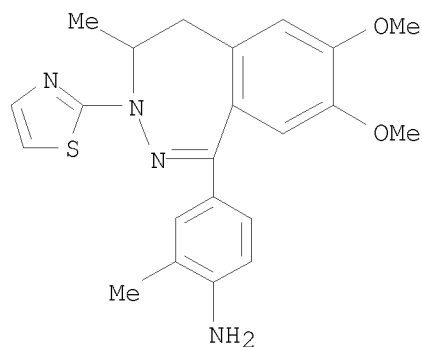
CN Benzenamine, 4-[3-(4,5-dimethyl-2-thiazolyl)-4,5-dihydro-7,8-dimethoxy-4-methyl-3H-2,3-benzodiazepin-1-yl]- (CA INDEX NAME)

10/567,598



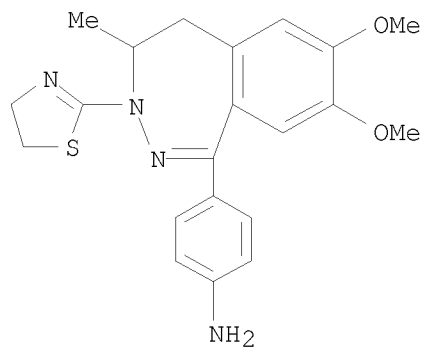
RN 1071134-82-1 CAPLUS

CN Benzenamine, 4-[4,5-dihydro-7,8-dimethoxy-4-methyl-3-(2-thiazolyl)-3H-2,3-benzodiazepin-1-yl]-2-methyl- (CA INDEX NAME)



RN 1071134-85-4 CAPLUS

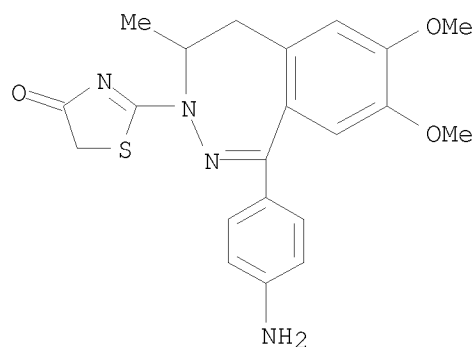
CN Benzenamine, 4-[3-(4,5-dihydro-2-thiazolyl)-4,5-dihydro-7,8-dimethoxy-4-methyl-3H-2,3-benzodiazepin-1-yl]- (CA INDEX NAME)



RN 1071134-87-6 CAPLUS

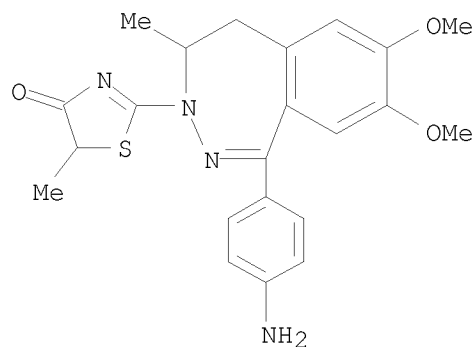
CN 4(5H)-Thiazolone, 2-[1-(4-aminophenyl)-4,5-dihydro-7,8-dimethoxy-4-methyl-3H-2,3-benzodiazepin-3-yl]- (CA INDEX NAME)

10/567,598



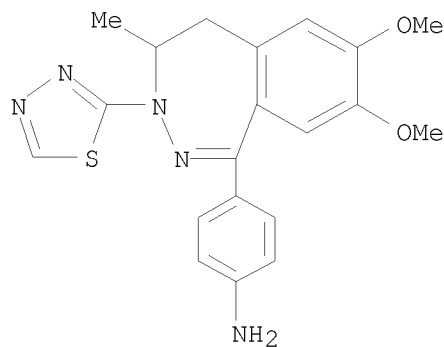
RN 1071134-90-1 CAPLUS

CN 4(5H)-Thiazolone, 2-[1-(4-aminophenyl)-4,5-dihydro-7,8-dimethoxy-4-methyl-3H-2,3-benzodiazepin-3-yl]-5-methyl- (CA INDEX NAME)



RN 1071134-95-6 CAPLUS

CN Benzenamine, 4-[4,5-dihydro-7,8-dimethoxy-4-methyl-3-(1,3,4-thiadiazol-2-yl)-3H-2,3-benzodiazepin-1-yl]- (CA INDEX NAME)

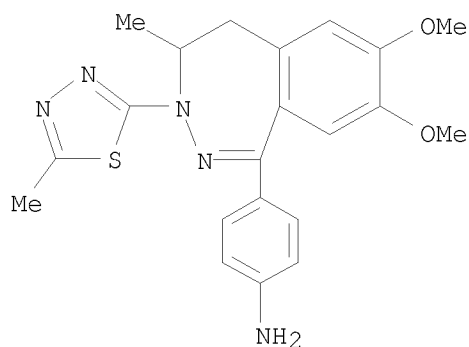


RN 1071134-98-9 CAPLUS

CN Benzenamine, 4-[4,5-dihydro-7,8-dimethoxy-4-methyl-3-(5-methyl-1,3,4-thiadiazol-2-yl)-3H-2,3-benzodiazepin-1-yl]- (CA INDEX NAME)

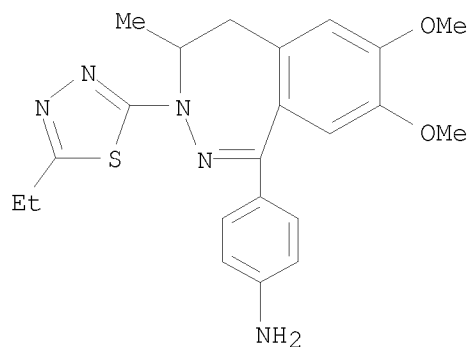


10/567,598



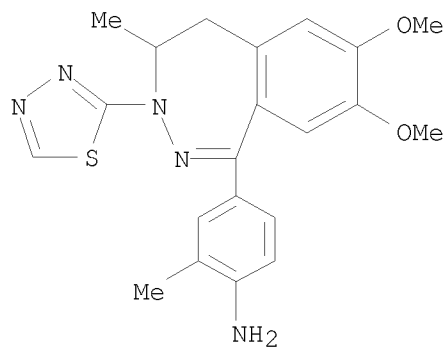
RN 1071135-01-7 CAPLUS

CN Benzenamine, 4-[3-(5-ethyl-1,3,4-thiadiazol-2-yl)-4,5-dihydro-7,8-dimethoxy-4-methyl-3H-2,3-benzodiazepin-1-yl]- (CA INDEX NAME)



RN 1071135-05-1 CAPLUS

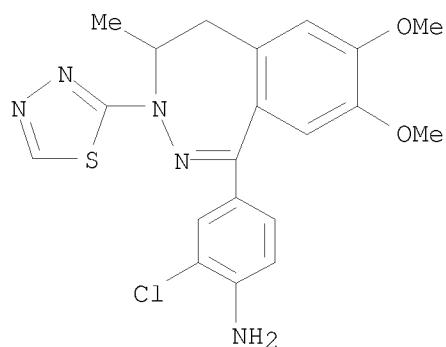
CN Benzenamine, 4-[4,5-dihydro-7,8-dimethoxy-4-methyl-3-(1,3,4-thiadiazol-2-yl)-3H-2,3-benzodiazepin-1-yl]-2-methyl- (CA INDEX NAME)



RN 1071135-07-3 CAPLUS

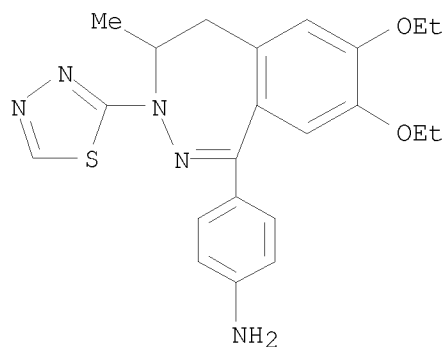
CN Benzenamine, 2-chloro-4-[4,5-dihydro-7,8-dimethoxy-4-methyl-3-(1,3,4-thiadiazol-2-yl)-3H-2,3-benzodiazepin-1-yl]- (CA INDEX NAME)

10/567,598



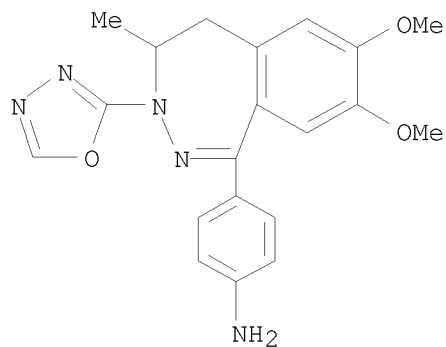
RN 1071135-11-9 CAPLUS

CN Benzenamine, 4-[7,8-diethoxy-4,5-dihydro-4-methyl-3-(1,3,4-thiadiazol-2-yl)-3H-2,3-benzodiazepin-1-yl]- (CA INDEX NAME)



RN 1071135-14-2 CAPLUS

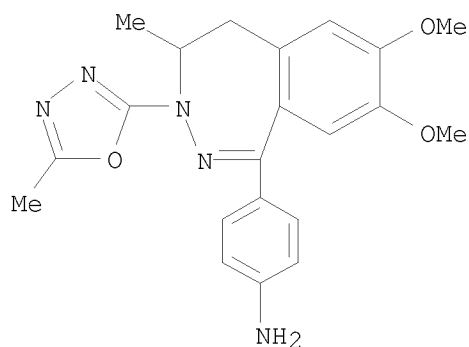
CN Benzenamine, 4-[4,5-dihydro-7,8-dimethoxy-4-methyl-3-(1,3,4-oxadiazol-2-yl)-3H-2,3-benzodiazepin-1-yl]- (CA INDEX NAME)



RN 1071135-18-6 CAPLUS

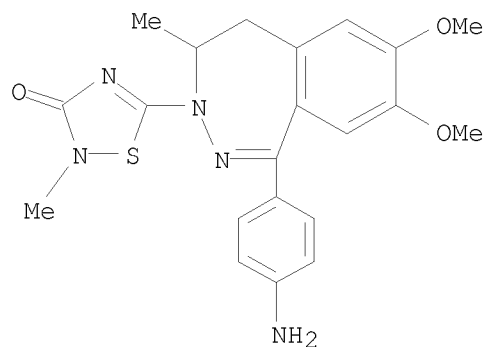
CN Benzenamine, 4-[4,5-dihydro-7,8-dimethoxy-4-methyl-3-(5-methyl-1,3,4-oxadiazol-2-yl)-3H-2,3-benzodiazepin-1-yl]- (CA INDEX NAME)

10/567,598



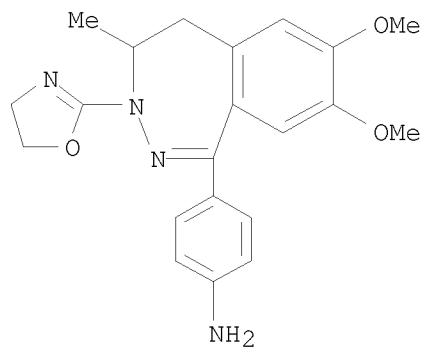
RN 1071135-22-2 CAPLUS

CN 1,2,4-Thiadiazol-3(2H)-one, 5-[1-(4-aminophenyl)-4,5-dihydro-7,8-dimethoxy-4-methyl-3H-2,3-benzodiazepin-3-yl]-2-methyl- (CA INDEX NAME)



RN 1071135-26-6 CAPLUS

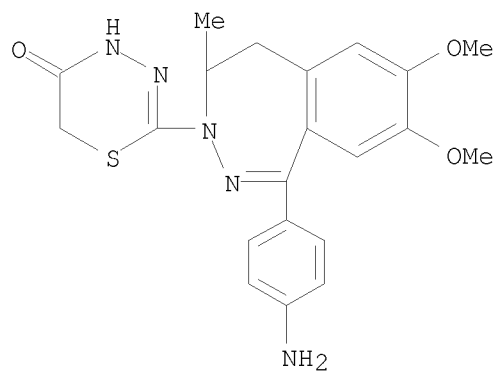
CN Benzenamine, 4-[3-(4,5-dihydro-2-oxazolyl)-4,5-dihydro-7,8-dimethoxy-4-methyl-3H-2,3-benzodiazepin-1-yl]- (CA INDEX NAME)



RN 1071135-28-8 CAPLUS

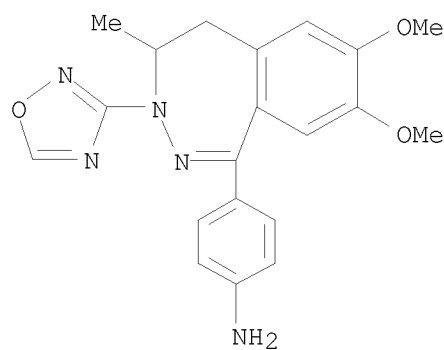
CN 4H-1,3,4-Thiadiazin-5(6H)-one, 2-[1-(4-aminophenyl)-4,5-dihydro-7,8-dimethoxy-4-methyl-3H-2,3-benzodiazepin-3-yl]- (CA INDEX NAME)

10/567,598



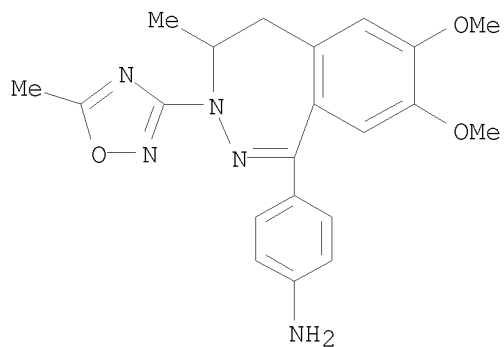
RN 1071135-31-3 CAPLUS

CN Benzenamine, 4-[4,5-dihydro-7,8-dimethoxy-4-methyl-3-(1,2,4-oxadiazol-3-yl)-3H-2,3-benzodiazepin-1-yl]- (CA INDEX NAME)



RN 1071135-35-7 CAPLUS

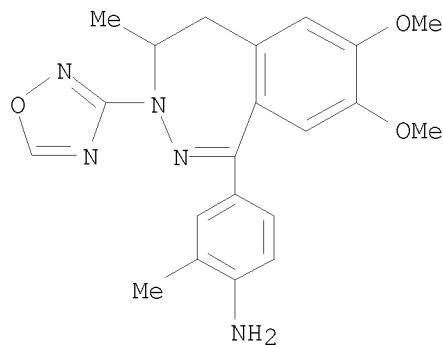
CN Benzenamine, 4-[4,5-dihydro-7,8-dimethoxy-4-methyl-3-(5-methyl-1,2,4-oxadiazol-3-yl)-3H-2,3-benzodiazepin-1-yl]- (CA INDEX NAME)



RN 1071135-37-9 CAPLUS

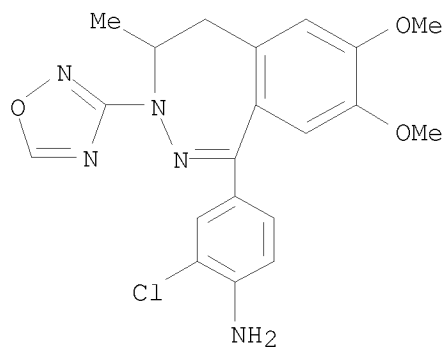
CN Benzenamine, 4-[4,5-dihydro-7,8-dimethoxy-4-methyl-3-(1,2,4-oxadiazol-3-yl)-3H-2,3-benzodiazepin-1-yl]-2-methyl- (CA INDEX NAME)

10/567,598



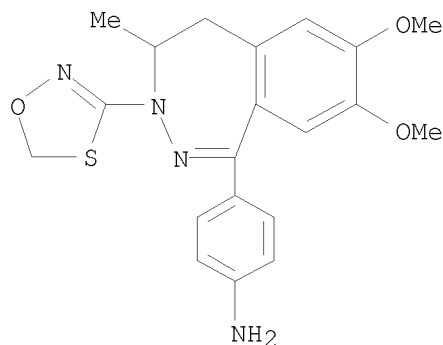
RN 1071135-41-5 CAPLUS

CN Benzenamine, 2-chloro-4-[4,5-dihydro-7,8-dimethoxy-4-methyl-3-(1,2,4-oxadiazol-3-yl)-3H-2,3-benzodiazepin-1-yl]- (CA INDEX NAME)



RN 1071135-46-0 CAPLUS

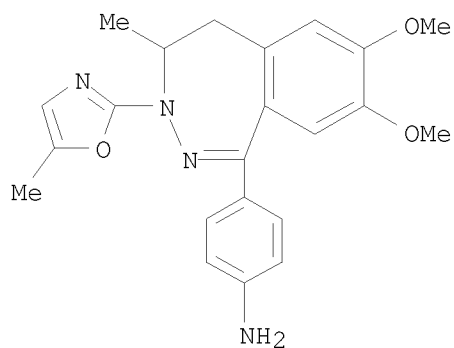
CN Benzenamine, 4-[4,5-dihydro-7,8-dimethoxy-4-methyl-3-(1,4,2-oxathiazol-3-yl)-3H-2,3-benzodiazepin-1-yl]- (CA INDEX NAME)



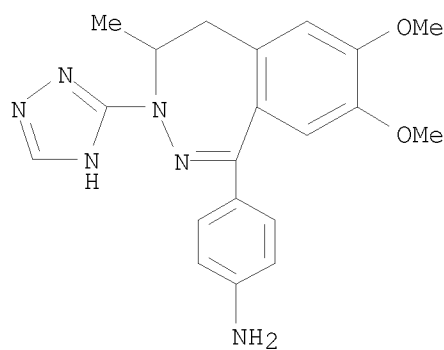
RN 1071135-49-3 CAPLUS

CN Benzenamine, 4-[4,5-dihydro-7,8-dimethoxy-4-methyl-3-(5-methyl-2-oxazolyl)-3H-2,3-benzodiazepin-1-yl]- (CA INDEX NAME)

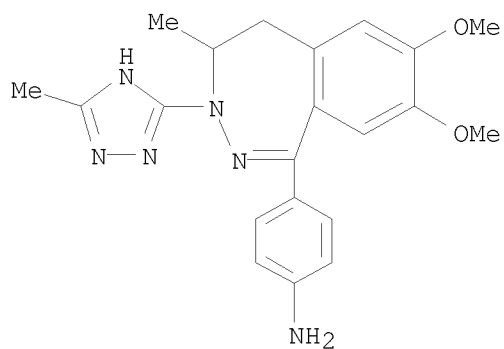
10/567,598



RN 1071135-54-0 CAPLUS  
CN Benzenamine, 4-[4,5-dihydro-7,8-dimethoxy-4-methyl-3-(1H-1,2,4-triazol-5-yl)-3H-2,3-benzodiazepin-1-yl]- (CA INDEX NAME)

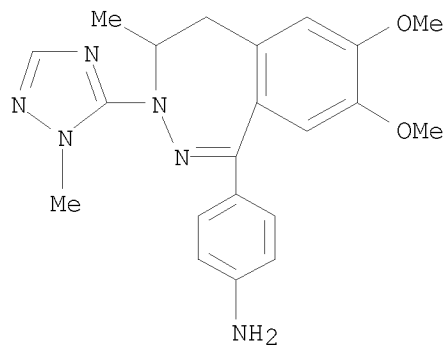


RN 1071135-56-2 CAPLUS  
CN Benzenamine, 4-[4,5-dihydro-7,8-dimethoxy-4-methyl-3-(3-methyl-1H-1,2,4-triazol-5-yl)-3H-2,3-benzodiazepin-1-yl]- (CA INDEX NAME)



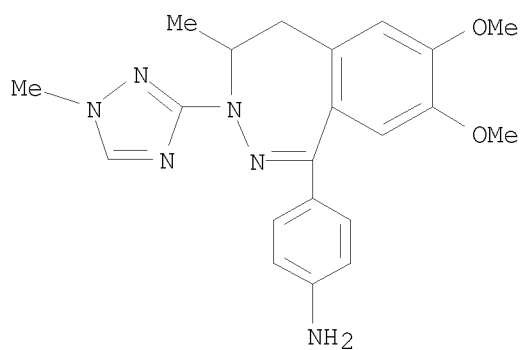
RN 1071135-59-5 CAPLUS  
CN Benzenamine, 4-[4,5-dihydro-7,8-dimethoxy-4-methyl-3-(1-methyl-1H-1,2,4-triazol-5-yl)-3H-2,3-benzodiazepin-1-yl]- (CA INDEX NAME)

10/567,598



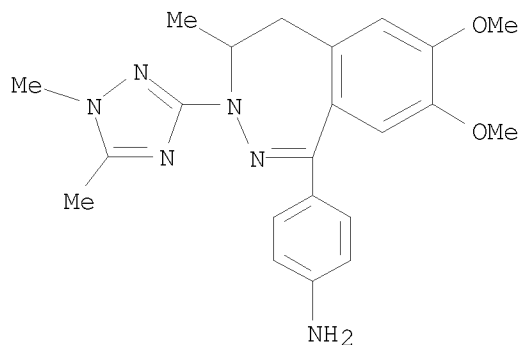
RN 1071135-64-2 CAPLUS

CN Benzenamine, 4-[4,5-dihydro-7,8-dimethoxy-4-methyl-3-(1-methyl-1H-1,2,4-triazol-3-yl)-3H-2,3-benzodiazepin-1-yl]- (CA INDEX NAME)



RN 1071135-66-4 CAPLUS

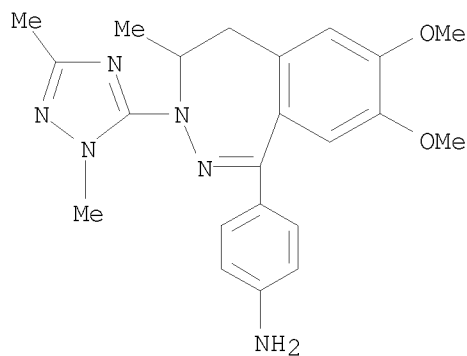
CN Benzenamine, 4-[3-(1,5-dimethyl-1H-1,2,4-triazol-3-yl)-4,5-dihydro-7,8-dimethoxy-4-methyl-3H-2,3-benzodiazepin-1-yl]- (CA INDEX NAME)



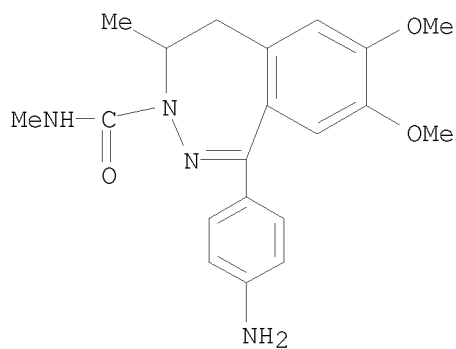
RN 1071135-68-6 CAPLUS

CN Benzenamine, 4-[3-(1,3-dimethyl-1H-1,2,4-triazol-5-yl)-4,5-dihydro-7,8-dimethoxy-4-methyl-3H-2,3-benzodiazepin-1-yl]- (CA INDEX NAME)

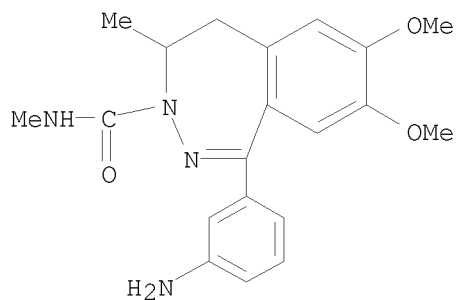
10/567,598



RN 1071135-73-3 CAPLUS  
CN 3H-2,3-Benzodiazepine-3-carboxamide,  
1-(4-aminophenyl)-4,5-dihydro-7,8-dimethoxy-N,4-dimethyl- (CA INDEX NAME)



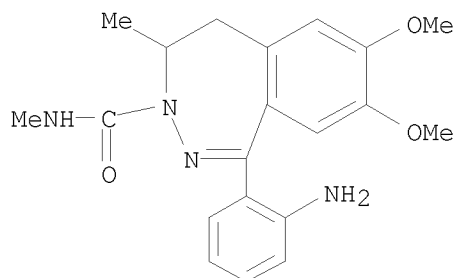
RN 1071135-76-6 CAPLUS  
CN 3H-2,3-Benzodiazepine-3-carboxamide,  
1-(3-aminophenyl)-4,5-dihydro-7,8-dimethoxy-N,4-dimethyl- (CA INDEX NAME)



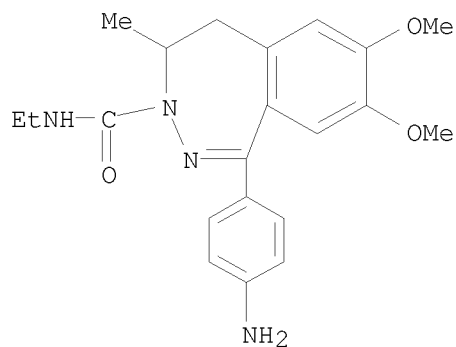
RN 1071135-79-9 CAPLUS  
CN 3H-2,3-Benzodiazepine-3-carboxamide,  
1-(2-aminophenyl)-4,5-dihydro-7,8-dimethoxy-N,4-dimethyl- (CA INDEX NAME)



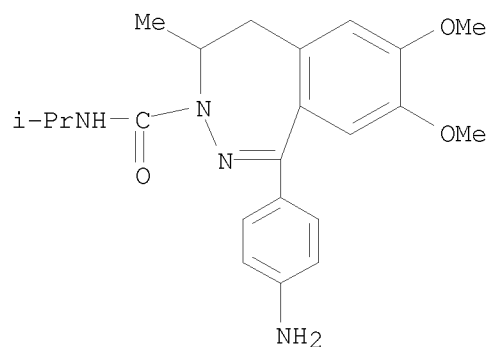
10/567,598



RN 1071135-81-3 CAPLUS  
CN 3H-2,3-Benzodiazepine-3-carboxamide,  
1-(4-aminophenyl)-N-ethyl-4,5-dihydro-7,8-dimethoxy-4-methyl- (CA INDEX  
NAME)



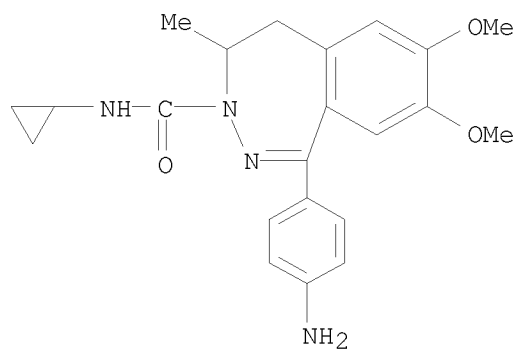
RN 1071135-83-5 CAPLUS  
CN 3H-2,3-Benzodiazepine-3-carboxamide,  
1-(4-aminophenyl)-4,5-dihydro-7,8-dimethoxy-4-methyl-N-(1-methylethyl)-  
(CA INDEX NAME)



RN 1071135-85-7 CAPLUS  
CN 3H-2,3-Benzodiazepine-3-carboxamide,  
1-(4-aminophenyl)-N-cyclopropyl-4,5-dihydro-7,8-dimethoxy-4-methyl- (CA

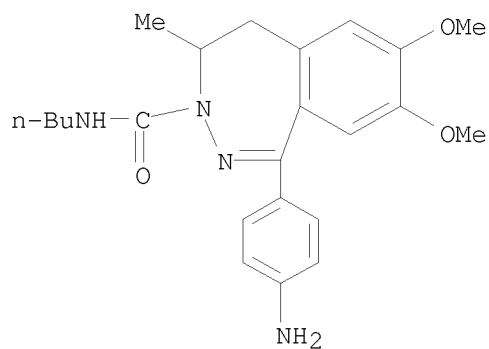
10/567,598

INDEX NAME)



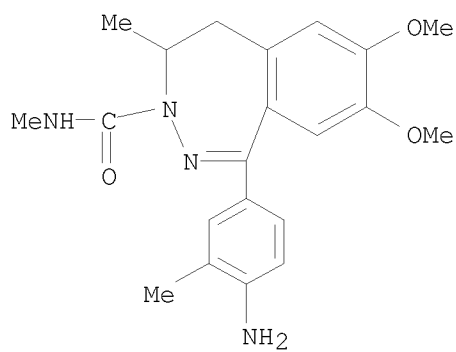
RN 1071135-87-9 CAPLUS

CN 3H-2,3-Benzodiazepine-3-carboxamide,  
1-(4-aminophenyl)-N-butyl-4,5-dihydro-7,8-dimethoxy-4-methyl- (CA INDEX  
NAME)



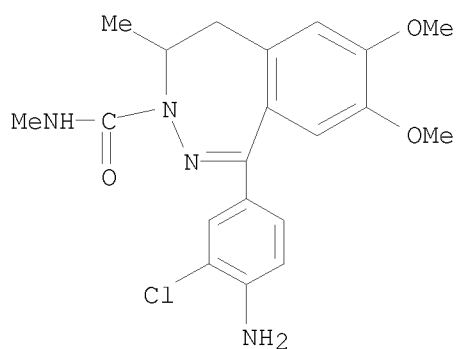
RN 1071135-91-5 CAPLUS

CN 3H-2,3-Benzodiazepine-3-carboxamide,  
1-(4-amino-3-methylphenyl)-4,5-dihydro-7,8-dimethoxy-N,4-dimethyl- (CA  
INDEX NAME)

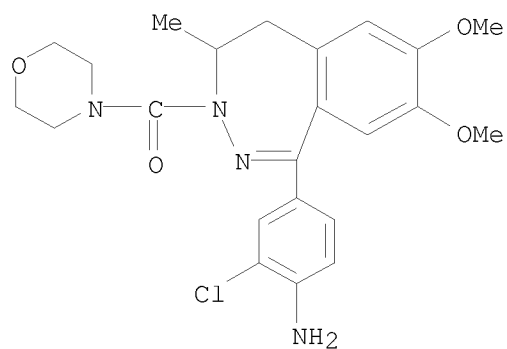


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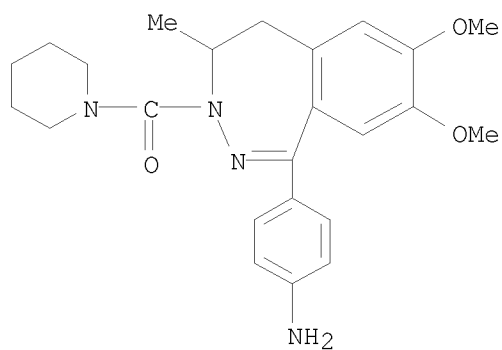
RN 1071135-94-8 CAPLUS  
CN 3H-2,3-Benzodiazepine-3-carboxamide,  
1-(4-amino-3-chlorophenyl)-4,5-dihydro-7,8-dimethoxy-N,4-dimethyl- (CA  
INDEX NAME)



RN 1071135-97-1 CAPLUS  
CN Methanone, [1-(4-amino-3-chlorophenyl)-4,5-dihydro-7,8-dimethoxy-4-methyl-  
3H-2,3-benzodiazepin-3-yl]-4-morpholinyl- (CA INDEX NAME)



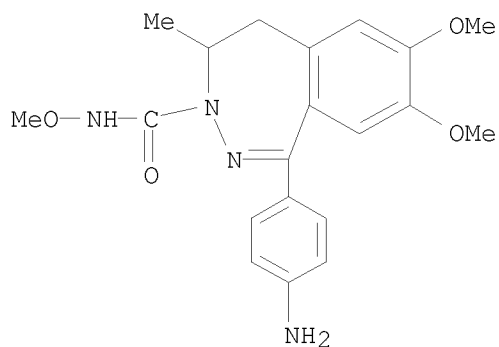
RN 1071135-99-3 CAPLUS  
CN Methanone, [1-(4-aminophenyl)-4,5-dihydro-7,8-dimethoxy-4-methyl-3H-2,3-  
benzodiazepin-3-yl]-1-piperidinyl- (CA INDEX NAME)



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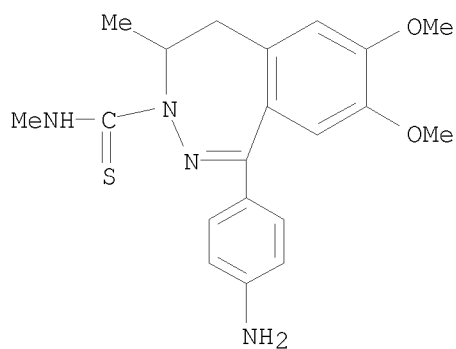
RN 1071136-04-3 CAPLUS

CN 3H-2,3-Benzodiazepine-3-carboxamide,  
1-(4-aminophenyl)-4,5-dihydro-N,7,8-trimethoxy-4-methyl- (CA INDEX NAME)



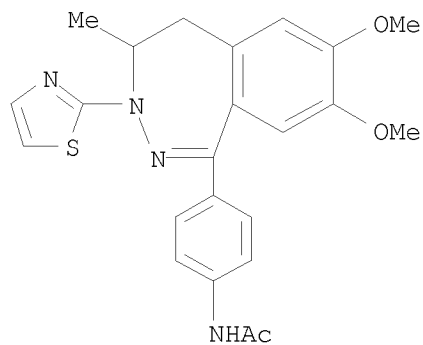
RN 1071136-07-6 CAPLUS

CN 3H-2,3-Benzodiazepine-3-carbothioamide,  
1-(4-aminophenyl)-4,5-dihydro-7,8-dimethoxy-N,4-dimethyl- (CA INDEX NAME)



RN 1071136-11-2 CAPLUS

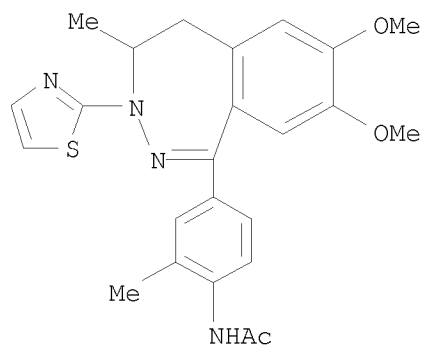
CN Acetamide, N-[4-[4,5-dihydro-7,8-dimethoxy-4-methyl-3-(2-thiazolyl)-3H-2,3-benzodiazepin-1-yl]phenyl]- (CA INDEX NAME)



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RN 1071136-14-5 CAPLUS

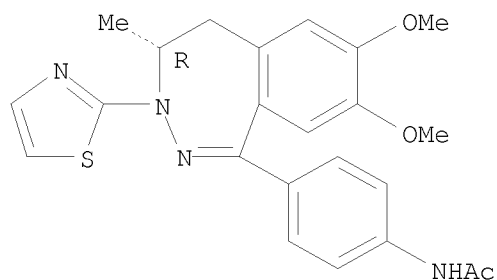
CN Acetamide, N-[4-[4,5-dihydro-7,8-dimethoxy-4-methyl-3-(2-thiazolyl)-3H-2,3-benzodiazepin-1-yl]-2-methylphenyl]- (CA INDEX NAME)



RN 1071136-16-7 CAPLUS

CN Acetamide, N-[4-[(4R)-4,5-dihydro-7,8-dimethoxy-4-methyl-3-(2-thiazolyl)-3H-2,3-benzodiazepin-1-yl]phenyl]- (CA INDEX NAME)

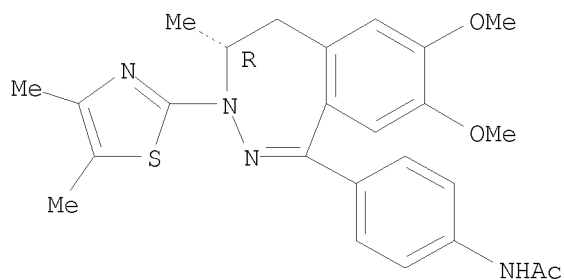
Absolute stereochemistry. Rotation (-).



RN 1071136-18-9 CAPLUS

CN Acetamide, N-[4-[(4R)-3-(4,5-dimethyl-2-thiazolyl)-4,5-dihydro-7,8-dimethoxy-4-methyl-3H-2,3-benzodiazepin-1-yl]phenyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

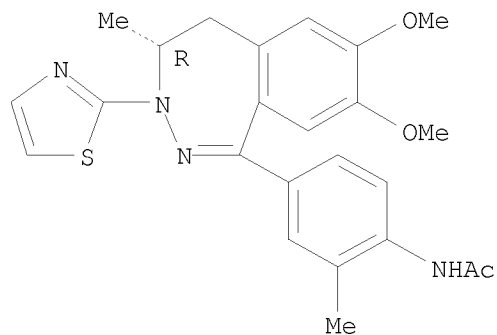


RN 1071136-23-6 CAPLUS

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CN Acetamide, N-[4-[(4R)-4,5-dihydro-7,8-dimethoxy-4-methyl-3-(2-thiazolyl)-3H-2,3-benzodiazepin-1-yl]-2-methylphenyl]- (CA INDEX NAME)

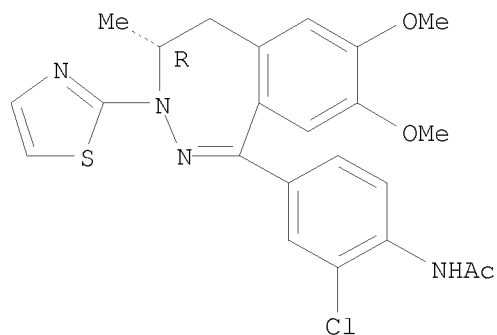
Absolute stereochemistry. Rotation (-).



RN 1071136-25-8 CAPLUS

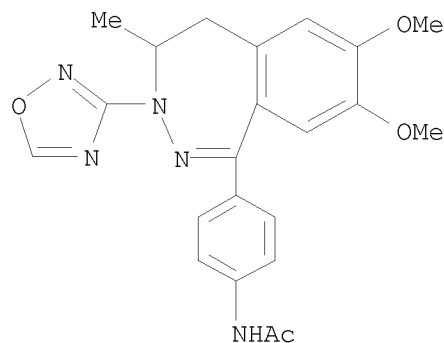
CN Acetamide, N-[2-chloro-4-[(4R)-4,5-dihydro-7,8-dimethoxy-4-methyl-3-(2-thiazolyl)-3H-2,3-benzodiazepin-1-yl]phenyl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 1071136-30-5 CAPLUS

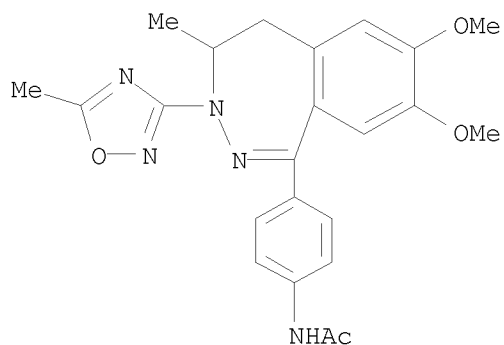
CN Acetamide, N-[4-[4,5-dihydro-7,8-dimethoxy-4-methyl-3-(1,2,4-oxadiazol-3-yl)-3H-2,3-benzodiazepin-1-yl]phenyl]- (CA INDEX NAME)



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RN 1071136-34-9 CAPLUS

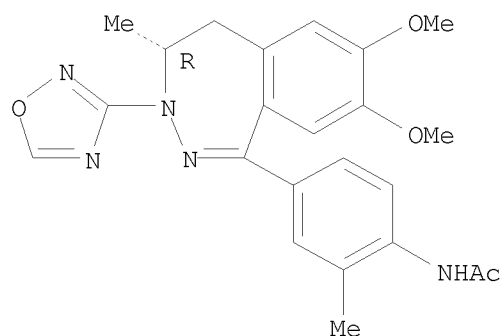
CN Acetamide, N-[4-[4,5-dihydro-7,8-dimethoxy-4-methyl-3-(5-methyl-1,2,4-oxadiazol-3-yl)-3H-2,3-benzodiazepin-1-yl]phenyl]- (CA INDEX NAME)



RN 1071136-36-1 CAPLUS

CN Acetamide, N-[4-[(4R)-4,5-dihydro-7,8-dimethoxy-4-methyl-3-(1,2,4-oxadiazol-3-yl)-3H-2,3-benzodiazepin-1-yl]-2-methylphenyl]- (CA INDEX NAME)

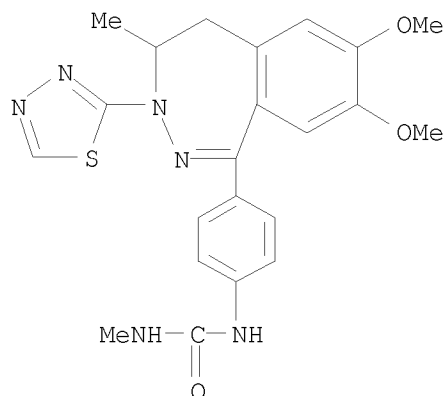
Absolute stereochemistry. Rotation (-).



RN 1071136-41-8 CAPLUS

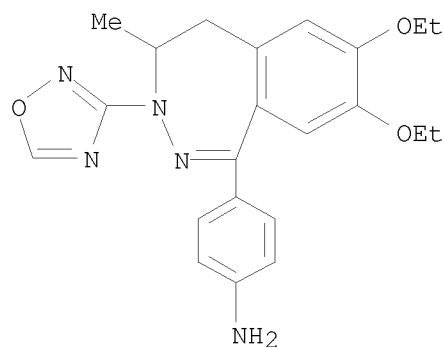
CN Urea, N-[4-[4,5-dihydro-7,8-dimethoxy-4-methyl-3-(1,3,4-thiadiazol-2-yl)-3H-2,3-benzodiazepin-1-yl]phenyl]-N'-methyl- (CA INDEX NAME)

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RN 1071210-68-8 CAPLUS

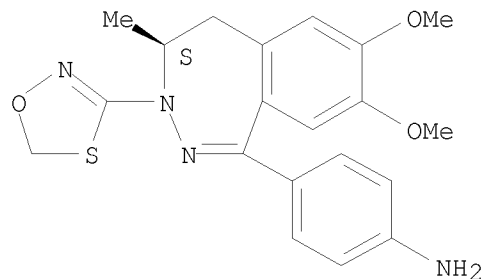
CN Benzenamine, 4-[7,8-diethoxy-4,5-dihydro-4-methyl-3-(1,2,4-oxadiazol-3-yl)-3H-2,3-benzodiazepin-1-yl]- (CA INDEX NAME)



RN 1071779-70-8 CAPLUS

CN Benzenamine, 4-[(4S)-4,5-dihydro-7,8-dimethoxy-4-methyl-3-(1,4,2-oxathiazol-3-yl)-3H-2,3-benzodiazepin-1-yl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



IT	84351-14-4P	102719-54-0P	102727-89-9P
	102727-90-2P	102727-91-3P	1071136-72-5P
	1071136-76-9P	1071136-77-0P	1071136-79-2P



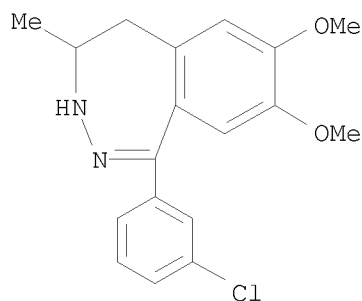
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1071136-95-2P	1071136-97-4P	1071137-01-3P
1071137-05-7P	1071137-20-6P	1071137-23-9P
1071137-26-2P	1071137-28-4P	1071137-30-8P
1071137-33-1P	1071137-37-5P	1071137-41-1P
1071137-45-5P	1071137-48-8P	1071137-52-4P
1071137-54-6P	1071137-57-9P	1071137-61-5P
1071137-63-7P	1071137-65-9P	1071137-67-1P
1071137-69-3P	1071137-71-7P	1071137-74-0P
1071137-78-4P	1071137-80-8P	1071137-83-1P
1071137-86-4P	1071137-89-7P	1071137-90-0P
1071137-94-4P	1071137-95-5P	1071137-96-6P
1071139-99-5P	1071140-03-8P	1071140-52-7P
1071140-58-3P	1071210-73-5P	

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of benzodiazepine derivs. as adenosine transporter inhibitors useful in the treatment of psychotic diseases)

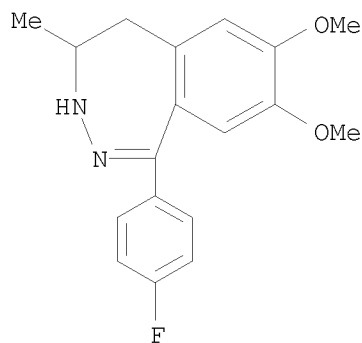
RN 84351-14-4 CAPLUS

CN 3H-2,3-Benzodiazepine, 1-(3-chlorophenyl)-4,5-dihydro-7,8-dimethoxy-4-methyl- (CA INDEX NAME)



RN 102719-54-0 CAPLUS

CN 3H-2,3-Benzodiazepine, 1-(4-fluorophenyl)-4,5-dihydro-7,8-dimethoxy-4-methyl- (CA INDEX NAME)

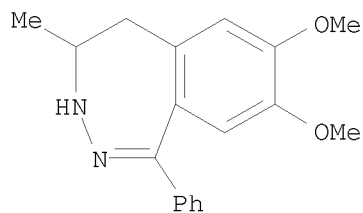


RN 102727-89-9 CAPLUS

CN 3H-2,3-Benzodiazepine, 4,5-dihydro-7,8-dimethoxy-4-methyl-1-phenyl- (CA INDEX NAME)

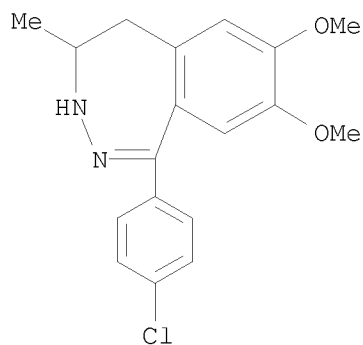
10/567,598

INDEX NAME)



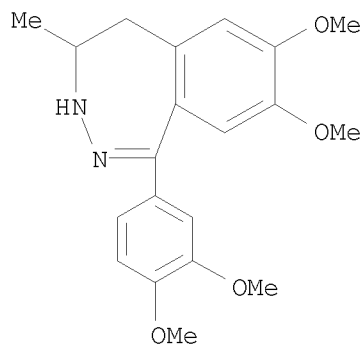
RN 102727-90-2 CAPLUS

CN 3H-2,3-Benzodiazepine, 1-(4-chlorophenyl)-4,5-dihydro-7,8-dimethoxy-4-methyl- (CA INDEX NAME)



RN 102727-91-3 CAPLUS

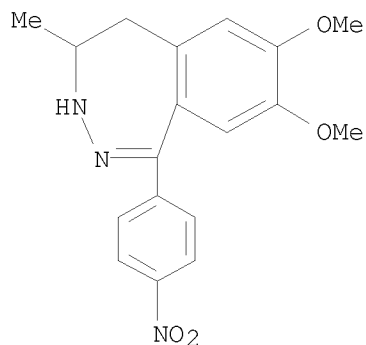
CN 3H-2,3-Benzodiazepine, 1-(3,4-dimethoxyphenyl)-4,5-dihydro-7,8-dimethoxy-4-methyl- (CA INDEX NAME)



RN 1071136-72-5 CAPLUS

CN 3H-2,3-Benzodiazepine, 4,5-dihydro-7,8-dimethoxy-4-methyl-1-(4-nitrophenyl)- (CA INDEX NAME)

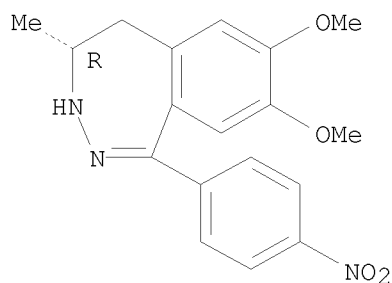
10/567,598



RN 1071136-76-9 CAPLUS

CN 3H-2,3-Benzodiazepine, 4,5-dihydro-7,8-dimethoxy-4-methyl-1-(4-nitrophenyl)-, (4R)- (CA INDEX NAME)

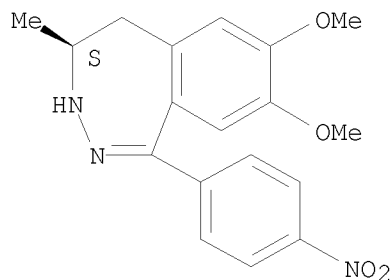
Absolute stereochemistry. Rotation (+).



RN 1071136-77-0 CAPLUS

CN 3H-2,3-Benzodiazepine, 4,5-dihydro-7,8-dimethoxy-4-methyl-1-(4-nitrophenyl)-, (4S)- (CA INDEX NAME)

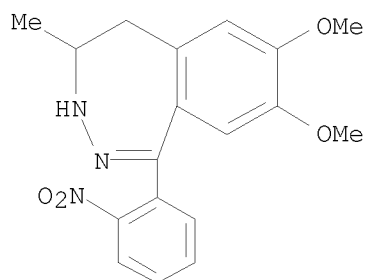
Absolute stereochemistry. Rotation (-).



RN 1071136-79-2 CAPLUS

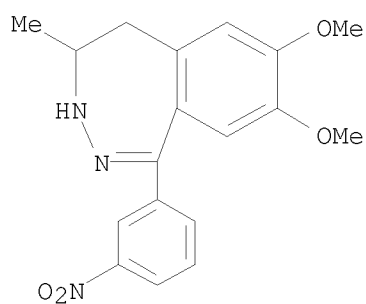
CN 3H-2,3-Benzodiazepine, 4,5-dihydro-7,8-dimethoxy-4-methyl-1-(2-nitrophenyl)- (CA INDEX NAME)

10/567,598



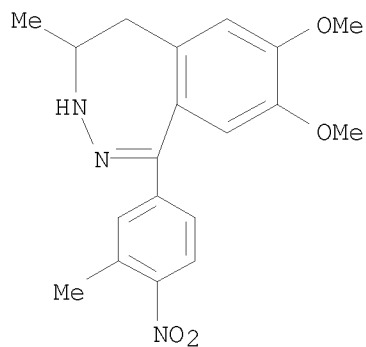
RN 1071136-83-8 CAPLUS

CN 3H-2,3-Benzodiazepine, 4,5-dihydro-7,8-dimethoxy-4-methyl-1-(3-nitrophenyl)- (CA INDEX NAME)



RN 1071136-88-3 CAPLUS

CN 3H-2,3-Benzodiazepine, 4,5-dihydro-7,8-dimethoxy-4-methyl-1-(3-methyl-4-nitrophenyl)- (CA INDEX NAME)

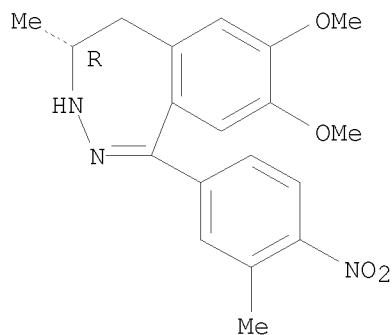


RN 1071136-92-9 CAPLUS

CN 3H-2,3-Benzodiazepine, 4,5-dihydro-7,8-dimethoxy-4-methyl-1-(3-methyl-4-nitrophenyl)-, (4R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

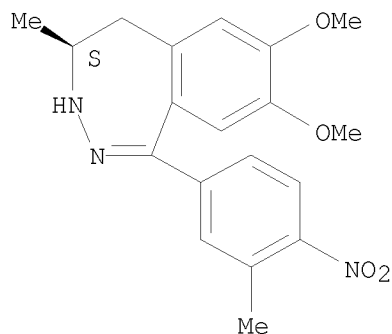
10/567,598



RN 1071136-95-2 CAPLUS

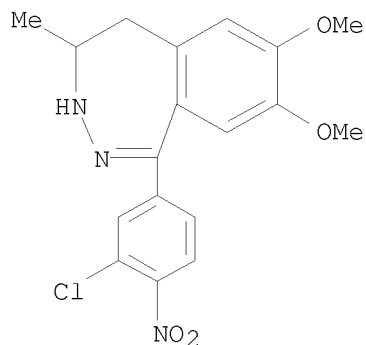
CN 3H-2,3-Benzodiazepine, 4,5-dihydro-7,8-dimethoxy-4-methyl-1-(3-methyl-4-nitrophenyl)-, (4S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 1071136-97-4 CAPLUS

CN 3H-2,3-Benzodiazepine, 1-(3-chloro-4-nitrophenyl)-4,5-dihydro-7,8-dimethoxy-4-methyl- (CA INDEX NAME)

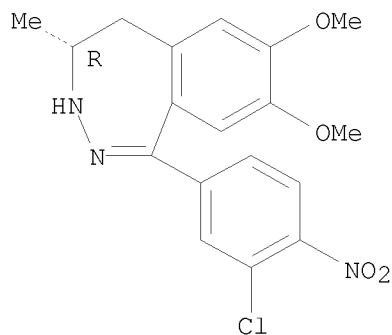


RN 1071137-01-3 CAPLUS

CN 3H-2,3-Benzodiazepine, 1-(3-chloro-4-nitrophenyl)-4,5-dihydro-7,8-dimethoxy-4-methyl-, (4R)- (CA INDEX NAME)

10/567,598

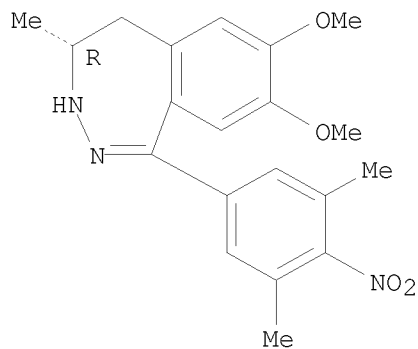
Absolute stereochemistry. Rotation (-).



RN 1071137-05-7 CAPLUS

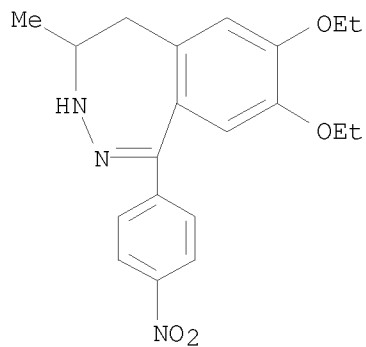
CN 3H-2,3-Benzodiazepine, 1-(3,5-dimethyl-4-nitrophenyl)-4,5-dihydro-7,8-dimethoxy-4-methyl-, (4R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 1071137-20-6 CAPLUS

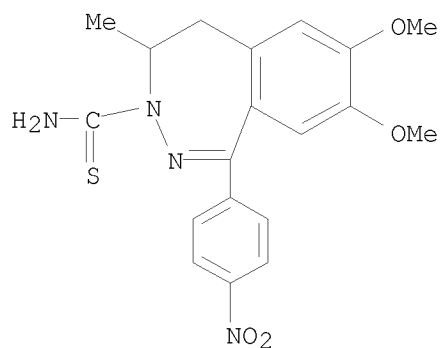
CN 3H-2,3-Benzodiazepine, 7,8-diethoxy-4,5-dihydro-4-methyl-1-(4-nitrophenyl)- (CA INDEX NAME)



RN 1071137-23-9 CAPLUS

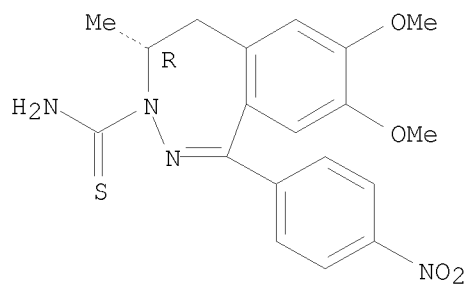
10/567,598

CN 3H-2,3-Benzodiazepine-3-carbothioamide,  
4,5-dihydro-7,8-dimethoxy-4-methyl-1-(4-nitrophenyl)- (CA INDEX NAME)

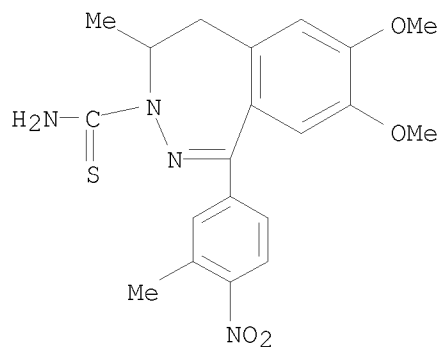


RN 1071137-26-2 CAPLUS  
CN 3H-2,3-Benzodiazepine-3-carbothioamide,  
4,5-dihydro-7,8-dimethoxy-4-methyl-1-(4-nitrophenyl)-, (4R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



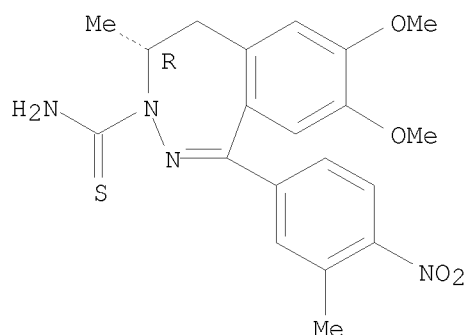
RN 1071137-28-4 CAPLUS  
CN 3H-2,3-Benzodiazepine-3-carbothioamide,  
4,5-dihydro-7,8-dimethoxy-4-methyl-1-(3-methyl-4-nitrophenyl)- (CA INDEX NAME)



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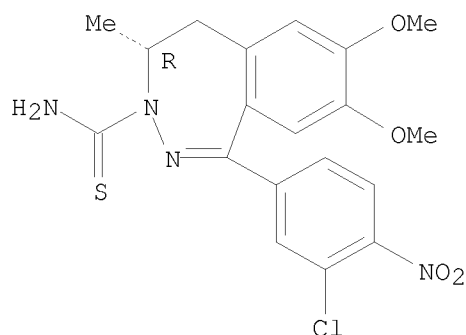
RN 1071137-30-8 CAPLUS  
CN 3H-2,3-Benzodiazepine-3-carbothioamide,  
4,5-dihydro-7,8-dimethoxy-4-methyl-1-(3-methyl-4-nitrophenyl)-, (4R)- (CA  
INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 1071137-33-1 CAPLUS  
CN 3H-2,3-Benzodiazepine-3-carbothioamide,  
1-(3-chloro-4-nitrophenyl)-4,5-dihydro-7,8-dimethoxy-4-methyl-, (4R)- (CA  
INDEX NAME)

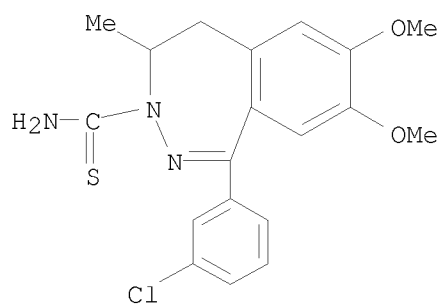
Absolute stereochemistry. Rotation (-).



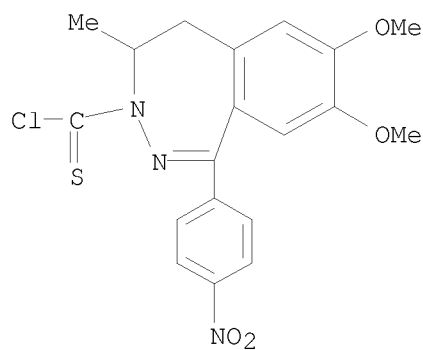
RN 1071137-37-5 CAPLUS  
CN 3H-2,3-Benzodiazepine-3-carbothioamide,  
1-(3-chlorophenyl)-4,5-dihydro-7,8-dimethoxy-4-methyl- (CA INDEX NAME)



10/567,598

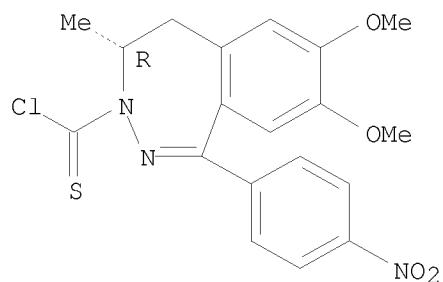


RN 1071137-41-1 CAPLUS  
CN 3H-2,3-Benzodiazepine-3-carbothioyl chloride,  
4,5-dihydro-7,8-dimethoxy-4-methyl-1-(4-nitrophenyl)- (CA INDEX NAME)



RN 1071137-45-5 CAPLUS  
CN 3H-2,3-Benzodiazepine-3-carbothioyl chloride,  
4,5-dihydro-7,8-dimethoxy-4-methyl-1-(4-nitrophenyl)-, (4R)- (CA INDEX NAME)

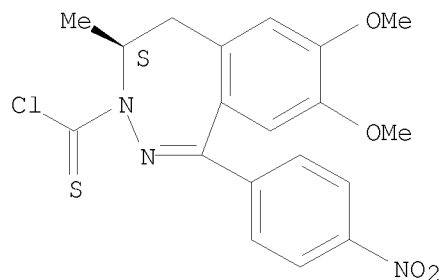
Absolute stereochemistry. Rotation (-).



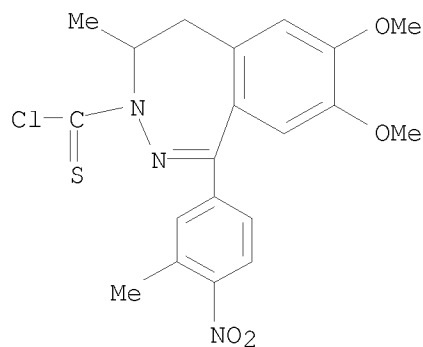
RN 1071137-48-8 CAPLUS  
CN 3H-2,3-Benzodiazepine-3-carbothioyl chloride,  
4,5-dihydro-7,8-dimethoxy-4-methyl-1-(4-nitrophenyl)-, (4S)- (CA INDEX NAME)

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Absolute stereochemistry. Rotation (+).

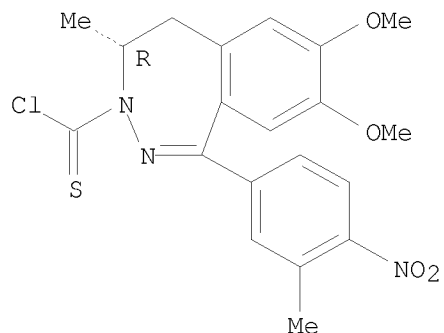


RN 1071137-52-4 CAPLUS  
CN 3H-2,3-Benzodiazepine-3-carbothioyl chloride,  
4,5-dihydro-7,8-dimethoxy-4-methyl-1-(3-methyl-4-nitrophenyl)- (CA INDEX  
NAME)



RN 1071137-54-6 CAPLUS  
CN 3H-2,3-Benzodiazepine-3-carbothioyl chloride,  
4,5-dihydro-7,8-dimethoxy-4-methyl-1-(3-methyl-4-nitrophenyl)-, (4R)- (CA  
INDEX NAME)

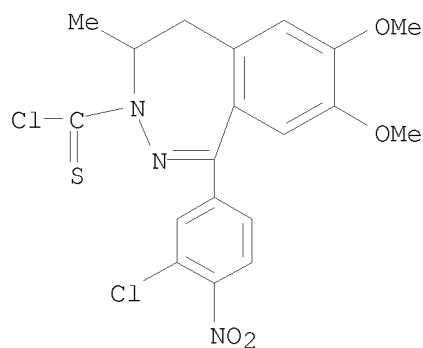
Absolute stereochemistry. Rotation (-).



RN 1071137-57-9 CAPLUS  
CN 3H-2,3-Benzodiazepine-3-carbothioyl chloride,

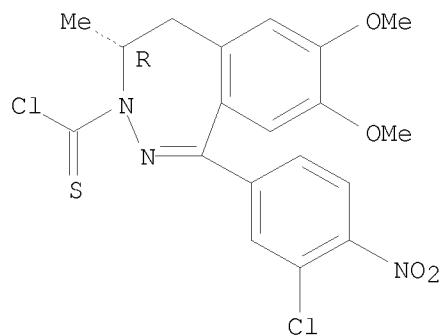
10/567,598

1-(3-chloro-4-nitrophenyl)-4,5-dihydro-7,8-dimethoxy-4-methyl- (CA INDEX NAME)

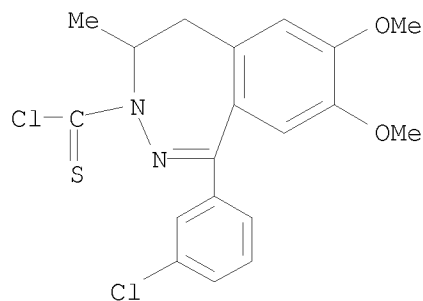


RN 1071137-61-5 CAPLUS  
CN 3H-2,3-Benzodiazepine-3-carbothioyl chloride,  
1-(3-chloro-4-nitrophenyl)-4,5-dihydro-7,8-dimethoxy-4-methyl-, (4R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

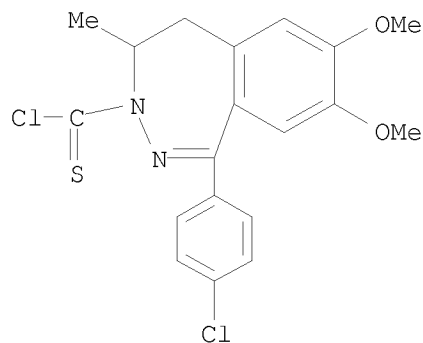


RN 1071137-63-7 CAPLUS  
CN 3H-2,3-Benzodiazepine-3-carbothioyl chloride,  
1-(3-chlorophenyl)-4,5-dihydro-7,8-dimethoxy-4-methyl- (CA INDEX NAME)

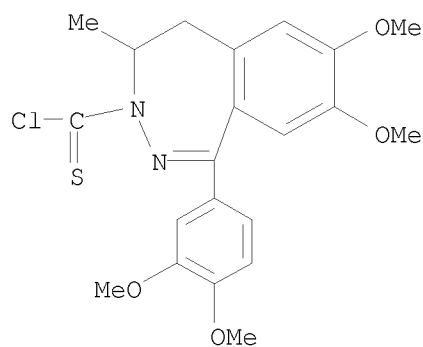


10/567,598

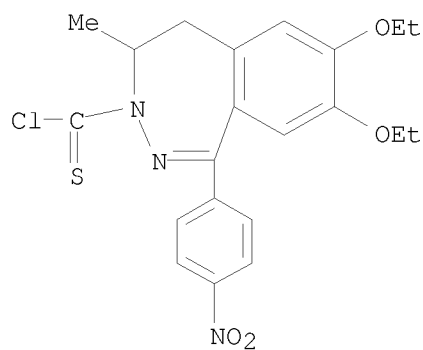
RN 1071137-65-9 CAPLUS  
CN 3H-2,3-Benzodiazepine-3-carbothioyl chloride,  
1-(4-chlorophenyl)-4,5-dihydro-7,8-dimethoxy-4-methyl- (CA INDEX NAME)



RN 1071137-67-1 CAPLUS  
CN 3H-2,3-Benzodiazepine-3-carbothioyl chloride,  
1-(3,4-dimethoxyphenyl)-4,5-dihydro-7,8-dimethoxy-4-methyl- (CA INDEX NAME)



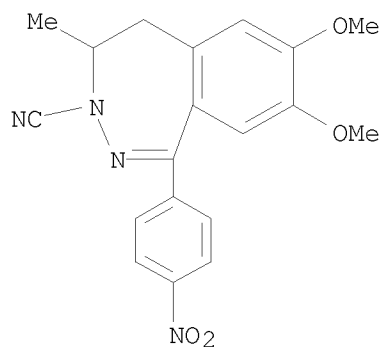
RN 1071137-69-3 CAPLUS  
CN 3H-2,3-Benzodiazepine-3-carbothioyl chloride,  
7,8-diethoxy-4,5-dihydro-4-methyl-1-(4-nitrophenyl)- (CA INDEX NAME)



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RN 1071137-71-7 CAPLUS

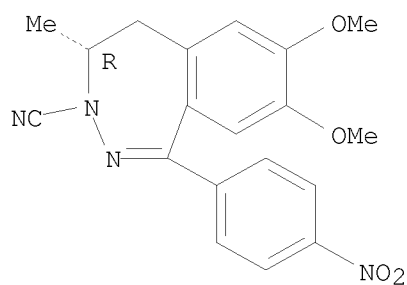
CN 3H-2,3-Benzodiazepine-3-carbonitrile,  
4,5-dihydro-7,8-dimethoxy-4-methyl-1-(4-nitrophenyl)- (CA INDEX NAME)



RN 1071137-74-0 CAPLUS

CN 3H-2,3-Benzodiazepine-3-carbonitrile,  
4,5-dihydro-7,8-dimethoxy-4-methyl-1-(4-nitrophenyl)-, (4R)- (CA INDEX NAME)

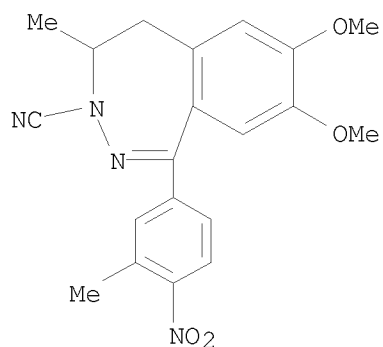
Absolute stereochemistry. Rotation (+).



RN 1071137-78-4 CAPLUS

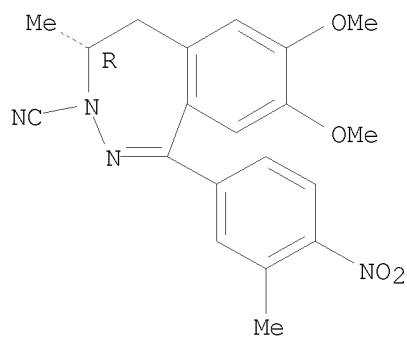
CN 3H-2,3-Benzodiazepine-3-carbonitrile,  
4,5-dihydro-7,8-dimethoxy-4-methyl-1-(3-methyl-4-nitrophenyl)- (CA INDEX NAME)

10/567,598



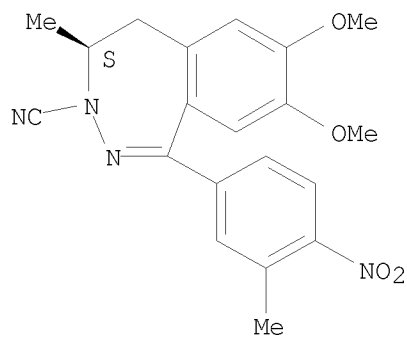
RN 1071137-80-8 CAPLUS  
CN 3H-2,3-Benzodiazepine-3-carbonitrile,  
4,5-dihydro-7,8-dimethoxy-4-methyl-1-(3-methyl-4-nitrophenyl)-, (4R)- (CA  
INDEX NAME)

Absolute stereochemistry. Rotation (+).



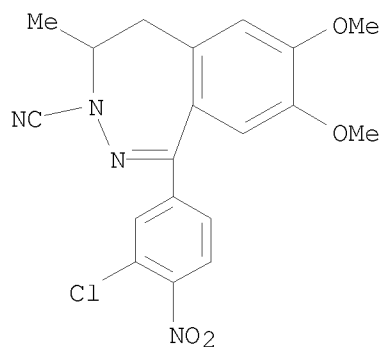
RN 1071137-83-1 CAPLUS  
CN 3H-2,3-Benzodiazepine-3-carbonitrile,  
4,5-dihydro-7,8-dimethoxy-4-methyl-1-(3-methyl-4-nitrophenyl)-, (4S)- (CA  
INDEX NAME)

Absolute stereochemistry. Rotation (-).



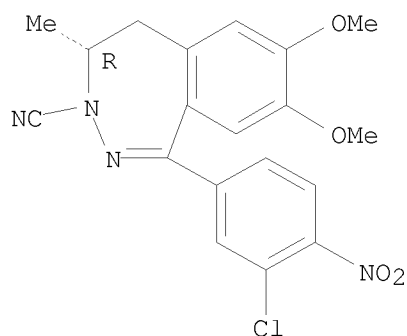
10/567,598

RN 1071137-86-4 CAPLUS  
CN 3H-2,3-Benzodiazepine-3-carbonitrile,  
1-(3-chloro-4-nitrophenyl)-4,5-dihydro-7,8-dimethoxy-4-methyl- (CA INDEX  
NAME)



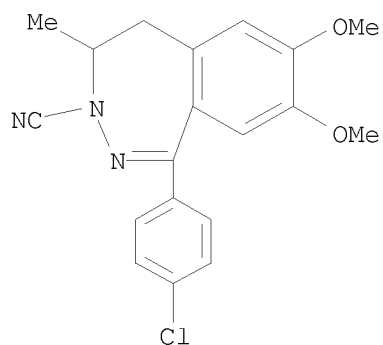
RN 1071137-89-7 CAPLUS  
CN 3H-2,3-Benzodiazepine-3-carbonitrile,  
1-(3-chloro-4-nitrophenyl)-4,5-dihydro-7,8-dimethoxy-4-methyl-, (4R)- (CA  
INDEX NAME)

Absolute stereochemistry. Rotation (+).

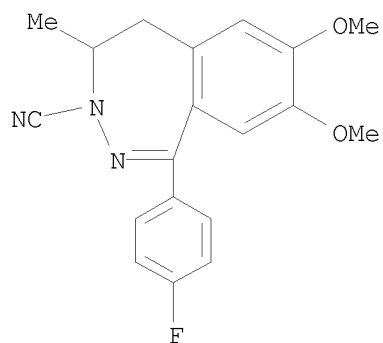


RN 1071137-90-0 CAPLUS  
CN 3H-2,3-Benzodiazepine-3-carbonitrile,  
1-(4-chlorophenyl)-4,5-dihydro-7,8-dimethoxy-4-methyl- (CA INDEX NAME)

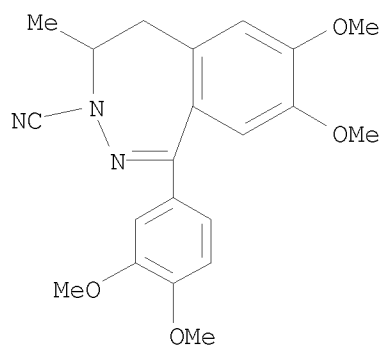
10/567,598



RN 1071137-94-4 CAPLUS  
CN 3H-2,3-Benzodiazepine-3-carbonitrile,  
1-(4-fluorophenyl)-4,5-dihydro-7,8-dimethoxy-4-methyl- (CA INDEX NAME)



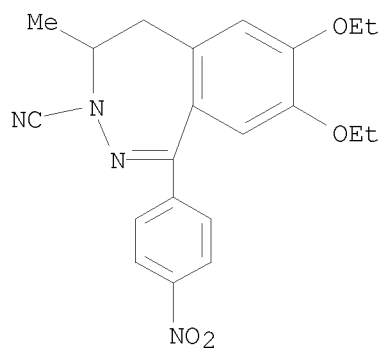
RN 1071137-95-5 CAPLUS  
CN 3H-2,3-Benzodiazepine-3-carbonitrile,  
1-(3,4-dimethoxyphenyl)-4,5-dihydro-7,8-dimethoxy-4-methyl- (CA INDEX NAME)



RN 1071137-96-6 CAPLUS  
CN 3H-2,3-Benzodiazepine-3-carbonitrile,  
7,8-diethoxy-4,5-dihydro-4-methyl-1-(4-nitrophenyl)- (CA INDEX NAME)

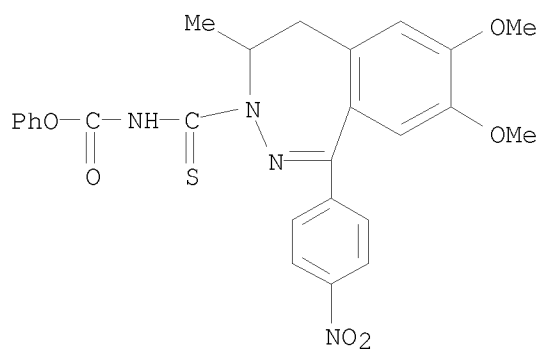


10/567,598



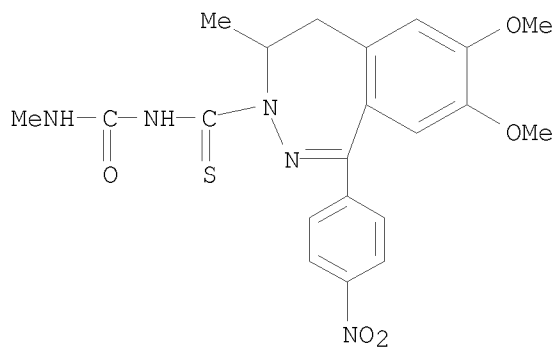
RN 1071139-99-5 CAPLUS

CN Carbamic acid, N-[[4,5-dihydro-7,8-dimethoxy-4-methyl-1-(4-nitrophenyl)-3H-2,3-benzodiazepin-3-yl]thioxomethyl]-, phenyl ester (CA INDEX NAME)



RN 1071140-03-8 CAPLUS

CN 3H-2,3-Benzodiazepine-3-carbothioamide,  
4,5-dihydro-7,8-dimethoxy-4-methyl-N-[(methylamino)carbonyl]-1-(4-nitrophenyl)- (CA INDEX NAME)

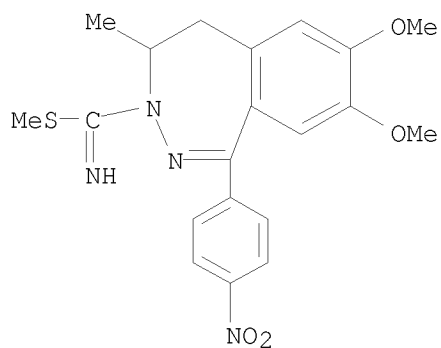


RN 1071140-52-7 CAPLUS

CN 3H-2,3-Benzodiazepine-3-carboximidothioic acid,

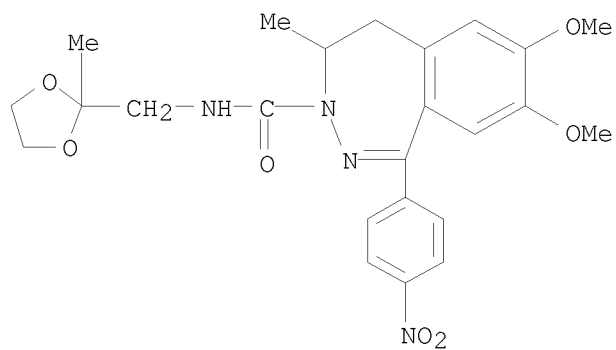
10/567,598

4,5-dihydro-7,8-dimethoxy-4-methyl-1-(4-nitrophenyl)-, methyl ester (CA INDEX NAME)



RN 1071140-58-3 CAPLUS

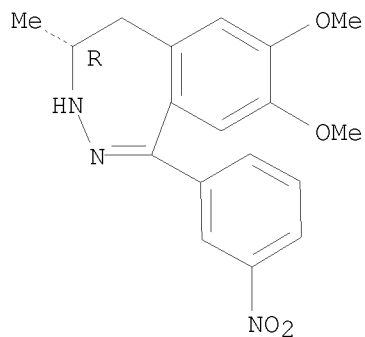
CN 3H-2,3-Benzodiazepine-3-carboxamide,  
4,5-dihydro-7,8-dimethoxy-4-methyl-N-[(2-methyl-1,3-dioxolan-2-yl)methyl]-  
1-(4-nitrophenyl)- (CA INDEX NAME)



RN 1071210-73-5 CAPLUS

CN 3H-2,3-Benzodiazepine, 4,5-dihydro-7,8-dimethoxy-4-methyl-1-(3-nitrophenyl)-, (4R)- (CA INDEX NAME)

Absolute stereochemistry.



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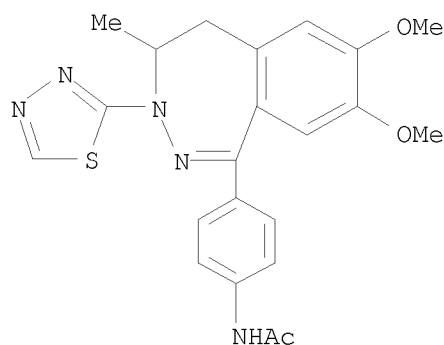
IT 1071210-71-3P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of benzodiazepine derivs. as adenosine transporter inhibitors useful in the treatment of psychotic diseases)

RN 1071210-71-3 CAPLUS

CN Acetamide, N-[4-[4,5-dihydro-7,8-dimethoxy-4-methyl-3-(1,3,4-thiadiazol-2-yl)-3H-2,3-benzodiazepin-1-yl]phenyl]- (CA INDEX NAME)



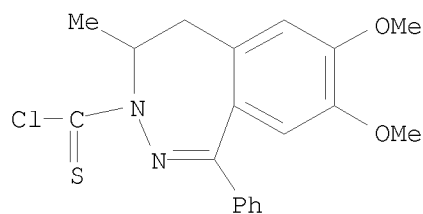
IT 1234381-05-5 1234381-06-6

RL: RCT (Reactant); RACT (Reactant or reagent)

(preparation of benzodiazepine derivs. as adenosine transporter inhibitors useful in the treatment of psychotic diseases)

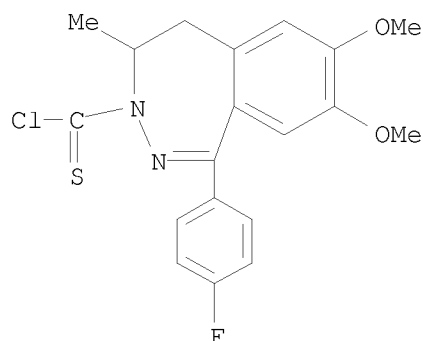
RN 1234381-05-5 CAPLUS

CN 3H-2,3-Benzodiazepine-3-carbothioyl chloride, 4,5-dihydro-7,8-dimethoxy-4-methyl-1-phenyl- (CA INDEX NAME)



RN 1234381-06-6 CAPLUS

CN 3H-2,3-Benzodiazepine-3-carbothioyl chloride, 1-(4-fluorophenyl)-4,5-dihydro-7,8-dimethoxy-4-methyl- (CA INDEX NAME)



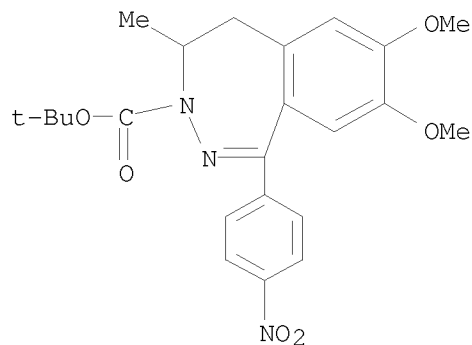
IT	1071138-08-3P	1071138-18-5P	1071138-27-6P
	1071138-36-7P	1071138-44-7P	1071138-60-7P
	1071138-68-5P	1071138-79-8P	1071138-88-9P
	1071138-95-8P	1071139-05-3P	1071139-16-6P
	1071139-21-3P	1071139-29-1P	1071139-36-0P
	1071139-46-2P	1071139-52-0P	1071139-56-4P
	1071139-58-6P	1071139-61-1P	1071139-64-4P
	1071139-65-5P	1071139-68-8P	1071139-70-2P
	1071139-72-4P	1071139-74-6P	1071139-77-9P
	1071139-81-5P	1071139-84-8P	1071139-87-1P
	1071139-89-3P	1071139-92-8P	1071139-93-9P
	1071139-96-2P	1071139-98-4P	1071140-05-0P
	1071140-11-8P	1071140-13-0P	1071140-16-3P
	1071140-20-9P	1071140-25-4P	1071140-27-6P
	1071140-33-4P	1071140-35-6P	1071140-38-9P
	1071140-40-3P	1071140-43-6P	1071140-46-9P
	1071140-47-0P		

RL: PRPH (Prophetic); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prophetic intermediate; preparation of benzodiazepine derivs. as adenosine transporter inhibitors useful in the treatment of psychotic diseases)

RN 1071138-08-3 CAPLUS

CN 3H-2,3-Benzodiazepine-3-carboxylic acid,  
4,5-dihydro-7,8-dimethoxy-4-methyl-1-(4-nitrophenyl)-, 1,1-dimethylethyl  
ester (CA INDEX NAME)

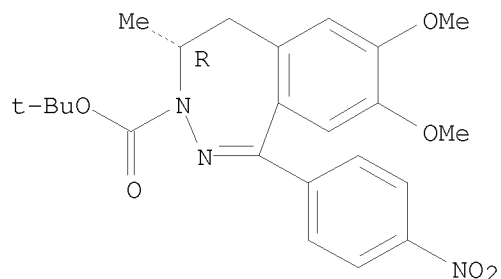


RN 1071138-18-5 CAPLUS

10/567,598

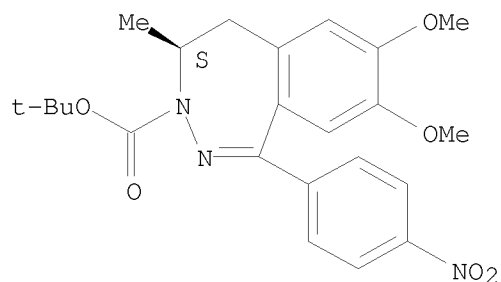
CN 3H-2,3-Benzodiazepine-3-carboxylic acid,  
4,5-dihydro-7,8-dimethoxy-4-methyl-1-(4-nitrophenyl)-, 1,1-dimethylethyl  
ester, (4R)- (CA INDEX NAME)

Absolute stereochemistry.

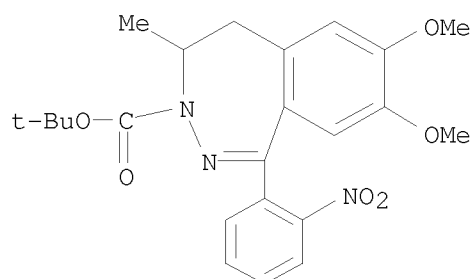


RN 1071138-27-6 CAPLUS  
CN 3H-2,3-Benzodiazepine-3-carboxylic acid,  
4,5-dihydro-7,8-dimethoxy-4-methyl-1-(4-nitrophenyl)-, 1,1-dimethylethyl  
ester, (4S)- (CA INDEX NAME)

Absolute stereochemistry.



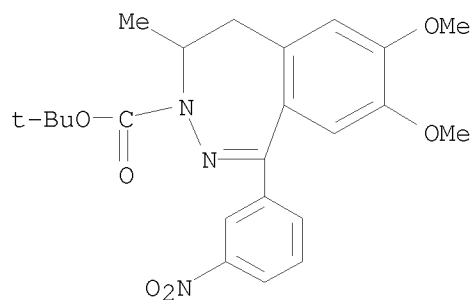
RN 1071138-36-7 CAPLUS  
CN 3H-2,3-Benzodiazepine-3-carboxylic acid,  
4,5-dihydro-7,8-dimethoxy-4-methyl-1-(2-nitrophenyl)-, 1,1-dimethylethyl  
ester (CA INDEX NAME)



RN 1071138-44-7 CAPLUS  
CN 3H-2,3-Benzodiazepine-3-carboxylic acid,

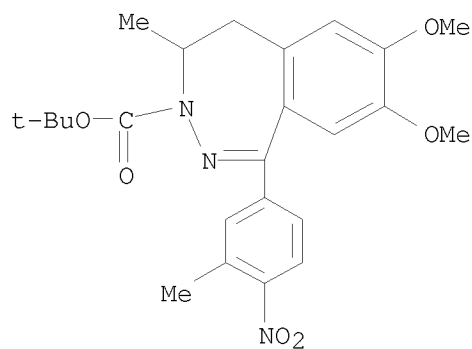
10/567,598

4,5-dihydro-7,8-dimethoxy-4-methyl-1-(3-nitrophenyl)-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 1071138-60-7 CAPLUS

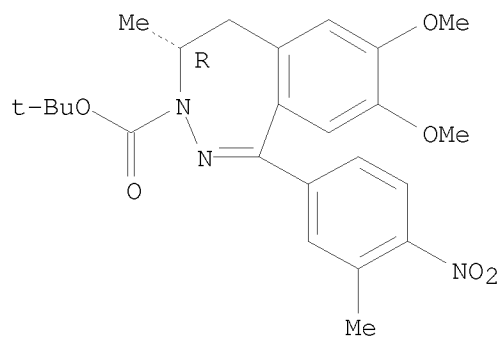
CN 3H-2,3-Benzodiazepine-3-carboxylic acid,  
4,5-dihydro-7,8-dimethoxy-4-methyl-1-(3-methyl-4-nitrophenyl)-,  
1,1-dimethylethyl ester (CA INDEX NAME)



RN 1071138-68-5 CAPLUS

CN 3H-2,3-Benzodiazepine-3-carboxylic acid,  
4,5-dihydro-7,8-dimethoxy-4-methyl-1-(3-methyl-4-nitrophenyl)-,  
1,1-dimethylethyl ester, (4R)- (CA INDEX NAME)

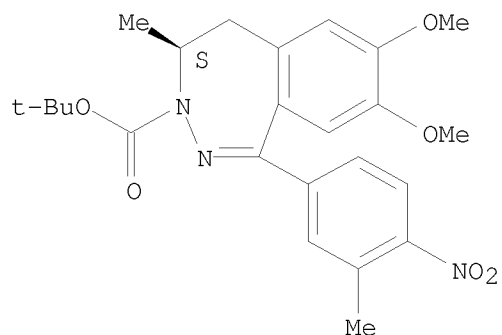
Absolute stereochemistry.



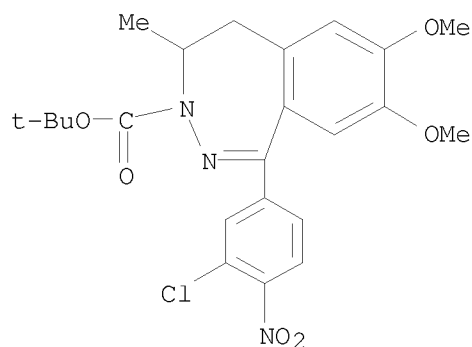
10/567,598

RN 1071138-79-8 CAPLUS  
CN 3H-2,3-Benzodiazepine-3-carboxylic acid,  
4,5-dihydro-7,8-dimethoxy-4-methyl-1-(3-methyl-4-nitrophenyl)-,  
1,1-dimethylethyl ester, (4S)- (CA INDEX NAME)

Absolute stereochemistry.



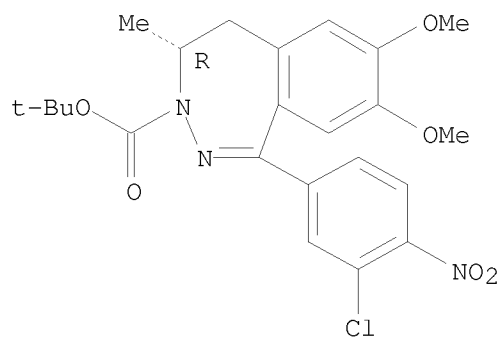
RN 1071138-88-9 CAPLUS  
CN 3H-2,3-Benzodiazepine-3-carboxylic acid,  
1-(3-chloro-4-nitrophenyl)-4,5-dihydro-7,8-dimethoxy-4-methyl-,  
1,1-dimethylethyl ester (CA INDEX NAME)



RN 1071138-95-8 CAPLUS  
CN 3H-2,3-Benzodiazepine-3-carboxylic acid,  
1-(3-chloro-4-nitrophenyl)-4,5-dihydro-7,8-dimethoxy-4-methyl-,  
1,1-dimethylethyl ester, (4R)- (CA INDEX NAME)

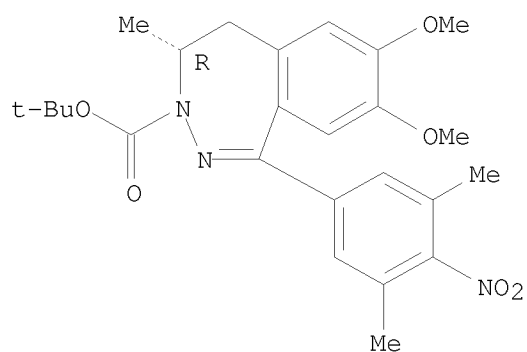
Absolute stereochemistry.

10/567,598

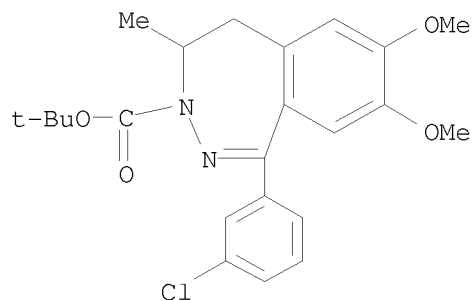


RN 1071139-05-3 CAPLUS  
CN 3H-2,3-Benzodiazepine-3-carboxylic acid,  
1-(3,5-dimethyl-4-nitrophenyl)-4,5-dihydro-7,8-dimethoxy-4-methyl-,  
1,1-dimethylethyl ester, (4R)- (CA INDEX NAME)

Absolute stereochemistry.



RN 1071139-16-6 CAPLUS  
CN 3H-2,3-Benzodiazepine-3-carboxylic acid,  
1-(3-chlorophenyl)-4,5-dihydro-7,8-dimethoxy-4-methyl-, 1,1-dimethylethyl  
ester (CA INDEX NAME)

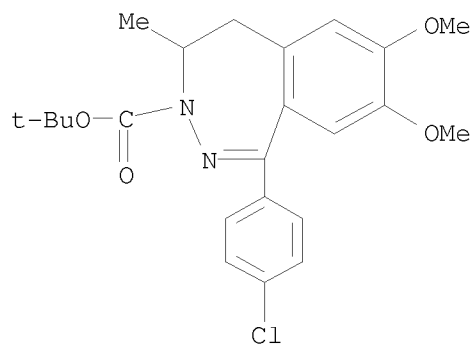


RN 1071139-21-3 CAPLUS  
CN 3H-2,3-Benzodiazepine-3-carboxylic acid,

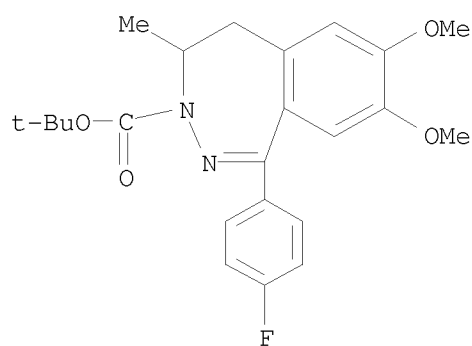


10/567,598

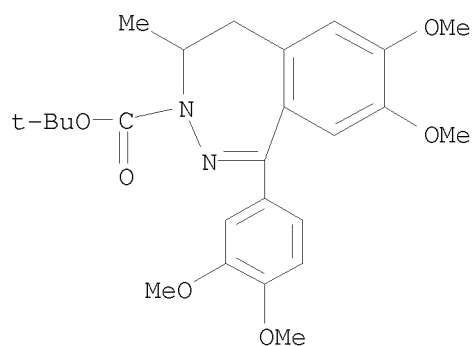
1-(4-chlorophenyl)-4,5-dihydro-7,8-dimethoxy-4-methyl-, 1,1-dimethylethyl ester (CA INDEX NAME)



RN 1071139-29-1 CAPLUS  
CN 3H-2,3-Benzodiazepine-3-carboxylic acid,  
1-(4-fluorophenyl)-4,5-dihydro-7,8-dimethoxy-4-methyl-, 1,1-dimethylethyl ester (CA INDEX NAME)



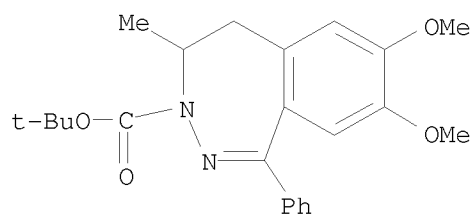
RN 1071139-36-0 CAPLUS  
CN 3H-2,3-Benzodiazepine-3-carboxylic acid,  
1-(3,4-dimethoxyphenyl)-4,5-dihydro-7,8-dimethoxy-4-methyl-,  
1,1-dimethylethyl ester (CA INDEX NAME)



10/567,598

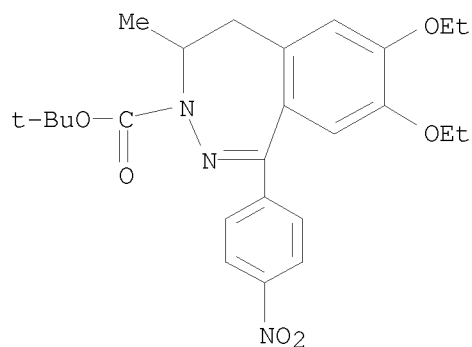
RN 1071139-46-2 CAPLUS

CN 3H-2,3-Benzodiazepine-3-carboxylic acid,  
4,5-dihydro-7,8-dimethoxy-4-methyl-1-phenyl-, 1,1-dimethylethyl ester (CA  
INDEX NAME)



RN 1071139-52-0 CAPLUS

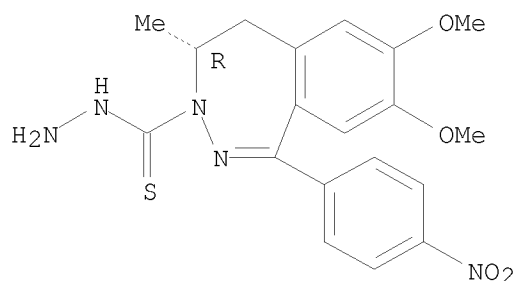
CN 3H-2,3-Benzodiazepine-3-carboxylic acid,  
7,8-diethoxy-4,5-dihydro-4-methyl-1-(4-nitrophenyl)-, 1,1-dimethylethyl  
ester (CA INDEX NAME)



RN 1071139-56-4 CAPLUS

CN 3H-2,3-Benzodiazepine-3-carbothioic acid,  
4,5-dihydro-7,8-dimethoxy-4-methyl-1-(4-nitrophenyl)-, hydrazide, (4R)-  
(CA INDEX NAME)

Absolute stereochemistry.



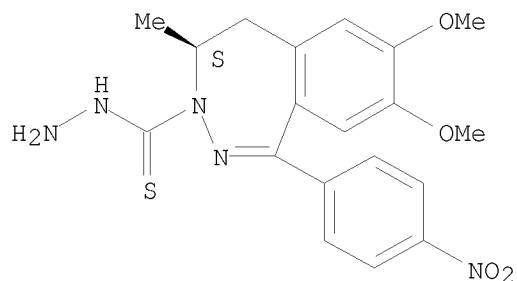
RN 1071139-58-6 CAPLUS

CN 3H-2,3-Benzodiazepine-3-carbothioic acid,

10/567,598

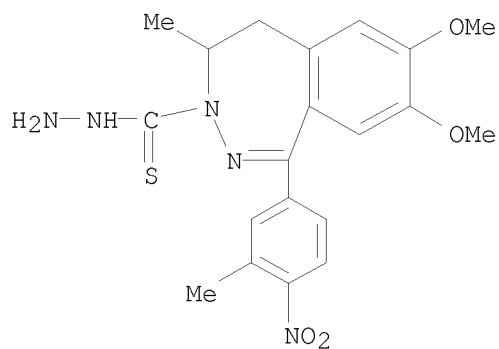
4,5-dihydro-7,8-dimethoxy-4-methyl-1-(4-nitrophenyl)-, hydrazide, (4S)-  
(CA INDEX NAME)

Absolute stereochemistry.



RN 1071139-61-1 CAPLUS

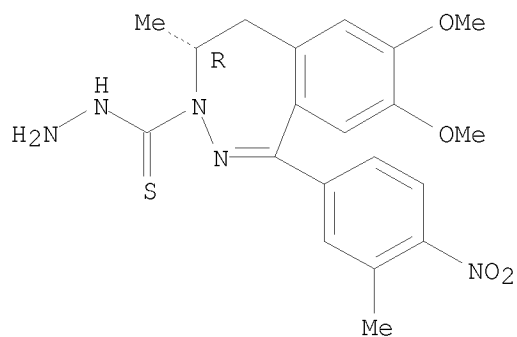
CN 3H-2,3-Benzodiazepine-3-carbothioic acid,  
4,5-dihydro-7,8-dimethoxy-4-methyl-1-(3-methyl-4-nitrophenyl)-, hydrazide  
(CA INDEX NAME)



RN 1071139-64-4 CAPLUS

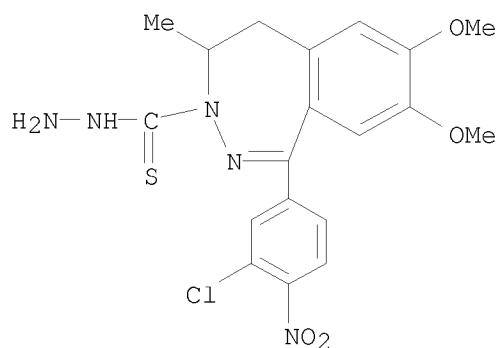
CN 3H-2,3-Benzodiazepine-3-carbothioic acid,  
4,5-dihydro-7,8-dimethoxy-4-methyl-1-(3-methyl-4-nitrophenyl)-, hydrazide,  
(4R)- (CA INDEX NAME)

Absolute stereochemistry.



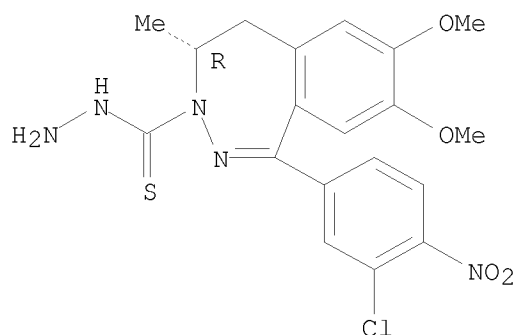
10/567,598

RN 1071139-65-5 CAPLUS  
CN 3H-2,3-Benzodiazepine-3-carbothioic acid,  
1-(3-chloro-4-nitrophenyl)-4,5-dihydro-7,8-dimethoxy-4-methyl-, hydrazide  
(CA INDEX NAME)



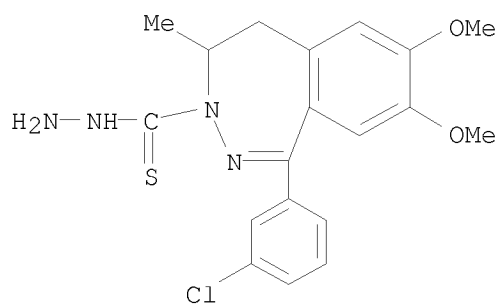
RN 1071139-68-8 CAPLUS  
CN 3H-2,3-Benzodiazepine-3-carbothioic acid,  
1-(3-chloro-4-nitrophenyl)-4,5-dihydro-7,8-dimethoxy-4-methyl-, hydrazide,  
(4R)- (CA INDEX NAME)

Absolute stereochemistry.

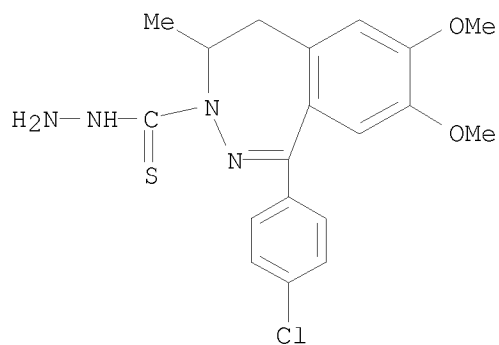


RN 1071139-70-2 CAPLUS  
CN 3H-2,3-Benzodiazepine-3-carbothioic acid,  
1-(3-chlorophenyl)-4,5-dihydro-7,8-dimethoxy-4-methyl-, hydrazide (CA  
INDEX NAME)

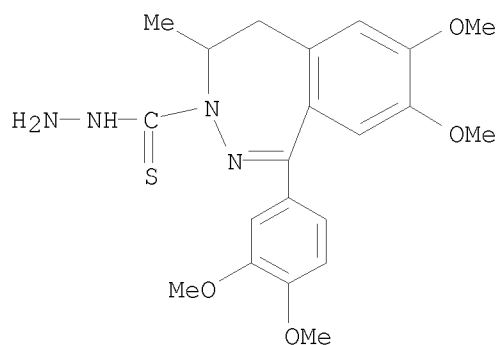
10/567,598



RN 1071139-72-4 CAPLUS  
CN 3H-2,3-Benzodiazepine-3-carbothioic acid,  
1-(4-chlorophenyl)-4,5-dihydro-7,8-dimethoxy-4-methyl-, hydrazide (CA  
INDEX NAME)



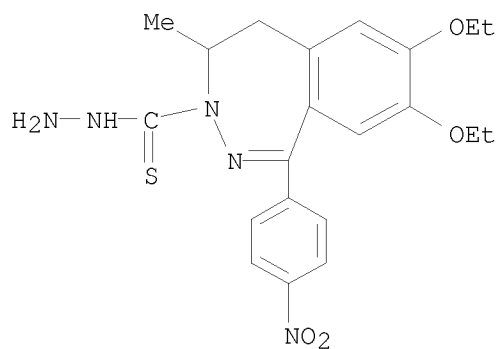
RN 1071139-74-6 CAPLUS  
CN 3H-2,3-Benzodiazepine-3-carbothioic acid,  
1-(3,4-dimethoxyphenyl)-4,5-dihydro-7,8-dimethoxy-4-methyl-, hydrazide  
(CA INDEX NAME)



RN 1071139-77-9 CAPLUS  
CN 3H-2,3-Benzodiazepine-3-carbothioic acid,  
7,8-diethoxy-4,5-dihydro-4-methyl-1-(4-nitrophenyl)-, hydrazide (CA INDEX

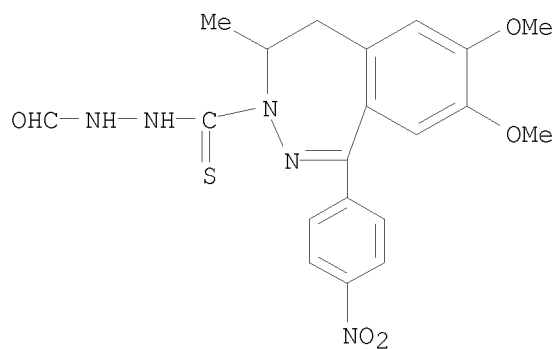
10/567,598

NAME)



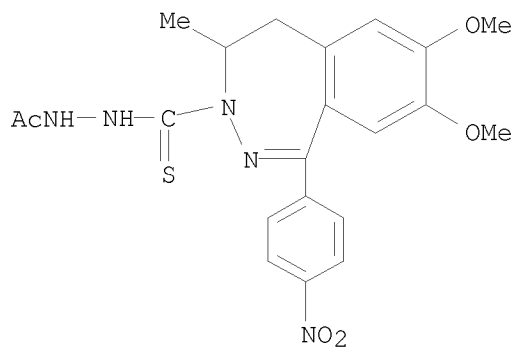
RN 1071139-81-5 CAPLUS

CN 3H-2,3-Benzodiazepine-3-carbothioic acid,  
4,5-dihydro-7,8-dimethoxy-4-methyl-1-(4-nitrophenyl)-, 2-formylhydrazide  
(CA INDEX NAME)



RN 1071139-84-8 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

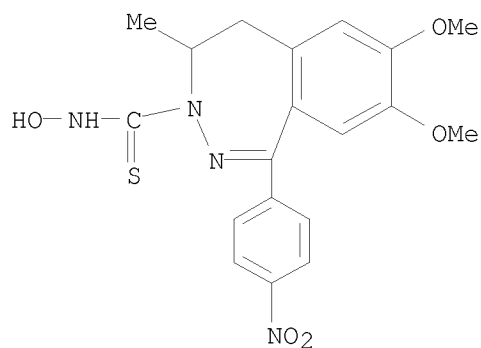


RN 1071139-87-1 CAPLUS

CN 3H-2,3-Benzodiazepine-3-carbothioamide,

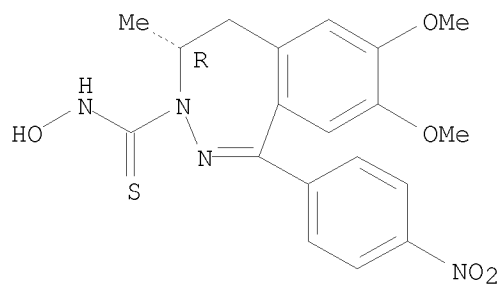
10/567,598

4,5-dihydro-N-hydroxy-7,8-dimethoxy-4-methyl-1-(4-nitrophenyl)- (CA INDEX NAME)



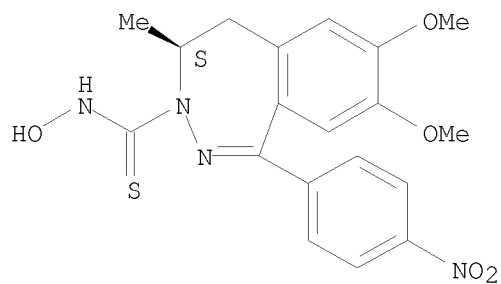
RN 1071139-89-3 CAPLUS  
CN 3H-2,3-Benzodiazepine-3-carbothioamide,  
4,5-dihydro-N-hydroxy-7,8-dimethoxy-4-methyl-1-(4-nitrophenyl)-, (4R)-  
(CA INDEX NAME)

Absolute stereochemistry.



RN 1071139-92-8 CAPLUS  
CN 3H-2,3-Benzodiazepine-3-carbothioamide,  
4,5-dihydro-N-hydroxy-7,8-dimethoxy-4-methyl-1-(4-nitrophenyl)-, (4S)-  
(CA INDEX NAME)

Absolute stereochemistry.

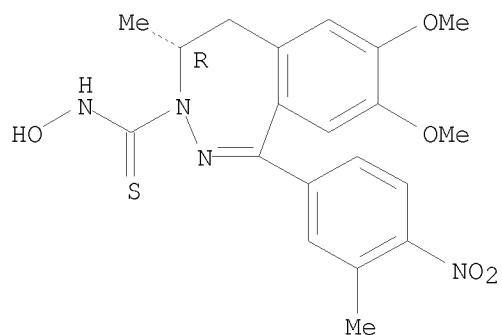


RN 1071139-93-9 CAPLUS

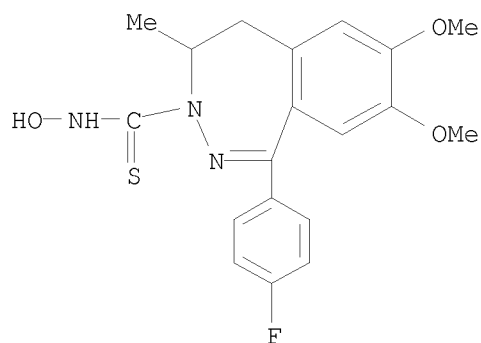
10/567,598

CN 3H-2,3-Benzodiazepine-3-carbothioamide,  
4,5-dihydro-N-hydroxy-7,8-dimethoxy-4-methyl-1-(3-methyl-4-nitrophenyl)-,  
(4R)- (CA INDEX NAME)

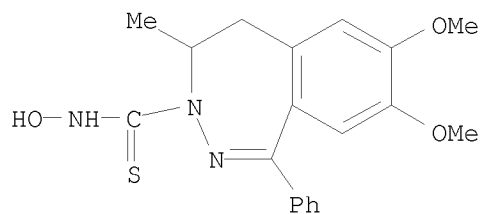
Absolute stereochemistry.



RN 1071139-96-2 CAPLUS  
CN 3H-2,3-Benzodiazepine-3-carbothioamide,  
1-(4-fluorophenyl)-4,5-dihydro-N-hydroxy-7,8-dimethoxy-4-methyl- (CA  
INDEX NAME)



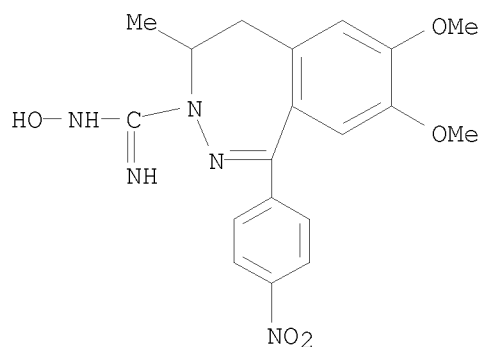
RN 1071139-98-4 CAPLUS  
CN 3H-2,3-Benzodiazepine-3-carbothioamide,  
4,5-dihydro-N-hydroxy-7,8-dimethoxy-4-methyl-1-phenyl- (CA INDEX NAME)



RN 1071140-05-0 CAPLUS  
CN INDEX NAME NOT YET ASSIGNED

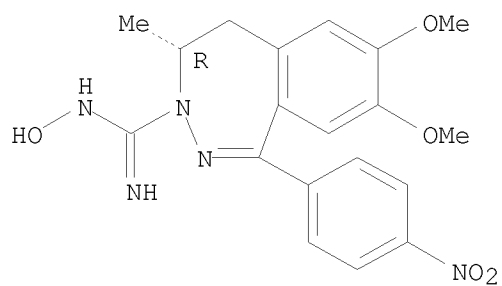


10/567,598

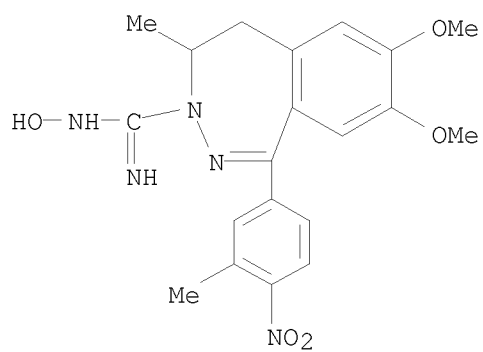


RN 1071140-11-8 CAPLUS  
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



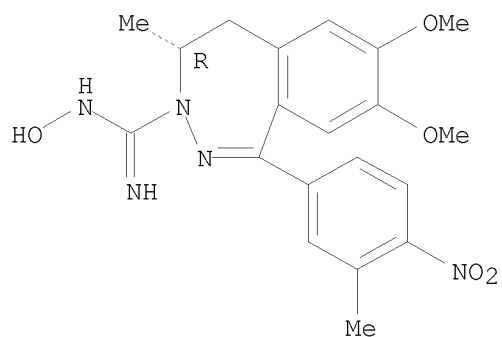
RN 1071140-13-0 CAPLUS  
CN INDEX NAME NOT YET ASSIGNED



RN 1071140-16-3 CAPLUS  
CN INDEX NAME NOT YET ASSIGNED

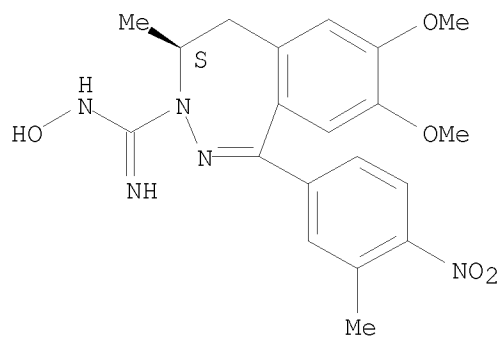
Absolute stereochemistry.

10/567,598

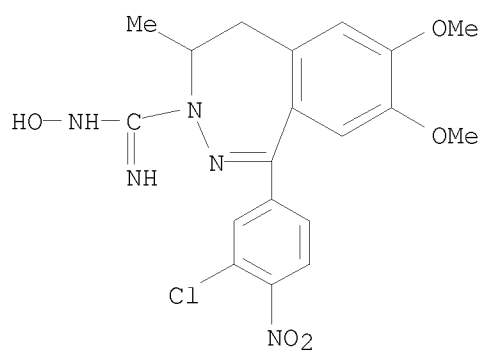


RN 1071140-20-9 CAPLUS  
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.



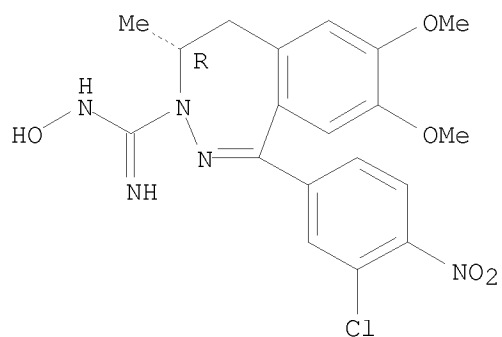
RN 1071140-25-4 CAPLUS  
CN INDEX NAME NOT YET ASSIGNED



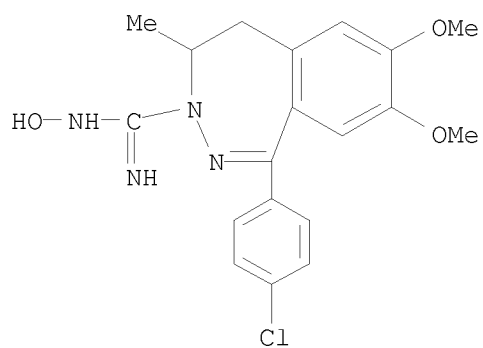
RN 1071140-27-6 CAPLUS  
CN INDEX NAME NOT YET ASSIGNED

Absolute stereochemistry.

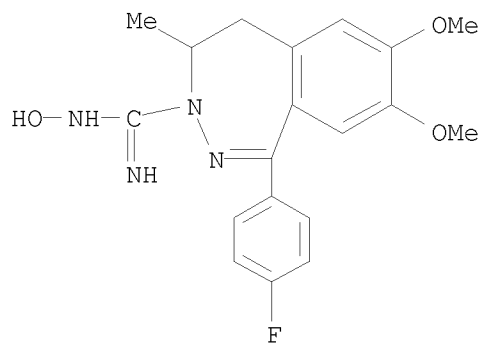
10/567,598



RN 1071140-33-4 CAPLUS  
CN INDEX NAME NOT YET ASSIGNED

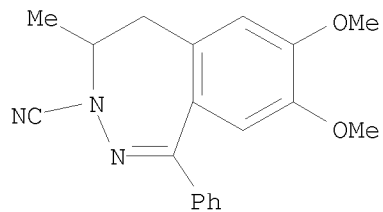


RN 1071140-35-6 CAPLUS  
CN INDEX NAME NOT YET ASSIGNED

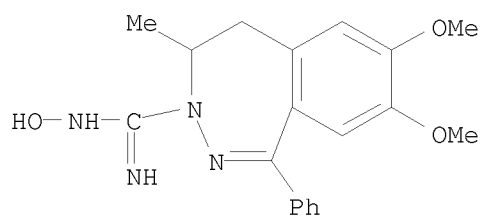


RN 1071140-38-9 CAPLUS  
CN 3H-2,3-Benzodiazepine-3-carbonitrile,  
4,5-dihydro-7,8-dimethoxy-4-methyl-1-phenyl- (CA INDEX NAME)

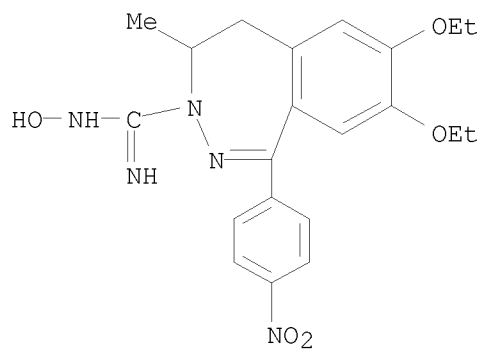
10/567,598



RN 1071140-40-3 CAPLUS  
CN INDEX NAME NOT YET ASSIGNED

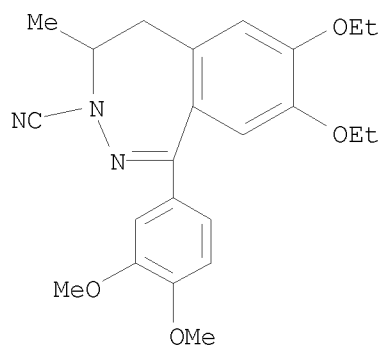


RN 1071140-43-6 CAPLUS  
CN INDEX NAME NOT YET ASSIGNED

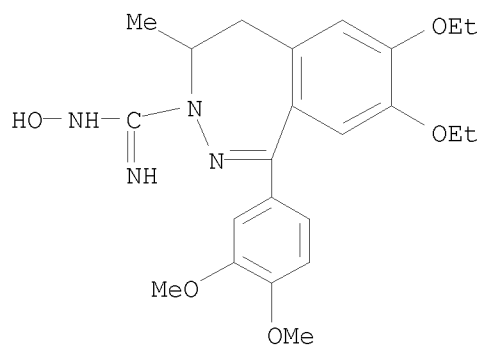


RN 1071140-46-9 CAPLUS  
CN 3H-2,3-Benzodiazepine-3-carbonitrile,  
1-(3,4-dimethoxyphenyl)-7,8-diethoxy-4,5-dihydro-4-methyl- (CA INDEX  
NAME)

10/567,598

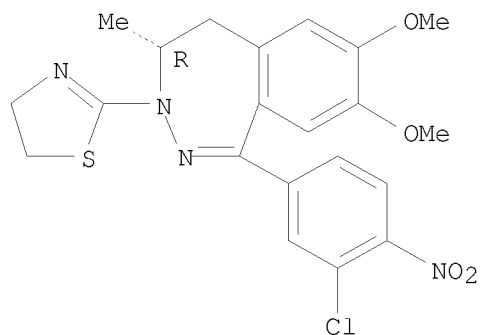


RN 1071140-47-0 CAPLUS  
CN INDEX NAME NOT YET ASSIGNED



IT 1071141-34-8 1071141-79-1 1071141-87-1  
RL: RCT (Reactant); RACT (Reactant or reagent)  
(starting material; preparation of benzodiazepine derivs. as adenosine transporter inhibitors useful in the treatment of psychotic diseases)  
RN 1071141-34-8 CAPLUS  
CN 3H-2,3-Benzodiazepine, 1-(3-chloro-4-nitrophenyl)-3-(4,5-dihydro-2-thiazolyl)-4,5-dihydro-7,8-dimethoxy-4-methyl-, (4R)- (CA INDEX NAME)

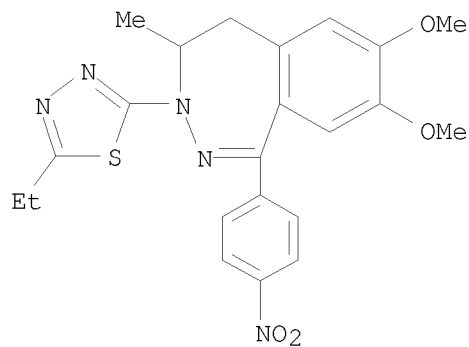
Absolute stereochemistry.



10/567,598

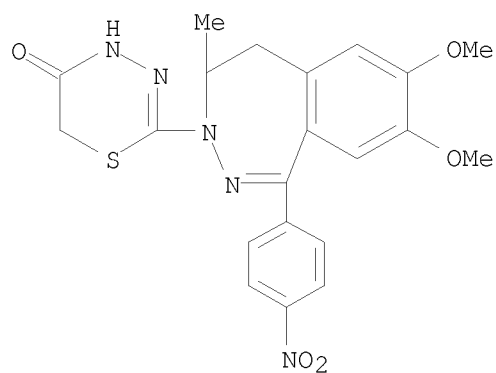
RN 1071141-79-1 CAPLUS

CN 3H-2,3-Benzodiazepine, 3-(5-ethyl-1,3,4-thiadiazol-2-yl)-4,5-dihydro-7,8-dimethoxy-4-methyl-1-(4-nitrophenyl)- (CA INDEX NAME)



RN 1071141-87-1 CAPLUS

CN 4H-1,3,4-Thiadiazin-5(6H)-one, 2-[4,5-dihydro-7,8-dimethoxy-4-methyl-1-(4-nitrophenyl)-3H-2,3-benzodiazepin-3-yl]- (CA INDEX NAME)



REFERENCE COUNT:

4

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L22 ANSWER 2 OF 40 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2007:796168 CAPLUS

DOCUMENT NUMBER: 147:269224

TITLE: Pharmaceutical compositions containing nitrogen containing heterocyclic compounds for treating inflammatory disorders of the respiratory tract.

INVENTOR(S): Kurucz, Istvan; Solyom, Sandor; Csillik Perczel, Viola; Toth, Szilveszter; Torok, Katalin; Horvath, Katalin; Simay, Antal; Karim Tapfer, Mariann; Nagy, Zoltan; Szekely, Jozsef Ivan

PATENT ASSIGNEE(S): Ivax Gyogyszerkutato Intezet Kft., Hung.

SOURCE: Hung. Pat. Appl., 46pp.

CODEN: HUXXCV

DOCUMENT TYPE: Patent

LANGUAGE: Hungarian

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
HU 2003000282	A2	20041129	HU 2003-282	20030204
HU 2003000282	A3	20041228		
HU 226376	B1	20081028		

PRIORITY APPLN. INFO.: HU 2003-282 20030204

AB The subject of the present invention is the application of AMPA receptor modulator compds. (such as benzodiazepines, quinoxaline derivs.) to prepare pharmaceutical compns. for the treatment of inflammatory diseases of the respiratory tracts in mammals. More exactly, the invention concerns pharmaceutical compns. that can be used for the treatment of inflammatory respiratory tracts diseases such as asthma and asthma-related diseases, as well as their preparation Expts. on dosing rats with talampanel and NBQX are reported.

IT 383857-61-2, SYM 2267

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL

(Biological study); USES (Uses)

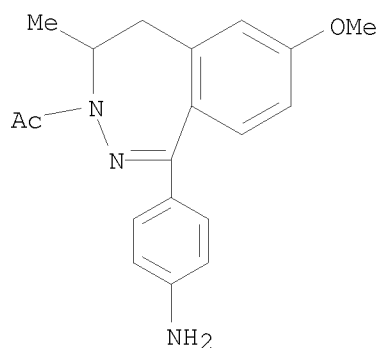
(non-competitive AMPA receptor antagonist; pharmaceutical compns.

containing nitrogen containing heterocyclic compds. for treating inflammatory

disorders of respiratory tract)

RN 383857-61-2 CAPLUS

CN Ethanone, 1-[1-(4-aminophenyl)-4,5-dihydro-7-methoxy-4-methyl-3H-2,3-benzodiazepin-3-yl]- (CA INDEX NAME)



L22 ANSWER 3 OF 40 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2007:755669 CAPLUS

DOCUMENT NUMBER: 147:166354

TITLE: Process for preparation of chiral  
dihydro-2,3-benzodiazepines as AMPA receptor  
antagonistsINVENTOR(S): Ling, Istvan; Barkoczy, Jozsef; Greff, Zoltan;  
Szenasi, Gabor; Gigler, Gabor; Kertesz, Szabolcs;  
Szuecs, Gyula; Albert, Mihaly; Kapus, Gabor; Szabo,  
Geza; Vegh, Miklos; Agoston, Marta; Levay, Gyoergy;  
Moricz, Krisztina; Harsing, Laszlo Gabor

PATENT ASSIGNEE(S): Egis Gyogyszergyar Nyrt., Hung.

SOURCE: PCT Int. Appl., 144pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

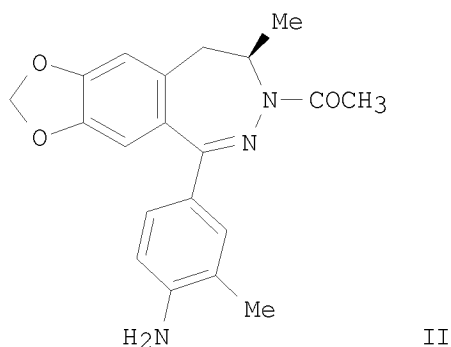
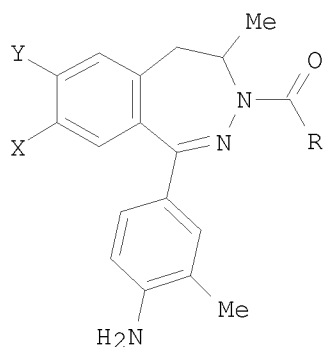
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007077469	A1	20070712	WO 2006-HU130	20061229
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
HU 2005001211	A2	20070928	HU 2005-1211	20051230
HU 2005001211	A3	20071228		
HU 2005001212	A2	20070928	HU 2005-1212	20051230
HU 2005001212	A3	20080128		
AU 2006334172	A1	20070712	AU 2006-334172	20061229
CA 2633804	A1	20070712	CA 2006-2633804	20061229
EP 1979308	A1	20081015	EP 2006-831529	20061229
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS				
JP 2009522245	T	20090611	JP 2008-548033	20061229
CN 101389600	A	20090318	CN 2006-80053005	20080818
US 20090233913	A1	20090917	US 2008-159251	20081222
PRIORITY APPLN. INFO.:			HU 2005-1211	A 20051230
			HU 2005-1212	A 20051230
			WO 2006-HU130	W 20061229

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 147:166354; MARPAT 147:166354

GI





AB This invention provides four processes for the preparation of chiral dihydro-2,3-benzodiazepines I [wherein X = halo or alkoxy; Y = halo; or X and Y = methylenedioxy; R = alkyl.] or pharmaceutically acceptable salts thereof as AMPA receptor antagonists. For example, II was prepared via several stereoselective approaches. In spreading depression test, II showed antagonistic activity with EC<sub>50</sub> of 1.8±0.1 μM against AMPA receptor. The compds. are useful neuroprotective agents for the treatment of stroke, epilepsy, schizophrenia, etc. (no data).

IT 943964-01-0P 943964-02-1P

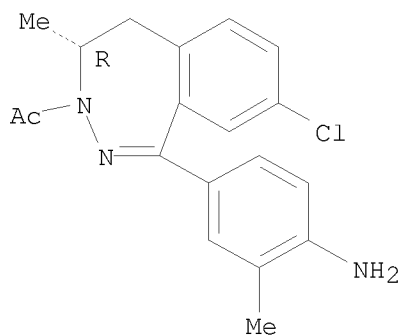
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of chiral dihydro-2,3-benzodiazepines as AMPA receptor antagonists)

RN 943964-01-0 CAPLUS

CN Ethanone, 1-[(4R)-1-(4-amino-3-methylphenyl)-8-chloro-4,5-dihydro-4-methyl-3H-2,3-benzodiazepin-3-yl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

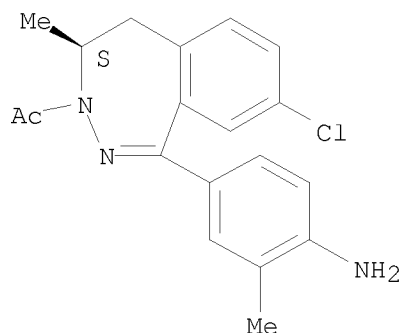


RN 943964-02-1 CAPLUS

CN Ethanone, 1-[(4S)-1-(4-amino-3-methylphenyl)-8-chloro-4,5-dihydro-4-methyl-3H-2,3-benzodiazepin-3-yl]- (CA INDEX NAME)

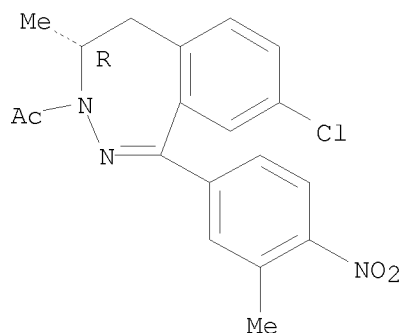
Absolute stereochemistry. Rotation (+).

10/567,598



IT 943964-14-5P 943964-18-9P  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)  
(intermediate; preparation of chiral dihydro-2,3-benzodiazepines as AMPA  
receptor antagonists)  
RN 943964-14-5 CAPLUS  
CN Ethanone, 1-[(4R)-8-chloro-4,5-dihydro-4-methyl-1-(3-methyl-4-nitrophenyl)-  
3H-2,3-benzodiazepin-3-yl]- (CA INDEX NAME)

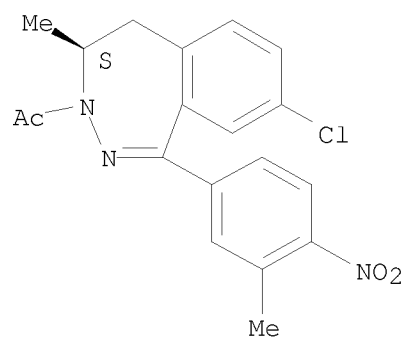
Absolute stereochemistry. Rotation (+).



RN 943964-18-9 CAPLUS  
CN Ethanone, 1-[(4S)-8-chloro-4,5-dihydro-4-methyl-1-(3-methyl-4-nitrophenyl)-  
3H-2,3-benzodiazepin-3-yl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

10/567,598



REFERENCE COUNT:

6

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L22 ANSWER 4 OF 40 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2007:389016 CAPLUS

DOCUMENT NUMBER: 147:469380

TITLE: New substituted 2,3-benzodiazepine derivatives, their use and pharmaceutical compositions containing them

INVENTOR(S): Solyom, Sandor; Abraham, Gizella; Berzsenyi, Pal; Andrasi, Ferenc; Szabo, Hilda; Csuzdi, Emese; Hamori, Tamas; Kertesz, Mariusz; Csillikne, Perczel Viola; Horvath, Gyula; Kurucz, Istvan; Pallagi, Istvan; Toth, Szilveszter; Toeroek, Katalin; Ling, Istvan

PATENT ASSIGNEE(S): Ivax Gyogyszerkutato Intezet Kft., Hung.

SOURCE: Hung. Pat. Appl., 96pp.

CODEN: HUXXCV

DOCUMENT TYPE: Patent

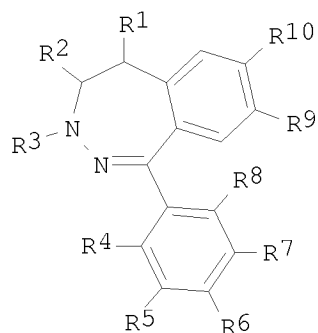
LANGUAGE: Hungarian

FAMILY ACC. NUM. COUNT: 1

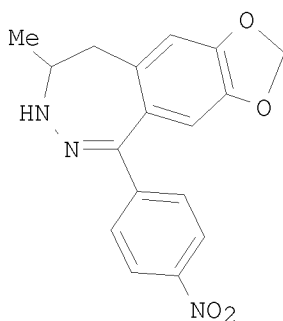
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
HU 2004000338	A2	20060928	HU 2004-338	20040203
PRIORITY APPLN. INFO.:			HU 2004-338	20040203

GI



I



II

AB The invention concerns the general formula 2,3-benzodiazepines I [R1, R2 = H, C1-3-alkyl; R3 = (un)substituted C5-6-aromatic, saturated or partially saturated

heterocycle containing at least 2 heteroatoms, in which, as heteroatom, there may be oxygen, sulfur or nitrogen atom and in case the heterocycle contains 2 heteroatoms, one of the heteroatoms must be something other than nitrogen atom; R4, R5, R6, R7, R8 = H, C1-3-alkyl, halogen, NO2, NH2, NH(C1-3-alkyl), N(C1-3-alkyl)2, C2-5-acyl, (C2-5-alkoxy)carbonyl, C2-5-alkylaminocarbonyl; R9 = C1-3-alkoxy, halogen; R10 = H, halogen; R9R10 = C1-3-alkylenedioxy] and their isomers and acid addition salts. Thus, (±)-5-(4-aminophenyl)-8-methyl-7-(2-thiazolyl)-8,9-dihydro-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepine I [R1 = R4 = R5 = R7 = R8 = H, R2 = Me, R3 = thiazol-2-yl, R6 = NH2, R9R10 = OCH2O] was prepared from (±)-8-Methyl-5-(4-nitrophenyl)-8,9-dihydro-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepine (II) via thiocarbamylation with potassium thiocyanate in AcOH, cyclocondensation with BrCH2CH(OEt)2 in DMF, and reduction with H2NNH2·H2O in MeOH/CH2Cl2 containing catalytic RaNi. The

invention includes the pharmaceutical compns. that contain the above compds. I, the application of the compds. and the production of pharmaceutical products to treat neurodegenerative diseases. The pharmacol. activity of I was determined

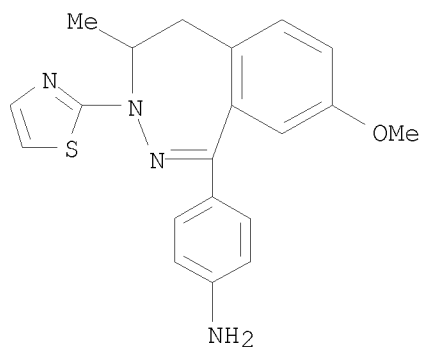
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 732278-12-5P 732278-14-7P 732278-18-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(new substituted 2,3-benzodiazepine derivs., their use and pharmaceutical compns. containing them)

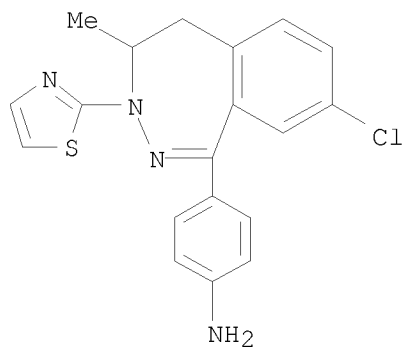
RN 732277-95-1 CAPLUS

CN Benzenamine, 4-[4,5-dihydro-8-methoxy-4-methyl-3-(2-thiazolyl)-3H-2,3-benzodiazepin-1-yl]- (CA INDEX NAME)



RN 732277-96-2 CAPLUS

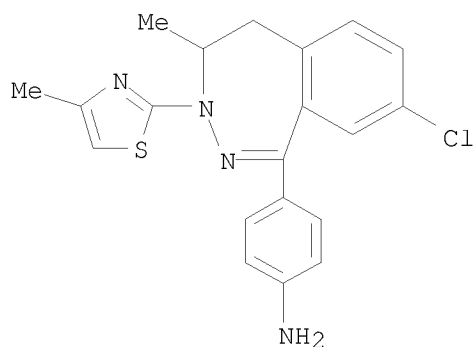
CN Benzenamine, 4-[8-chloro-4,5-dihydro-4-methyl-3-(2-thiazolyl)-3H-2,3-benzodiazepin-1-yl]- (CA INDEX NAME)



RN 732277-97-3 CAPLUS

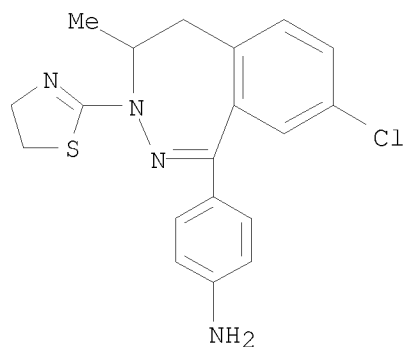
CN Benzenamine, 4-[8-chloro-4,5-dihydro-4-methyl-3-(4-methyl-2-thiazolyl)-3H-2,3-benzodiazepin-1-yl]- (CA INDEX NAME)

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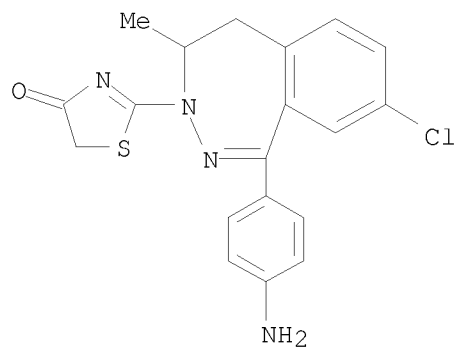
RN 732277-98-4 CAPLUS

CN Benzenamine, 4-[8-chloro-3-(4,5-dihydro-2-thiazolyl)-4,5-dihydro-4-methyl-3H-2,3-benzodiazepin-1-yl]- (CA INDEX NAME)



RN 732277-99-5 CAPLUS

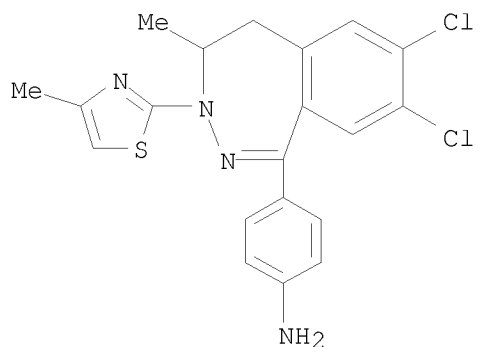
CN 4(5H)-Thiazolone, 2-[1-(4-aminophenyl)-8-chloro-4,5-dihydro-4-methyl-3H-2,3-benzodiazepin-3-yl]- (CA INDEX NAME)



RN 732278-00-1 CAPLUS

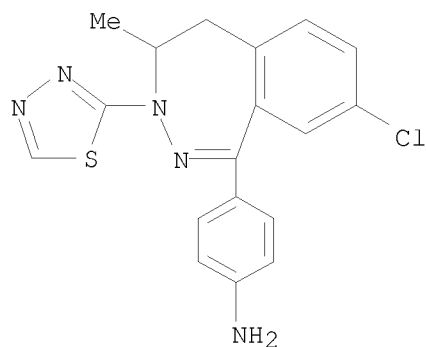
CN Benzenamine, 4-[7,8-dichloro-4,5-dihydro-4-methyl-3-(4-methyl-2-thiazolyl)-3H-2,3-benzodiazepin-1-yl]- (CA INDEX NAME)

10/567,598



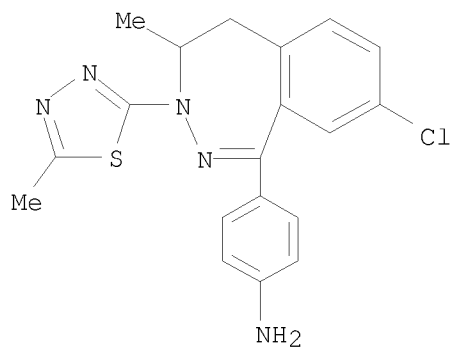
RN 732278-12-5 CAPLUS

CN Benzenamine, 4-[8-chloro-4,5-dihydro-4-methyl-3-(1,3,4-thiadiazol-2-yl)-3H-2,3-benzodiazepin-1-yl]- (CA INDEX NAME)



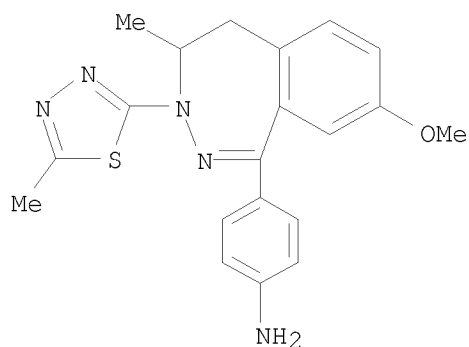
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CN Benzenamine, 4-[8-chloro-4,5-dihydro-4-methyl-3-(5-methyl-1,3,4-thiadiazol-2-yl)-3H-2,3-benzodiazepin-1-yl]- (CA INDEX NAME)

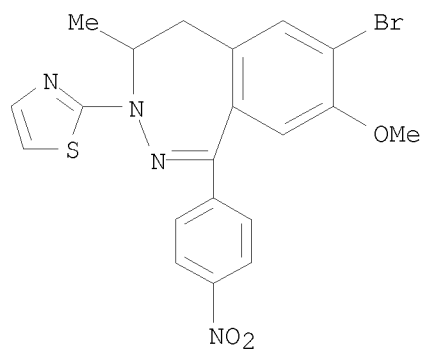


RN 732278-18-1 CAPLUS

CN Benzenamine, 4-[4,5-dihydro-8-methoxy-4-methyl-3-(5-methyl-1,3,4-thiadiazol-2-yl)-3H-2,3-benzodiazepin-1-yl]- (CA INDEX NAME)



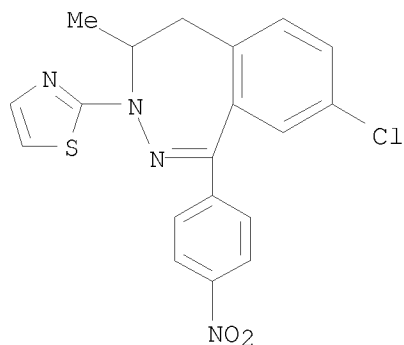
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 732277-47-3P 732277-53-1P 952602-33-4P  
 RL: RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use);  
 BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent);  
 USES (Uses)  
 (new substituted 2,3-benzodiazepine derivs., their use and  
 pharmaceutical compns. containing them)  
 RN 732277-25-7 CAPLUS  
 CN 3H-2,3-Benzodiazepine, 7-bromo-4,5-dihydro-8-methoxy-4-methyl-1-(4-  
 nitrophenyl)-3-(2-thiazolyl)- (CA INDEX NAME)



RN 732277-26-8 CAPLUS  
 CN 3H-2,3-Benzodiazepine, 8-chloro-4,5-dihydro-4-methyl-1-(4-nitrophenyl)-3-  
 (2-thiazolyl)- (CA INDEX NAME)

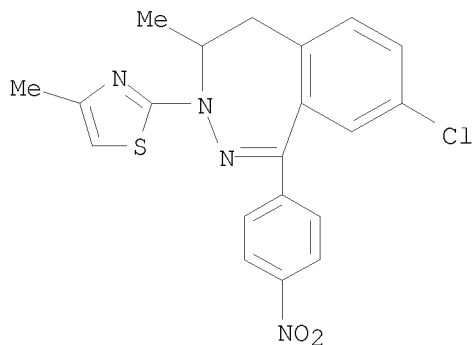


10/567,598



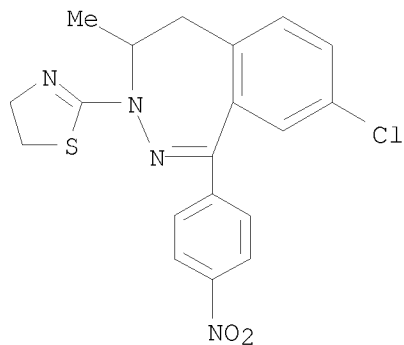
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CN 3H-2,3-Benzodiazepine, 8-chloro-4,5-dihydro-4-methyl-3-(4-methyl-2-thiazolyl)-1-(4-nitrophenyl)- (CA INDEX NAME)



RN 732277-28-0 CAPLUS

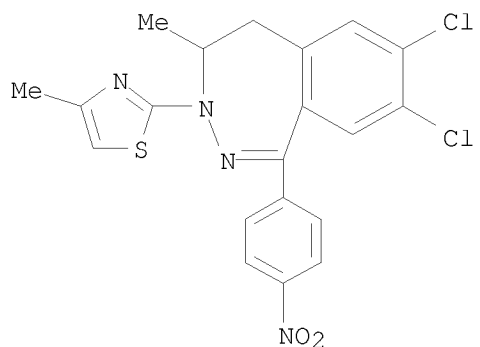
CN 3H-2,3-Benzodiazepine, 8-chloro-3-(4,5-dihydro-2-thiazolyl)-4,5-dihydro-4-methyl-1-(4-nitrophenyl)- (CA INDEX NAME)



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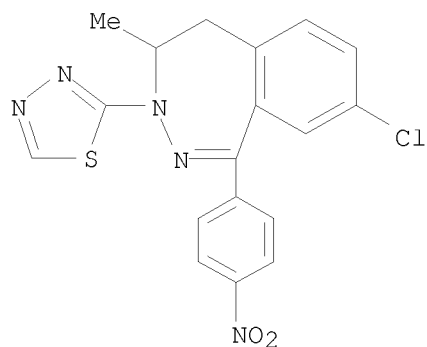
CN 3H-2,3-Benzodiazepine, 7,8-dichloro-4,5-dihydro-4-methyl-3-(4-methyl-2-thiazolyl)-1-(4-nitrophenyl)- (CA INDEX NAME)

10/567,598



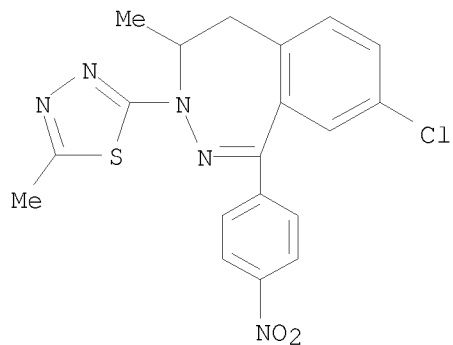
RN 732277-45-1 CAPLUS

CN 3H-2,3-Benzodiazepine, 8-chloro-4,5-dihydro-4-methyl-1-(4-nitrophenyl)-3-(1,3,4-thiadiazol-2-yl)- (CA INDEX NAME)



RN 732277-47-3 CAPLUS

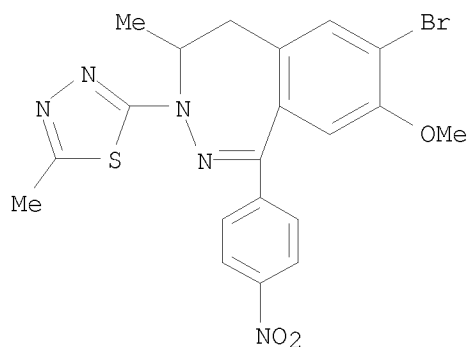
CN 3H-2,3-Benzodiazepine, 8-chloro-4,5-dihydro-4-methyl-3-(5-methyl-1,3,4-thiadiazol-2-yl)-1-(4-nitrophenyl)- (CA INDEX NAME)



RN 732277-53-1 CAPLUS

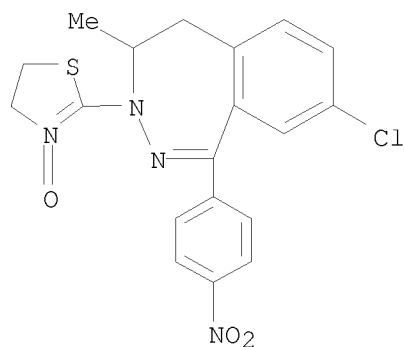
CN 3H-2,3-Benzodiazepine, 7-bromo-4,5-dihydro-8-methoxy-4-methyl-3-(5-methyl-1,3,4-thiadiazol-2-yl)-1-(4-nitrophenyl)- (CA INDEX NAME)

10/567,598



RN 952602-33-4 CAPLUS

CN 3H-2,3-Benzodiazepine, 8-chloro-3-(4,5-dihydro-3-oxido-2-thiazolyl)-4,5-dihydro-4-methyl-1-(4-nitrophenyl)- (CA INDEX NAME)



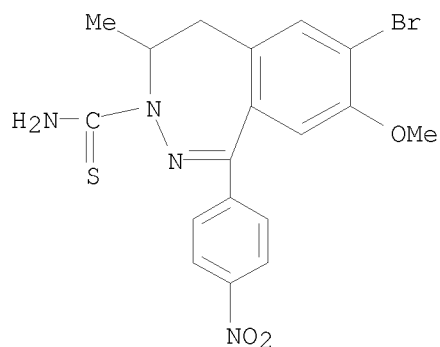
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952603-81-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and cyclocondensation reactions of; new substituted 2,3-benzodiazepine derivs., their use and pharmaceutical compns. containing them)

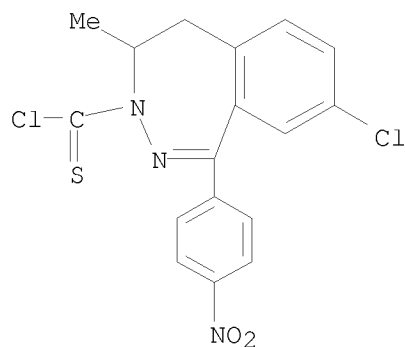
RN 732276-83-4 CAPLUS

CN 3H-2,3-Benzodiazepine-3-carbothioamide, 7-bromo-4,5-dihydro-8-methoxy-4-methyl-1-(4-nitrophenyl)- (CA INDEX NAME)

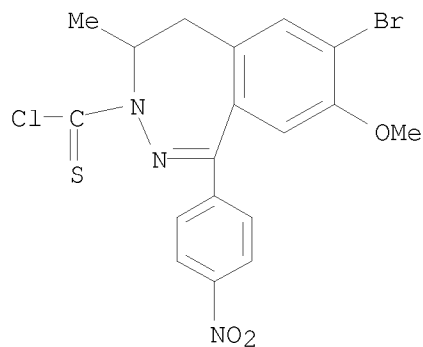
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RN 732276-90-3 CAPLUS  
CN 3H-2,3-Benzodiazepine-3-carbothioyl chloride,  
8-chloro-4,5-dihydro-4-methyl-1-(4-nitrophenyl)- (CA INDEX NAME)

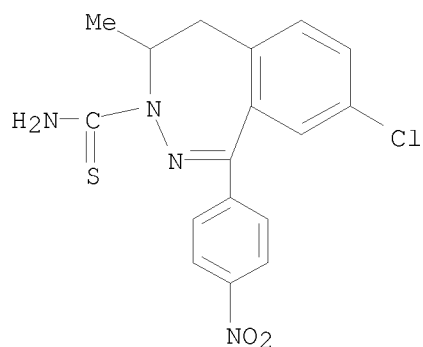


RN 732276-91-4 CAPLUS  
CN 3H-2,3-Benzodiazepine-3-carbothioyl chloride,  
7-bromo-4,5-dihydro-8-methoxy-4-methyl-1-(4-nitrophenyl)- (CA INDEX NAME)

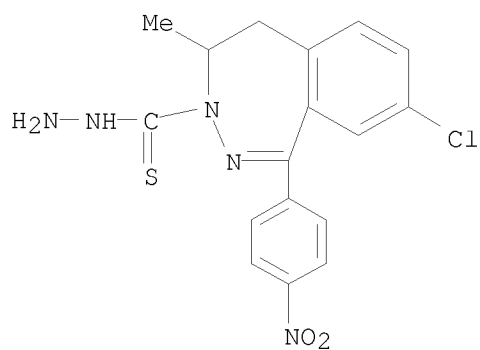


RN 923272-51-9 CAPLUS  
CN 3H-2,3-Benzodiazepine-3-carbothioamide,  
8-chloro-4,5-dihydro-4-methyl-1-(4-nitrophenyl)- (CA INDEX NAME)

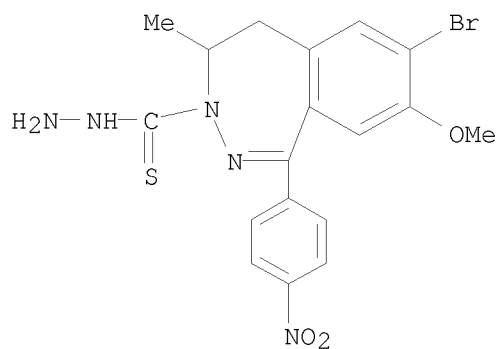
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RN 923272-53-1 CAPLUS  
CN 3H-2,3-Benzodiazepine-3-carbothioic acid,  
8-chloro-4,5-dihydro-4-methyl-1-(4-nitrophenyl)-, hydrazide (CA INDEX  
NAME)



RN 923272-54-2 CAPLUS  
CN 3H-2,3-Benzodiazepine-3-carbothioic acid,  
7-bromo-4,5-dihydro-8-methoxy-4-methyl-1-(4-nitrophenyl)-, hydrazide (CA  
INDEX NAME)

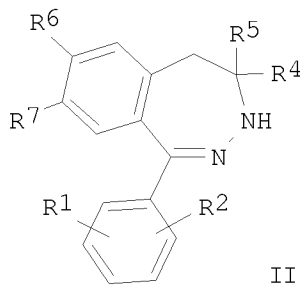
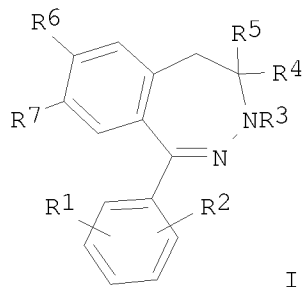


RN 952603-81-5 CAPLUS  
CN 3H-2,3-Benzodiazepine-3-carbothioamide,



L22 ANSWER 5 OF 40 CAPLUS COPYRIGHT 2010 ACS on STN  
 ACCESSION NUMBER: 2007:240866 CAPLUS  
 DOCUMENT NUMBER: 146:482098  
 TITLE: New 2,3-benzodiazepine derivatives and pharmaceutical compositions containing them  
 INVENTOR(S): Berzsenyi, Pal; Ling, Istvan; Tarnawa, Istvan; Abraham, Gizella; Solyom, Sandor; Andrasi, Ferenc; Hamori, Tamas; Csuzdi, Emese; Horvath, Katalin; Gal, Melinda; Moravcsik, Imre; Szoelloesy, Marta  
 PATENT ASSIGNEE(S): Egis Gyogyszergyar Rt., Hung.  
 SOURCE: Hung. Pat. Appl., 42pp.  
 CODEN: HUXXCV  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Hungarian  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
HU 9700688	A2	19980330	HU 1997-688	19970402
HU 9700688	A3	19980428		
PRIORITY APPLN. INFO.:			HU 1997-688	19970402
OTHER SOURCE(S):	MARPAT 146:482098			
GI				



AB The subject of the invention is 2,3-benzodiazepine derivs. I [R1, R2 = H, halogen, C1-4-alkyl, C1-4-alkoxy-, NO2, CF3, NR8R9; R8, R9 = H, COR10; R10 = H, C1-6-alky, C6-10-aryl, C1-4-alkoxy, C3-5-cycloalkyl, C2-6-alkenyl, C3-5-cycloalkoxy, NR11R12; R11, R12 = H, C1-4-alkyl, C3-5-cycloalkyl, C6-10-aryl; R3 = C1-4-alkyl, C3-5-cycloalkyl, COR13; R13 = R10; R4, R5 = H, C1-3-alkyl; R6, R7 = H, Cl, Br, with the restriction that if either R6 or R7 = H, then the other is not] and II with AMPA antagonist effect. The invention also includes the isomers of I and II, their acid addition salts, the pharmaceutical compns. made out of these and the general formula pharmaceutically active compds. Thus, 3-acetyl-7-chloro-4-methyl-4,5-dihydro-3H-2,3-benzodiazepin [I; R1 = NH2-4, R2 = R4 = R7 = H, R3 = COMe, R5 = Me, R6 = Br (III)] was prepared from 4-O2NC6H4CHO via cyclocondensation with 3-ClC6H4CH2CHMeOH, aromatization with Jones reagent, reaction with hydrazine hydrate, reduction with NaBH4, acetylation with Ac2O, and hydrogenolysis with Ranel Ni. The AMPA antagonistic activity of III was determined [IC50 = 3.2  $\mu$ M].

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 200419-10-9P 200419-12-1P 200419-13-2P

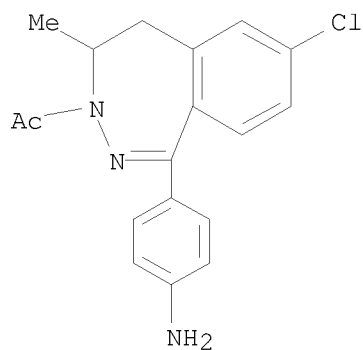
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RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
 (Uses)

(new 2,3-benzodiazepine derivs. and pharmaceutical compns. containing them)

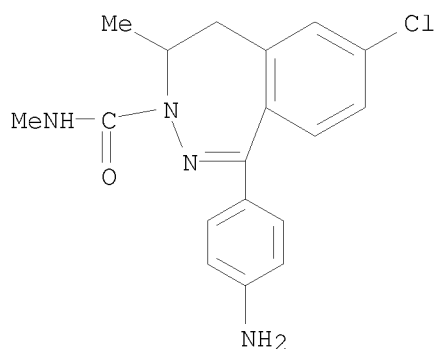
RN 200419-04-1 CAPLUS

CN Ethanone, 1-[1-(4-aminophenyl)-7-chloro-4,5-dihydro-4-methyl-3H-2,3-  
 benzodiazepin-3-yl]- (CA INDEX NAME)



RN 200419-06-3 CAPLUS

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 1-(4-aminophenyl)-7-chloro-4,5-dihydro-N,4-dimethyl- (CA INDEX NAME)

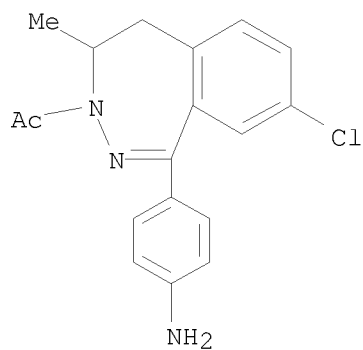


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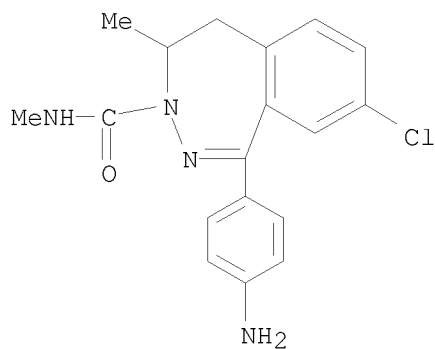
CN Ethanone, 1-[1-(4-aminophenyl)-8-chloro-4,5-dihydro-4-methyl-3H-2,3-  
 benzodiazepin-3-yl]- (CA INDEX NAME)



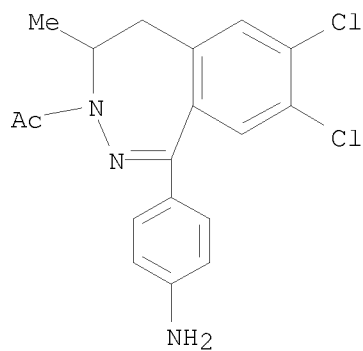
10/567,598



RN 200419-10-9 CAPLUS  
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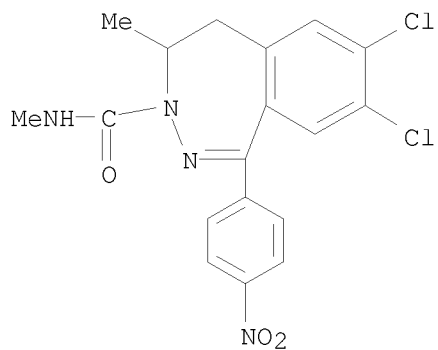


RN 200419-12-1 CAPLUS  
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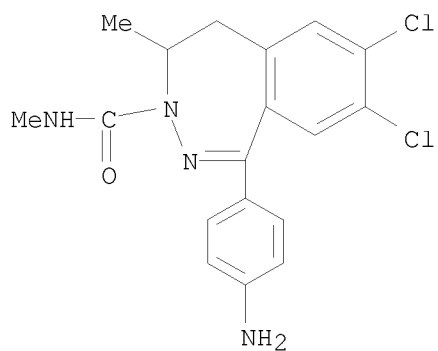


RN 200419-13-2 CAPLUS  
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7,8-dichloro-4,5-dihydro-N,4-dimethyl-1-(4-nitrophenyl)- (CA INDEX NAME)

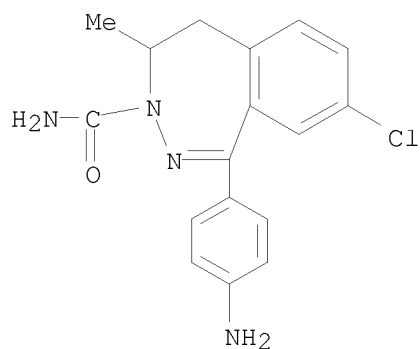
10/567,598



RN 200419-14-3 CAPLUS  
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1-(4-aminophenyl)-7,8-dichloro-4,5-dihydro-N,4-dimethyl- (CA INDEX NAME)

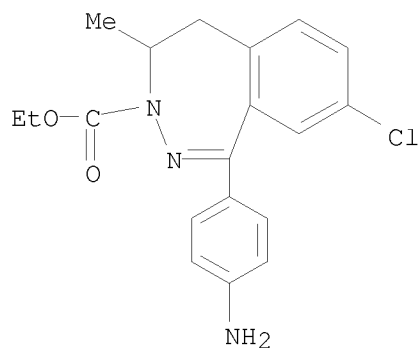


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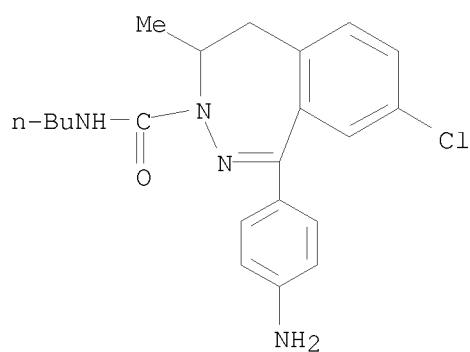


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1-(4-aminophenyl)-8-chloro-4,5-dihydro-4-methyl-, ethyl ester (CA INDEX  
NAME)

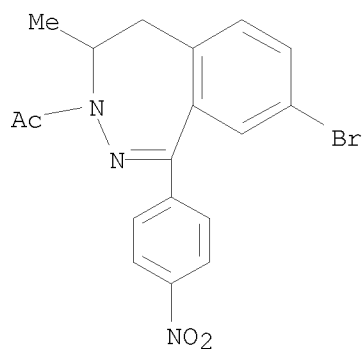
10/567,598



RN 200419-20-1 CAPLUS  
CN 3H-2,3-Benzodiazepine-3-carboxamide,  
1-(4-aminophenyl)-N-butyl-8-chloro-4,5-dihydro-4-methyl- (CA INDEX NAME)

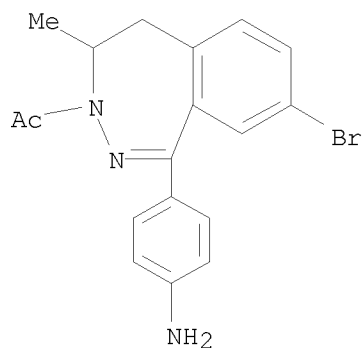


RN 200419-21-2 CAPLUS  
CN Ethanone, 1-[8-bromo-4,5-dihydro-4-methyl-1-(4-nitrophenyl)-3H-2,3-benzodiazepin-3-yl]- (CA INDEX NAME)



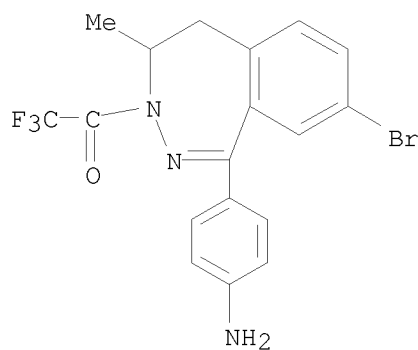
RN 200419-22-3 CAPLUS  
CN Ethanone, 1-[1-(4-aminophenyl)-8-bromo-4,5-dihydro-4-methyl-3H-2,3-benzodiazepin-3-yl]- (CA INDEX NAME)

10/567,598



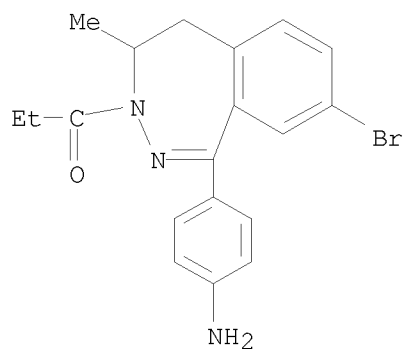
RN 200419-24-5 CAPLUS

CN Ethanone, 1-[1-(4-aminophenyl)-8-bromo-4,5-dihydro-4-methyl-3H-2,3-benzodiazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)



RN 200419-26-7 CAPLUS

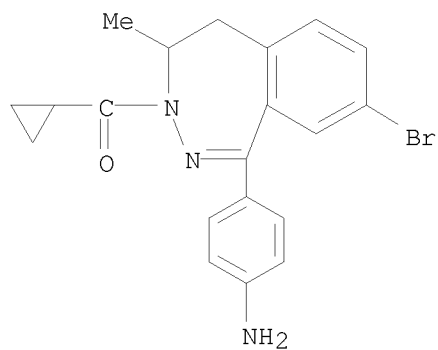
CN 1-Propanone, 1-[1-(4-aminophenyl)-8-bromo-4,5-dihydro-4-methyl-3H-2,3-benzodiazepin-3-yl]- (CA INDEX NAME)



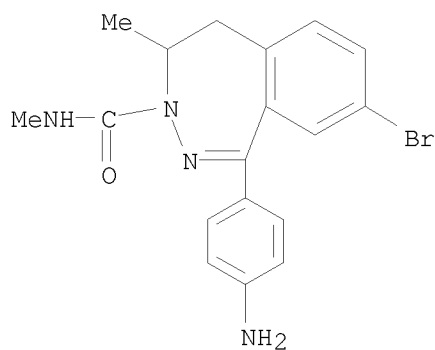
RN 200419-28-9 CAPLUS

CN Methanone, [1-(4-aminophenyl)-8-bromo-4,5-dihydro-4-methyl-3H-2,3-benzodiazepin-3-yl]cyclopropyl- (CA INDEX NAME)

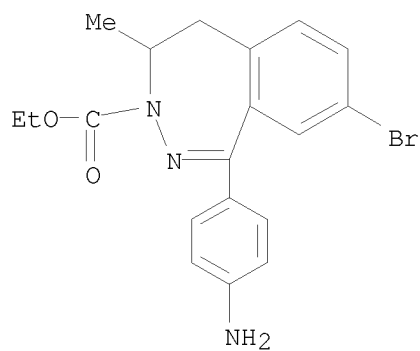
10/567,598



RN 200419-30-3 CAPLUS  
CN 3H-2,3-Benzodiazepine-3-carboxamide,  
1-(4-aminophenyl)-8-bromo-4,5-dihydro-N,4-dimethyl- (CA INDEX NAME)



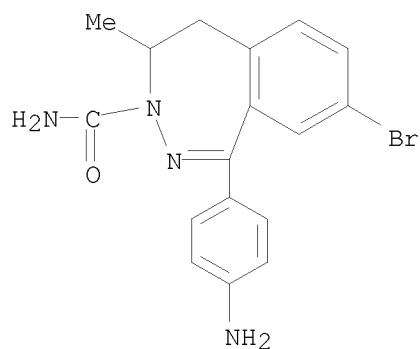
RN 200419-34-7 CAPLUS  
CN 3H-2,3-Benzodiazepine-3-carboxylic acid,  
1-(4-aminophenyl)-8-bromo-4,5-dihydro-4-methyl-, ethyl ester (CA INDEX  
NAME)



RN 200419-37-0 CAPLUS  
CN 3H-2,3-Benzodiazepine-3-carboxamide,

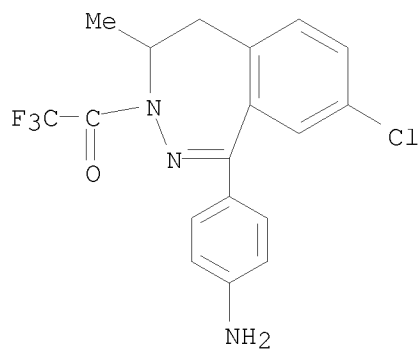
10/567,598

1-(4-aminophenyl)-8-bromo-4,5-dihydro-4-methyl- (CA INDEX NAME)



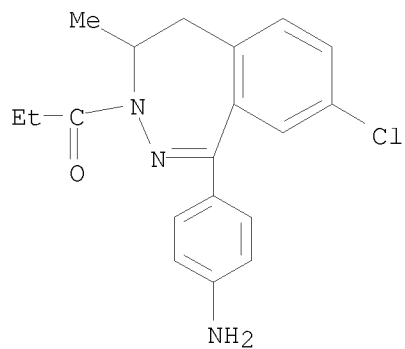
RN 200419-40-5 CAPLUS

CN Ethanone, 1-[1-(4-aminophenyl)-8-chloro-4,5-dihydro-4-methyl-3H-2,3-benzodiazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)



RN 200419-44-9 CAPLUS

CN 1-Propanone, 1-[1-(4-aminophenyl)-8-chloro-4,5-dihydro-4-methyl-3H-2,3-benzodiazepin-3-yl]- (CA INDEX NAME)

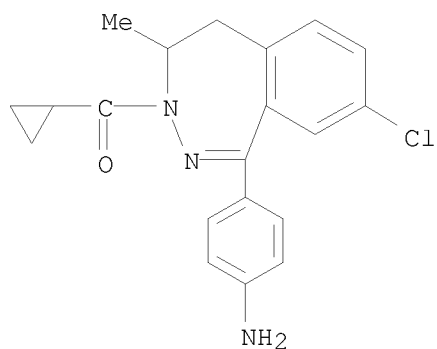


RN 200419-48-3 CAPLUS

CN Methanone, [1-(4-aminophenyl)-8-chloro-4,5-dihydro-4-methyl-3H-2,3-

10/567,598

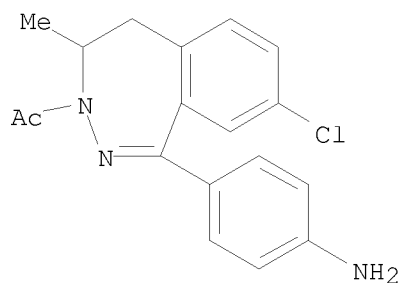
benzodiazepin-3-yl]cyclopropyl- (CA INDEX NAME)



RN 200419-52-9 CAPLUS

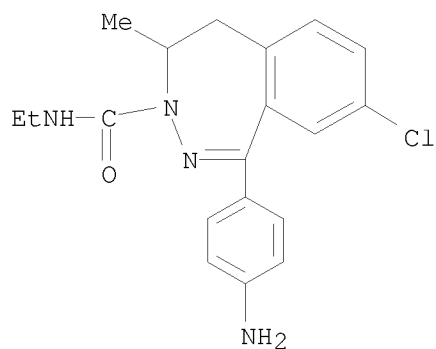
CN Ethanone, 1-[1-(4-aminophenyl)-8-chloro-4,5-dihydro-4-methyl-3H-2,3-benzodiazepin-3-yl]-, (-)- (CA INDEX NAME)

Rotation (-).



RN 200419-55-2 CAPLUS

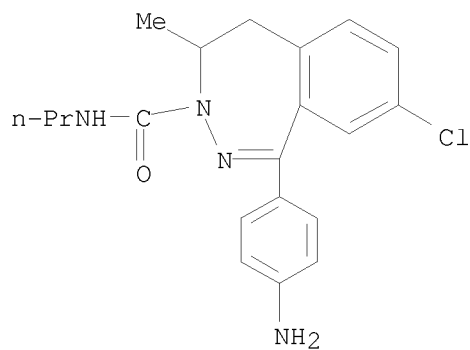
CN 3H-2,3-Benzodiazepine-3-carboxamide, 1-(4-aminophenyl)-8-chloro-N-ethyl-4,5-dihydro-4-methyl- (CA INDEX NAME)



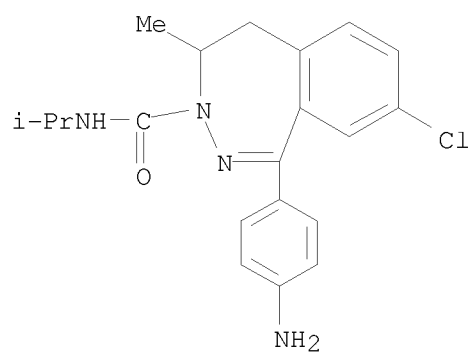
RN 200419-57-4 CAPLUS

CN 3H-2,3-Benzodiazepine-3-carboxamide, 1-(4-aminophenyl)-8-chloro-4,5-dihydro-4-methyl-N-propyl- (CA INDEX NAME)

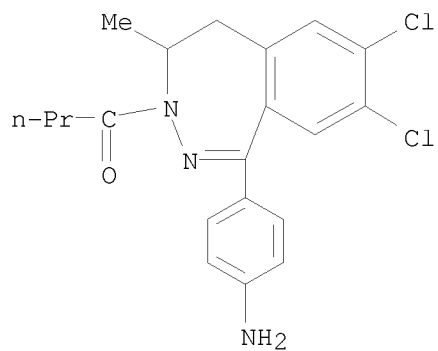
10/567,598



RN 200419-59-6 CAPLUS  
CN 3H-2,3-Benzodiazepine-3-carboxamide,  
1-(4-aminophenyl)-8-chloro-4,5-dihydro-4-methyl-N-(1-methylethyl)- (CA  
INDEX NAME)



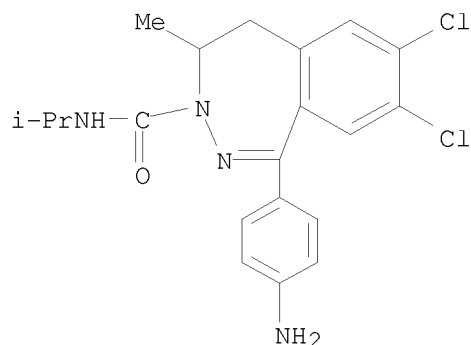
RN 200419-63-2 CAPLUS  
CN 1-Butanone, 1-[1-(4-aminophenyl)-7,8-dichloro-4,5-dihydro-4-methyl-3H-2,3-  
benzodiazepin-3-yl]- (CA INDEX NAME)



RN 935656-67-0 CAPLUS  
CN 3H-2,3-Benzodiazepine-3-carboxamide,

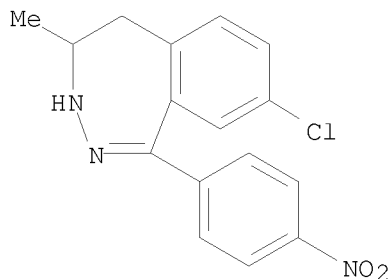


1-(4-aminophenyl)-7,8-dichloro-4,5-dihydro-4-methyl-N-(1-methylethyl)-  
(CA INDEX NAME)



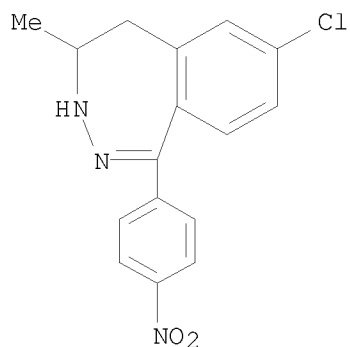
IT 200419-87-0P, (+)-8-Chloro-4-methyl-1-(4-nitrophenyl)-4,5-dihydro-3H-2,3-benzodiazepin  
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
(preparation and acetylation of; new 2,3-benzodiazepine derivs. and pharmaceutical compns. containing them)  
RN 200419-87-0 CAPLUS  
CN 3H-2,3-Benzodiazepine, 8-chloro-4,5-dihydro-4-methyl-1-(4-nitrophenyl)-, (+)- (CA INDEX NAME)

Rotation (+).



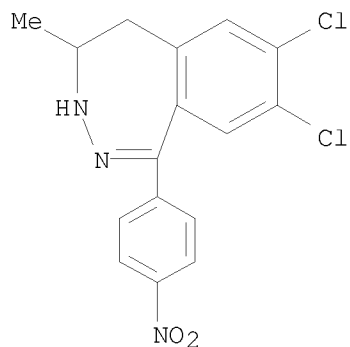
IT 935656-68-1P, 7-Chloro-4-methyl-1-(4-nitrophenyl)-4,5-dihydro-3H-2,3-benzodiazepin 935656-70-5P, 7,8-Dichloro-4-methyl-1-(4-nitrophenyl)-4,5-dihydro-3H-2,3-benzodiazepine  
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and acetylation or carbamylation of; new 2,3-benzodiazepine derivs. and pharmaceutical compns. containing them)  
RN 935656-68-1 CAPLUS  
CN 3H-2,3-Benzodiazepine, 7-chloro-4,5-dihydro-4-methyl-1-(4-nitrophenyl)- (CA INDEX NAME)

10/567,598



RN 935656-70-5 CAPLUS

CN 3H-2,3-Benzodiazepine, 7,8-dichloro-4,5-dihydro-4-methyl-1-(4-nitrophenyl)-  
(CA INDEX NAME)



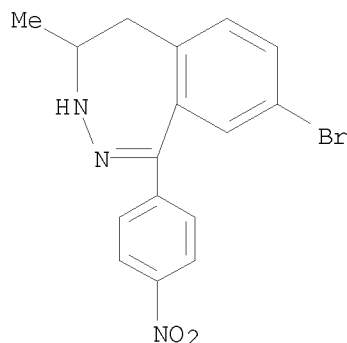
IT 935656-72-7P, 8-Bromo-4-methyl-1-(4-nitrophenyl)-4,5-dihydro-3H-  
2,3-benzodiazepin

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
(Reactant or reagent)

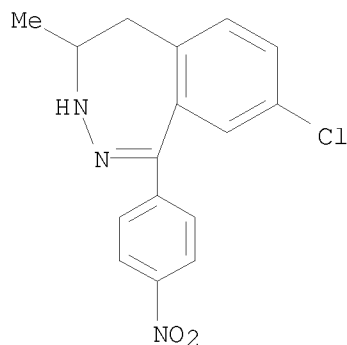
(preparation and acylation of; new 2,3-benzodiazepine derivs. and  
pharmaceutical compns. containing them)

RN 935656-72-7 CAPLUS

CN 3H-2,3-Benzodiazepine, 8-bromo-4,5-dihydro-4-methyl-1-(4-nitrophenyl)-  
(CA INDEX NAME)

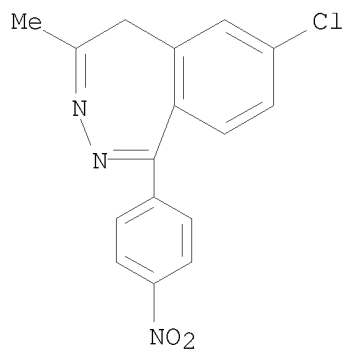


IT 935656-69-2P, 8-Chloro-4-methyl-1-(4-nitrophenyl)-4,5-dihydro-3H-2,3-benzodiazepin  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation and acylation or carbamylation of; new 2,3-benzodiazepine derivs. and pharmaceutical compns. containing them)  
 RN 935656-69-2 CAPLUS  
 CN 3H-2,3-Benzodiazepine, 8-chloro-4,5-dihydro-4-methyl-1-(4-nitrophenyl)- (CA INDEX NAME)

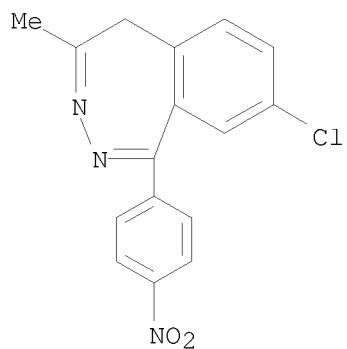


IT 200419-67-6P, 7-Chloro-4-methyl-1-(4-nitrophenyl)-5H-2,3-benzodiazepin 200419-71-2P,  
 8-Chloro-4-methyl-1-(4-nitrophenyl)-5H-2,3-benzodiazepin 200419-73-4P, 7,8-Dichloro-4-methyl-1-(4-nitrophenyl)-5H-2,3-benzodiazepine 200419-84-7P,  
 8-Bromo-4-methyl-1-(4-nitrophenyl)-5H-2,3-benzodiazepin  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation and borohydride reduction of; new 2,3-benzodiazepine derivs. and pharmaceutical compns. containing them)  
 RN 200419-67-6 CAPLUS  
 CN 5H-2,3-Benzodiazepine, 7-chloro-4-methyl-1-(4-nitrophenyl)- (CA INDEX NAME)

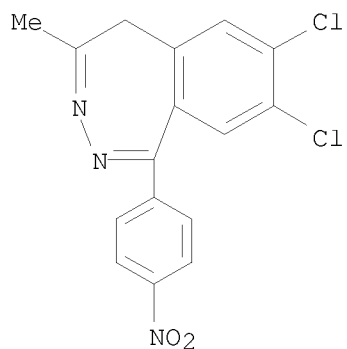
10/567,598



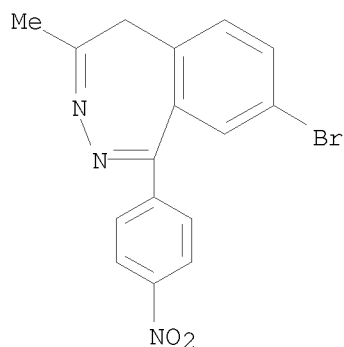
RN 200419-71-2 CAPLUS  
CN 5H-2,3-Benzodiazepine, 8-chloro-4-methyl-1-(4-nitrophenyl)- (CA INDEX NAME)



RN 200419-73-4 CAPLUS  
CN 5H-2,3-Benzodiazepine, 7,8-dichloro-4-methyl-1-(4-nitrophenyl)- (CA INDEX NAME)



RN 200419-84-7 CAPLUS  
CN 5H-2,3-Benzodiazepine, 8-bromo-4-methyl-1-(4-nitrophenyl)- (CA INDEX NAME)

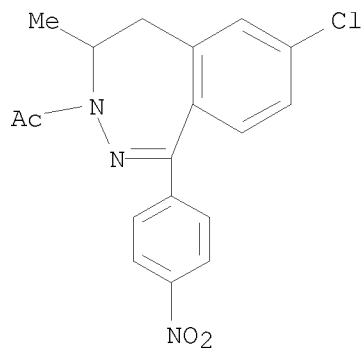


IT 200419-03-0P 200419-05-2P 200419-07-4P  
 200419-09-6P 200419-11-0P 200419-15-4P  
 200419-19-8P 200419-25-6P 200419-27-8P  
 200419-29-0P 200419-36-9P 200419-38-1P  
 200419-42-7P 200419-46-1P 200419-50-7P  
 200419-54-1P 200419-56-3P 200419-58-5P  
 200419-60-9P 200419-62-1P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
 (preparation and hydrogenolysis of; new 2,3-benzodiazepine derivs. and pharmaceutical compns. containing them)

RN 200419-03-0 CAPLUS

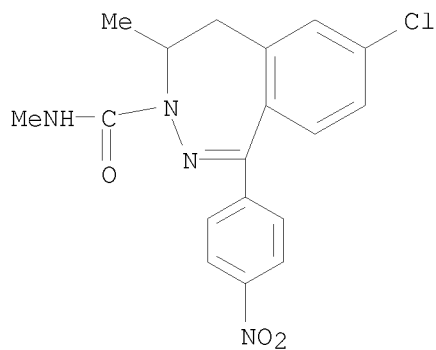
CN Ethanone, 1-[7-chloro-4,5-dihydro-4-methyl-1-(4-nitrophenyl)-3H-2,3-benzodiazepin-3-yl]- (CA INDEX NAME)



RN 200419-05-2 CAPLUS

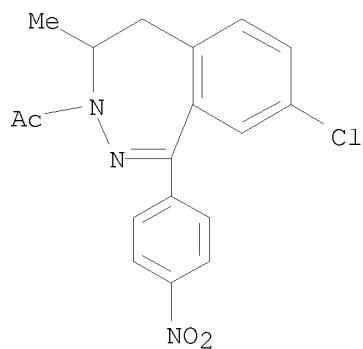
CN 3H-2,3-Benzodiazepine-3-carboxamide,  
 7-chloro-4,5-dihydro-N,4-dimethyl-1-(4-nitrophenyl)- (CA INDEX NAME)

10/567,598



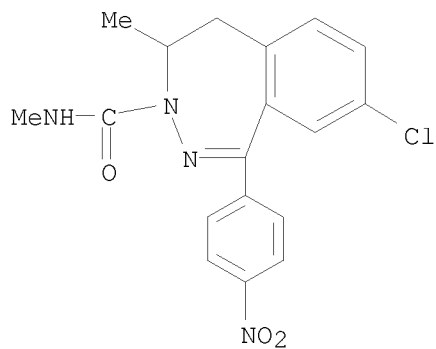
RN 200419-07-4 CAPLUS

CN Ethanone, 1-[8-chloro-4,5-dihydro-4-methyl-1-(4-nitrophenyl)-3H-2,3-benzodiazepin-3-yl]- (CA INDEX NAME)



RN 200419-09-6 CAPLUS

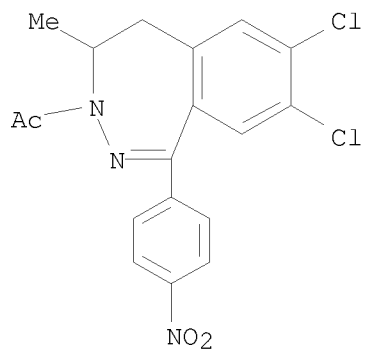
CN 3H-2,3-Benzodiazepine-3-carboxamide, 8-chloro-4,5-dihydro-N,4-dimethyl-1-(4-nitrophenyl)- (CA INDEX NAME)



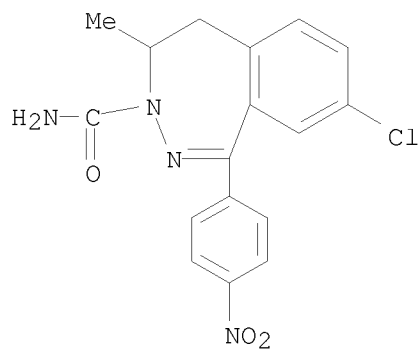
RN 200419-11-0 CAPLUS

CN Ethanone, 1-[7,8-dichloro-4,5-dihydro-4-methyl-1-(4-nitrophenyl)-3H-2,3-benzodiazepin-3-yl]- (CA INDEX NAME)

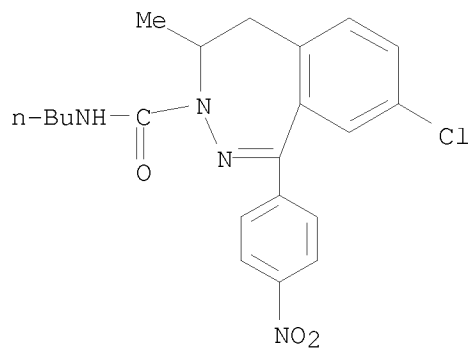
10/567,598



RN 200419-15-4 CAPLUS  
CN 3H-2,3-Benzodiazepine-3-carboxamide,  
8-chloro-4,5-dihydro-4-methyl-1-(4-nitrophenyl)- (CA INDEX NAME)

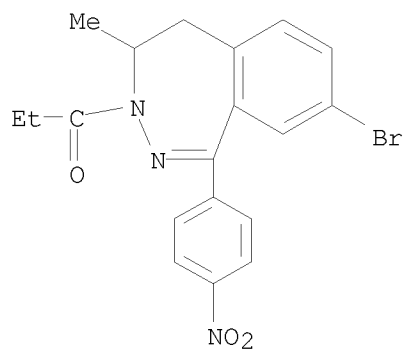


RN 200419-19-8 CAPLUS  
CN 3H-2,3-Benzodiazepine-3-carboxamide,  
N-butyl-8-chloro-4,5-dihydro-4-methyl-1-(4-nitrophenyl)- (CA INDEX NAME)



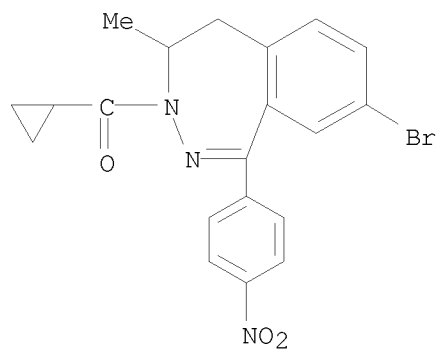
RN 200419-25-6 CAPLUS  
CN 1-Propanone, 1-[8-bromo-4,5-dihydro-4-methyl-1-(4-nitrophenyl)-3H-2,3-  
benzodiazepin-3-yl]- (CA INDEX NAME)

10/567,598



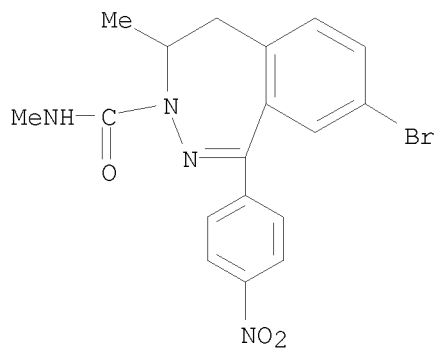
RN 200419-27-8 CAPLUS

CN Methanone, [8-bromo-4,5-dihydro-4-methyl-1-(4-nitrophenyl)-3H-2,3-benzodiazepine-3-yl]cyclopropyl- (CA INDEX NAME)



RN 200419-29-0 CAPLUS

CN 3H-2,3-Benzodiazepine-3-carboxamide, 8-bromo-4,5-dihydro-N,4-dimethyl-1-(4-nitrophenyl)- (CA INDEX NAME)

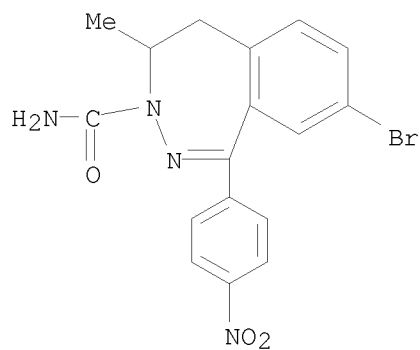


RN 200419-36-9 CAPLUS

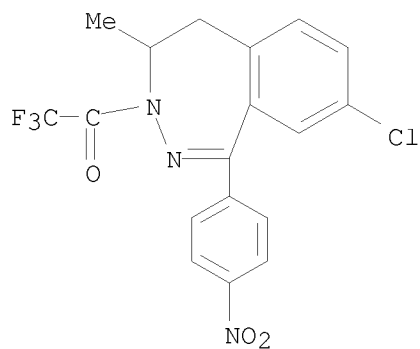
CN 3H-2,3-Benzodiazepine-3-carboxamide, 8-bromo-4,5-dihydro-4-methyl-1-(4-nitrophenyl)- (CA INDEX NAME)



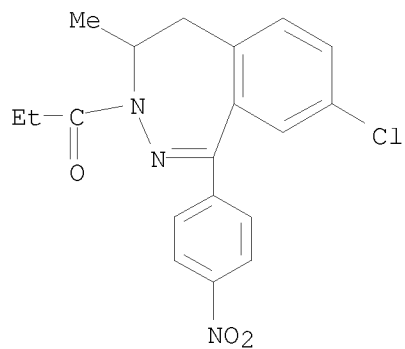
10/567,598



RN 200419-38-1 CAPLUS  
CN Ethanone, 1-[8-chloro-4,5-dihydro-4-methyl-1-(4-nitrophenyl)-3H-2,3-benzodiazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)

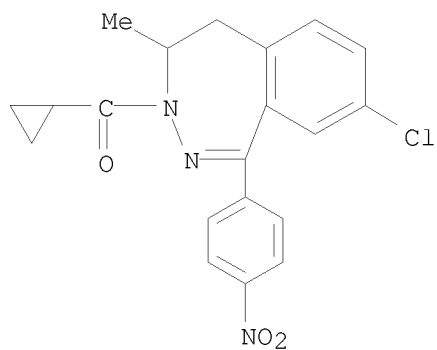


RN 200419-42-7 CAPLUS  
CN 1-Propanone, 1-[8-chloro-4,5-dihydro-4-methyl-1-(4-nitrophenyl)-3H-2,3-benzodiazepin-3-yl]- (CA INDEX NAME)



RN 200419-46-1 CAPLUS  
CN Methanone, [8-chloro-4,5-dihydro-4-methyl-1-(4-nitrophenyl)-3H-2,3-benzodiazepin-3-yl]cyclopropyl- (CA INDEX NAME)

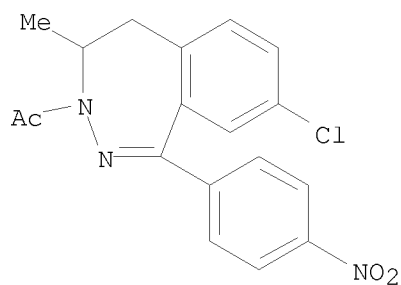
10/567,598



RN 200419-50-7 CAPLUS

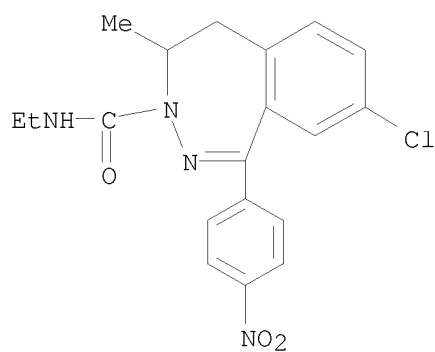
CN Ethanone, 1-[8-chloro-4,5-dihydro-4-methyl-1-(4-nitrophenyl)-3H-2,3-benzodiazepin-3-yl]-, (+)- (CA INDEX NAME)

Rotation (+).



RN 200419-54-1 CAPLUS

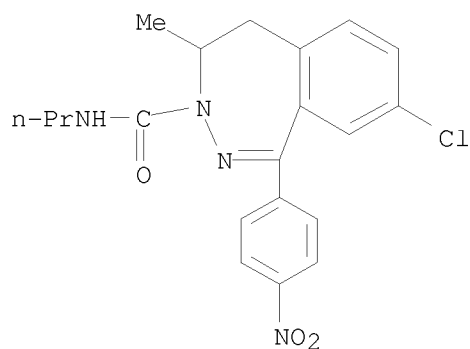
CN 3H-2,3-Benzodiazepine-3-carboxamide, 8-chloro-N-ethyl-4,5-dihydro-4-methyl-1-(4-nitrophenyl)- (CA INDEX NAME)



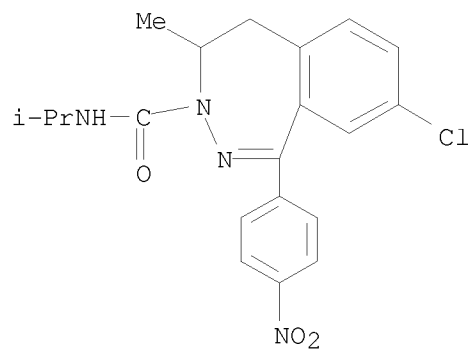
RN 200419-56-3 CAPLUS

CN 3H-2,3-Benzodiazepine-3-carboxamide, 8-chloro-4,5-dihydro-4-methyl-1-(4-nitrophenyl)-N-propyl- (CA INDEX NAME)

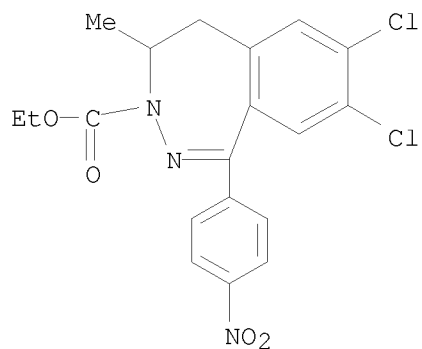
10/567,598



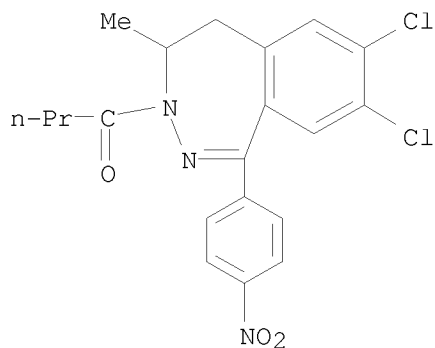
RN 200419-58-5 CAPLUS  
CN 3H-2,3-Benzodiazepine-3-carboxamide,  
8-chloro-4,5-dihydro-4-methyl-N-(1-methylethyl)-1-(4-nitrophenyl)- (CA  
INDEX NAME)



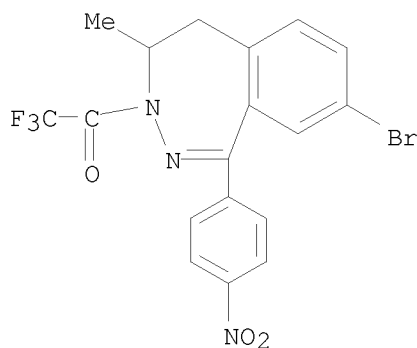
RN 200419-60-9 CAPLUS  
CN 3H-2,3-Benzodiazepine-3-carboxylic acid,  
7,8-dichloro-4,5-dihydro-4-methyl-1-(4-nitrophenyl)-, ethyl ester (CA  
INDEX NAME)



RN 200419-62-1 CAPLUS  
CN 1-Butanone, 1-[7,8-dichloro-4,5-dihydro-4-methyl-1-(4-nitrophenyl)-3H-2,3-  
benzodiazepin-3-yl]- (CA INDEX NAME)

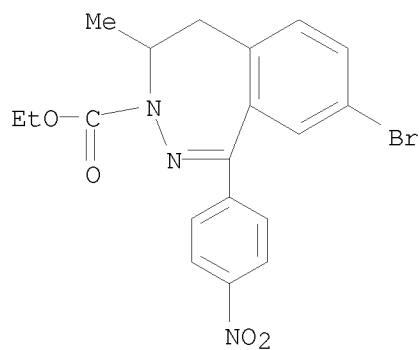


IT 200419-23-4P  
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses) (preparation and hydrogenolysis or N-acylation of; new 2,3-benzodiazepine derivs. and pharmaceutical compns. containing them)  
 RN 200419-23-4 CAPLUS  
 CN Ethanone, 1-[8-bromo-4,5-dihydro-4-methyl-1-(4-nitrophenyl)-3H-2,3-benzodiazepine-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)

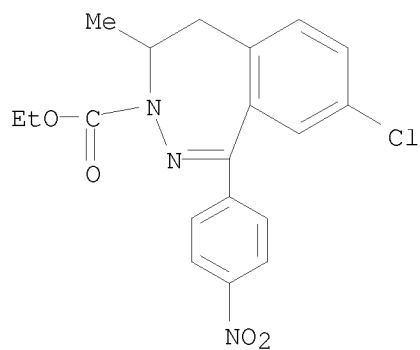


IT 200419-32-5P  
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses) (preparation and hydrogenolysis or decarbamylation of; new 2,3-benzodiazepine derivs. and pharmaceutical compns. containing them)  
 RN 200419-32-5 CAPLUS  
 CN 3H-2,3-Benzodiazepine-3-carboxylic acid, 8-bromo-4,5-dihydro-4-methyl-1-(4-nitrophenyl)-, ethyl ester (CA INDEX NAME)

10/567,598



IT 200419-17-6P  
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
(preparation and hydrogenolysis or decarboxylation of; new 2,3-benzodiazepine derivs. and pharmaceutical compns. containing them)  
RN 200419-17-6 CAPLUS  
CN 3H-2,3-Benzodiazepine-3-carboxylic acid,  
8-chloro-4,5-dihydro-4-methyl-1-(4-nitrophenyl)-, ethyl ester (CA INDEX NAME)



L22 ANSWER 6 OF 40 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2007:119526 CAPLUS

DOCUMENT NUMBER: 146:206341

TITLE: Novel substituted 2,3-benzodiazepine derivatives as AMPA antagonists and their preparation, pharmaceutical compositions, and use in the treatment of diseases

INVENTOR(S): Solyom, Sandor; Abraham, Gizella; Hamori, Tamas; Berzsenyi, Pal; Andrasi, Fenrec; Kurucz, Istvan

PATENT ASSIGNEE(S): IVAX Drug Research Institute, Ltd., Hung.

SOURCE: U.S. Pat. Appl. Publ., 40 pp., Cont.-in-part of U.S. Ser. No. 358,053.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

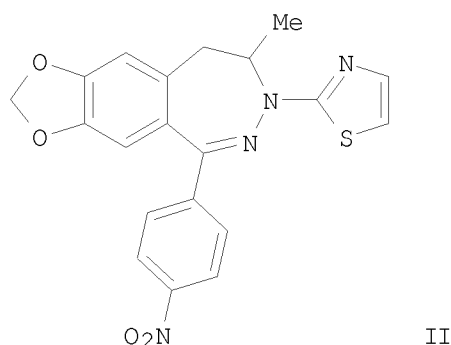
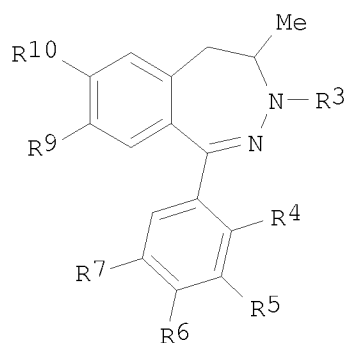
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20070027143	A1	20070201	US 2004-771847	20040203
US 20040152693	A1	20040805	US 2003-358053	20030204
US 6858605	B2	20050222		

PRIORITY APPLN. INFO.: US 2003-358053 A2 20030204

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 146:206341; MARPAT 146:206341

GI



AB The invention relates to 2,3-benzodiazepine derivs. of formula I, isomers and acid addition salts thereof and to pharmaceutical compns. containing the same, as well as to pharmaceutical compns. and methods of using the same suitable for treating conditions associated with muscle spasms, epilepsy, acute and chronic forms of neurodegenerative diseases as well as preventing, treating or alleviating the symptoms of acute and chronic inflammatory disorders. Compds. of formula I wherein, R3 is (un)substituted (un)saturated 5- to 6-membered (hetero)aryl; R4 - R7 is H, halo, C1-3 alkyl, NO2, NH2 and derivs., etc.; R9 is C1-3 alkoxy, and halo; R10 is H and halo; R9R10 together is C1-3 alkylenedioxy; and their stereoisomers and acid-addition salts thereof, are claimed. Example compound II was prepared by cyclization of (±)-8-methyl-5-(4-nitrophenyl)-7-thiocarbamoyl-8,9-dihydro-7H-1,3-dioxolo[4,5-h][2,3]benzodiazepine with bromoacetaldehyde di-Et acetal. All the invention compds. were evaluated

for their AMPA antagonistic activity (data given).

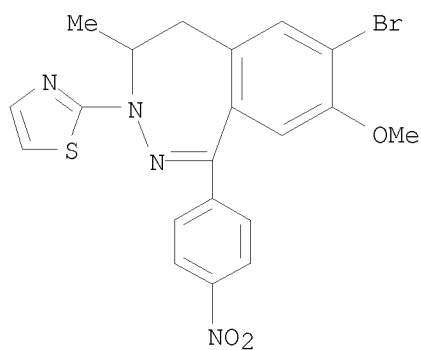
IT 732277-25-7P 732277-26-8P 732277-27-9P  
 732277-28-0P 732277-29-1P 732277-30-4P  
 732277-45-1P 732277-47-3P 732277-53-1P  
 732277-95-1P 732277-96-2P 732277-97-3P  
 732277-98-4P 732277-99-5P 732278-00-1P  
 732278-12-5P 732278-14-7P 732278-18-1P  
 923271-76-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of substituted 2,3-benzodiazepine derivs. as AMPA antagonists and their use in the treatment of diseases)

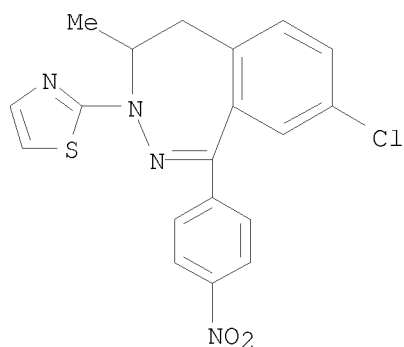
RN 732277-25-7 CAPLUS

CN 3H-2,3-Benzodiazepine, 7-bromo-4,5-dihydro-8-methoxy-4-methyl-1-(4-nitrophenyl)-3-(2-thiazolyl)- (CA INDEX NAME)



RN 732277-26-8 CAPLUS

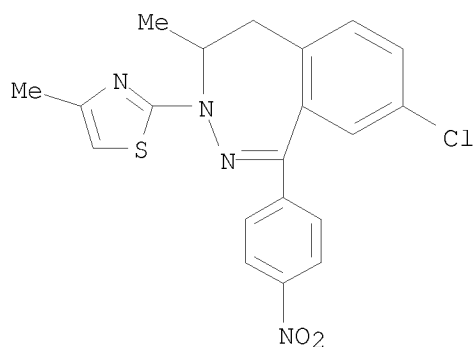
CN 3H-2,3-Benzodiazepine, 8-chloro-4,5-dihydro-4-methyl-1-(4-nitrophenyl)-3-(2-thiazolyl)- (CA INDEX NAME)



RN 732277-27-9 CAPLUS

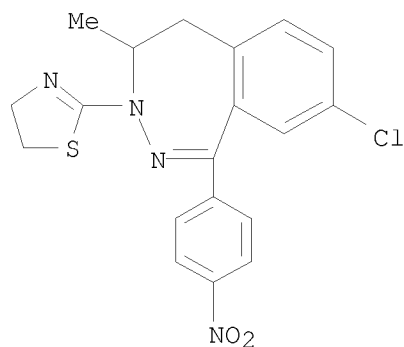
CN 3H-2,3-Benzodiazepine, 8-chloro-4,5-dihydro-4-methyl-3-(4-methyl-2-thiazolyl)-1-(4-nitrophenyl)- (CA INDEX NAME)

10/567,598



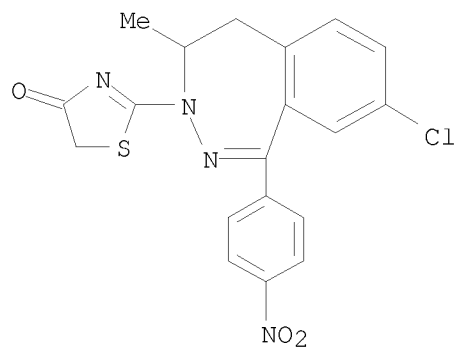
RN 732277-28-0 CAPLUS

CN 3H-2,3-Benzodiazepine, 8-chloro-3-(4,5-dihydro-2-thiazolyl)-4,5-dihydro-4-methyl-1-(4-nitrophenyl)- (CA INDEX NAME)



RN 732277-29-1 CAPLUS

CN 4(5H)-Thiazolone, 2-[8-chloro-4,5-dihydro-4-methyl-1-(4-nitrophenyl)-3H-2,3-benzodiazepin-3-yl]- (CA INDEX NAME)

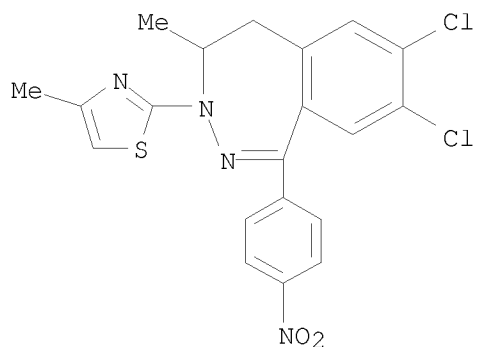


RN 732277-30-4 CAPLUS

CN 3H-2,3-Benzodiazepine, 7,8-dichloro-4,5-dihydro-4-methyl-3-(4-methyl-2-thiazolyl)-1-(4-nitrophenyl)- (CA INDEX NAME)

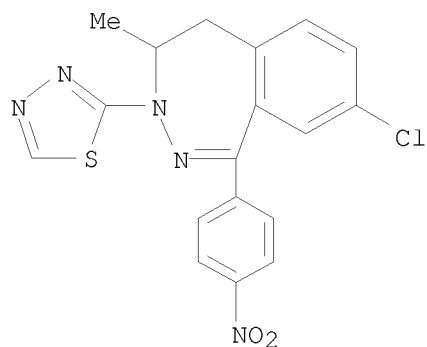


10/567,598



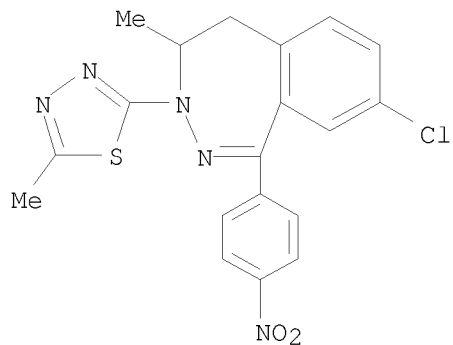
RN 732277-45-1 CAPLUS

CN 3H-2,3-Benzodiazepine, 8-chloro-4,5-dihydro-4-methyl-1-(4-nitrophenyl)-3-(1,3,4-thiadiazol-2-yl)- (CA INDEX NAME)



RN 732277-47-3 CAPLUS

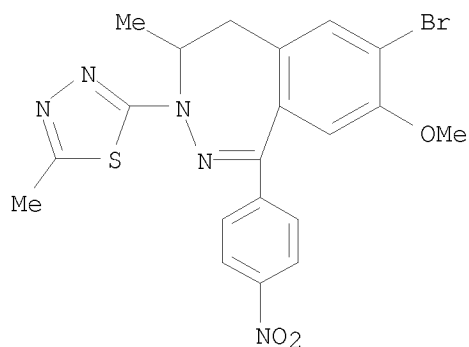
CN 3H-2,3-Benzodiazepine, 8-chloro-4,5-dihydro-4-methyl-3-(5-methyl-1,3,4-thiadiazol-2-yl)-1-(4-nitrophenyl)- (CA INDEX NAME)



RN 732277-53-1 CAPLUS

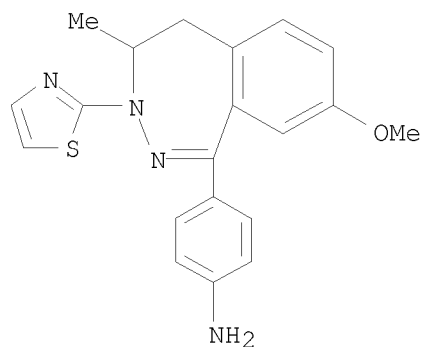
CN 3H-2,3-Benzodiazepine, 7-bromo-4,5-dihydro-8-methoxy-4-methyl-3-(5-methyl-1,3,4-thiadiazol-2-yl)-1-(4-nitrophenyl)- (CA INDEX NAME)

10/567,598



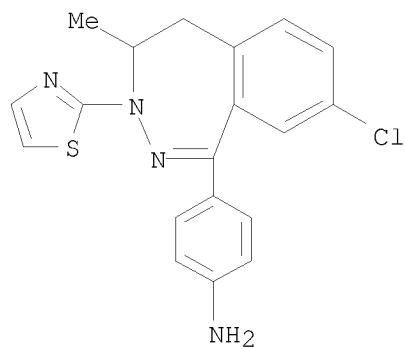
RN 732277-95-1 CAPLUS

CN Benzenamine, 4-[4,5-dihydro-8-methoxy-4-methyl-3-(2-thiazolyl)-3H-2,3-benzodiazepin-1-yl]- (CA INDEX NAME)



RN 732277-96-2 CAPLUS

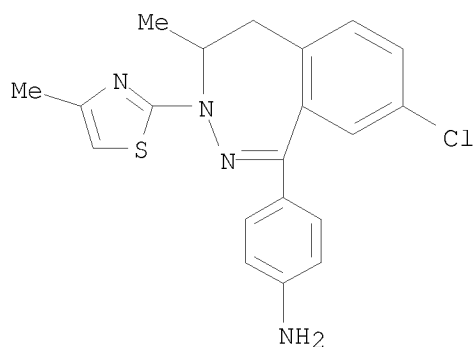
CN Benzenamine, 4-[8-chloro-4,5-dihydro-4-methyl-3-(2-thiazolyl)-3H-2,3-benzodiazepin-1-yl]- (CA INDEX NAME)



RN 732277-97-3 CAPLUS

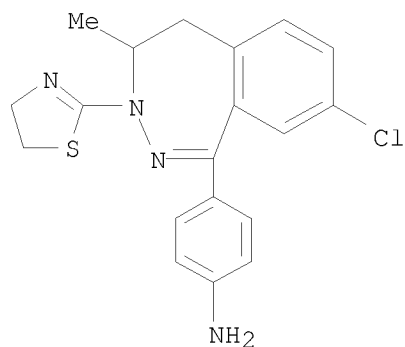
CN Benzenamine, 4-[8-chloro-4,5-dihydro-4-methyl-3-(4-methyl-2-thiazolyl)-3H-2,3-benzodiazepin-1-yl]- (CA INDEX NAME)

10/567,598



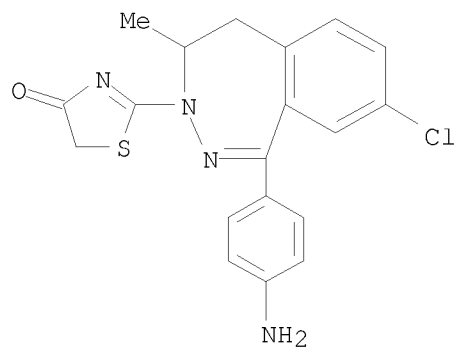
RN 732277-98-4 CAPLUS

CN Benzenamine, 4-[8-chloro-3-(4,5-dihydro-2-thiazolyl)-4,5-dihydro-4-methyl-3H-2,3-benzodiazepin-1-yl]- (CA INDEX NAME)



RN 732277-99-5 CAPLUS

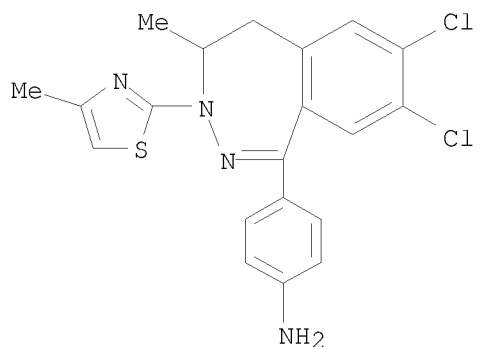
CN 4(5H)-Thiazolone, 2-[1-(4-aminophenyl)-8-chloro-4,5-dihydro-4-methyl-3H-2,3-benzodiazepin-3-yl]- (CA INDEX NAME)



RN 732278-00-1 CAPLUS

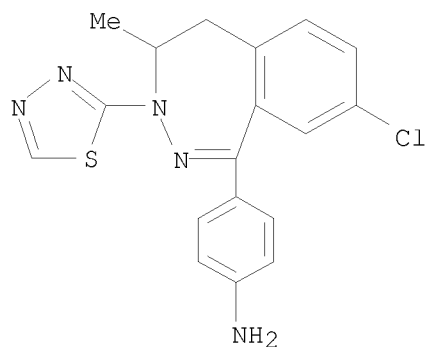
CN Benzenamine, 4-[7,8-dichloro-4,5-dihydro-4-methyl-3-(4-methyl-2-thiazolyl)-3H-2,3-benzodiazepin-1-yl]- (CA INDEX NAME)

10/567,598



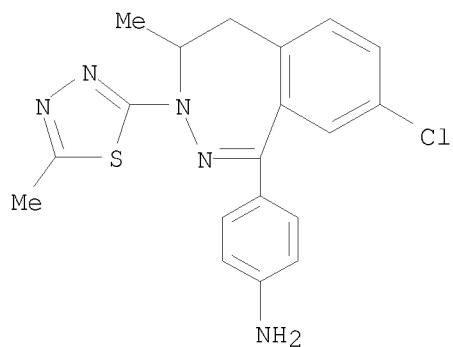
RN 732278-12-5 CAPLUS

CN Benzenamine, 4-[8-chloro-4,5-dihydro-4-methyl-3-(1,3,4-thiadiazol-2-yl)-3H-2,3-benzodiazepin-1-yl]- (CA INDEX NAME)



RN 732278-14-7 CAPLUS

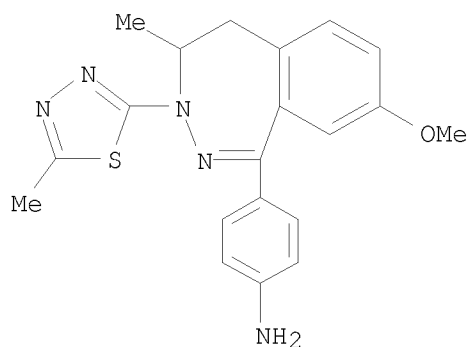
CN Benzenamine, 4-[8-chloro-4,5-dihydro-4-methyl-3-(5-methyl-1,3,4-thiadiazol-2-yl)-3H-2,3-benzodiazepin-1-yl]- (CA INDEX NAME)



RN 732278-18-1 CAPLUS

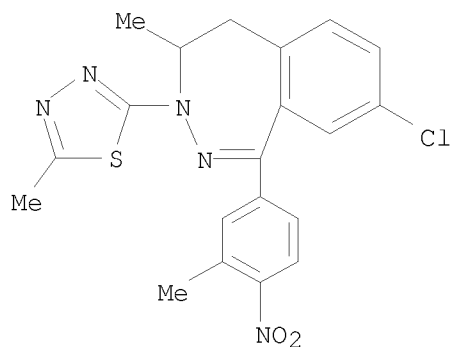
CN Benzenamine, 4-[4,5-dihydro-8-methoxy-4-methyl-3-(5-methyl-1,3,4-thiadiazol-2-yl)-3H-2,3-benzodiazepin-1-yl]- (CA INDEX NAME)

10/567,598



RN 923271-76-5 CAPLUS

CN 3H-2,3-Benzodiazepine, 8-chloro-4,5-dihydro-4-methyl-1-(3-methyl-4-nitrophenyl)-3-(5-methyl-1,3,4-thiadiazol-2-yl)- (CA INDEX NAME)



IT 732276-83-4P 732276-90-3P 732276-91-4P  
923272-51-9P 923272-53-1P 923272-54-2P

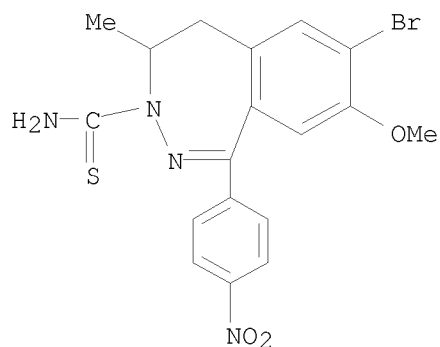
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of substituted 2,3-benzodiazepine derivs. as AMPA antagonists and their use in the treatment of diseases)

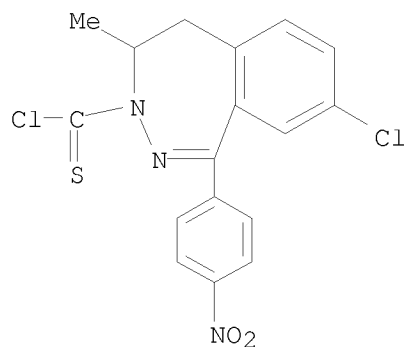
RN 732276-83-4 CAPLUS

CN 3H-2,3-Benzodiazepine-3-carbothioamide,  
7-bromo-4,5-dihydro-8-methoxy-4-methyl-1-(4-nitrophenyl)- (CA INDEX NAME)

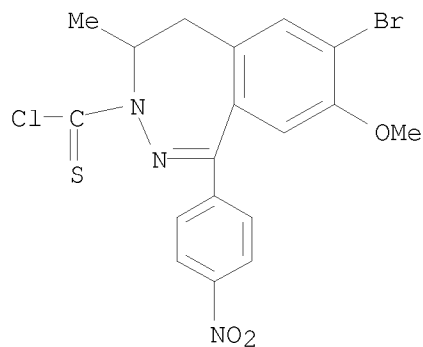
10/567,598



RN 732276-90-3 CAPLUS  
CN 3H-2,3-Benzodiazepine-3-carbothioyl chloride,  
8-chloro-4,5-dihydro-4-methyl-1-(4-nitrophenyl)- (CA INDEX NAME)

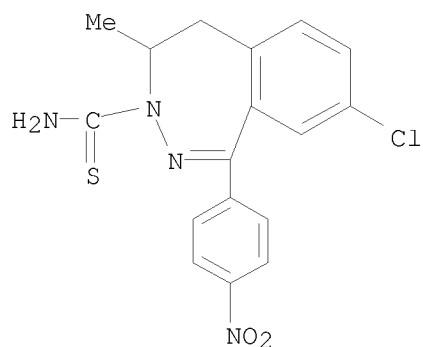


RN 732276-91-4 CAPLUS  
CN 3H-2,3-Benzodiazepine-3-carbothioyl chloride,  
7-bromo-4,5-dihydro-8-methoxy-4-methyl-1-(4-nitrophenyl)- (CA INDEX NAME)

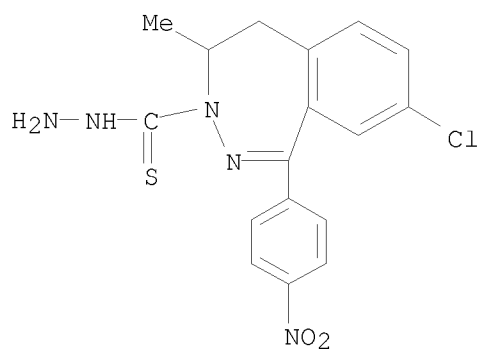


RN 923272-51-9 CAPLUS  
CN 3H-2,3-Benzodiazepine-3-carbothioamide,  
8-chloro-4,5-dihydro-4-methyl-1-(4-nitrophenyl)- (CA INDEX NAME)

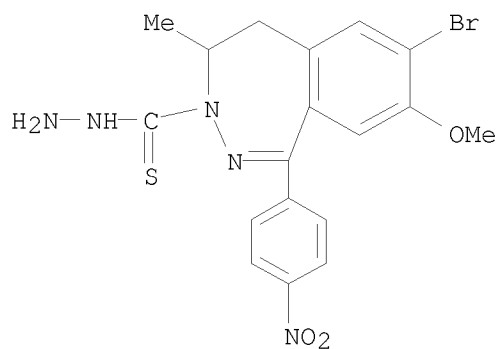
10/567,598



RN 923272-53-1 CAPLUS  
CN 3H-2,3-Benzodiazepine-3-carbothioic acid,  
8-chloro-4,5-dihydro-4-methyl-1-(4-nitrophenyl)-, hydrazide (CA INDEX  
NAME)



RN 923272-54-2 CAPLUS  
CN 3H-2,3-Benzodiazepine-3-carbothioic acid,  
7-bromo-4,5-dihydro-8-methoxy-4-methyl-1-(4-nitrophenyl)-, hydrazide (CA  
INDEX NAME)



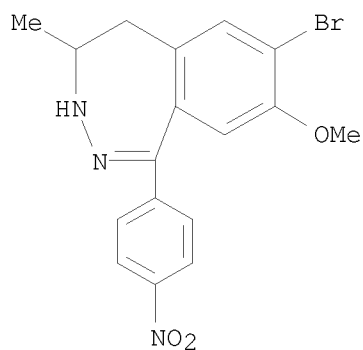
IT 194671-84-6 935656-69-2 1201945-16-5  
RL: RCT (Reactant); RACT (Reactant or reagent)

10/567,598

(preparation of substituted 2,3-benzodiazepine derivs. as AMPA antagonists  
and their use in the treatment of diseases)

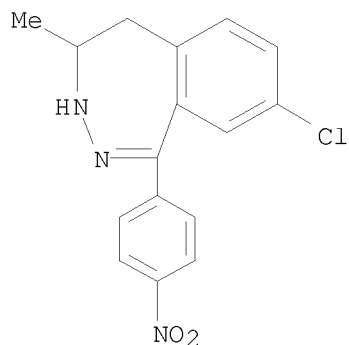
RN 194671-84-6 CAPLUS

CN 3H-2,3-Benzodiazepine, 7-bromo-4,5-dihydro-8-methoxy-4-methyl-1-(4-nitrophenyl)- (CA INDEX NAME)



RN 935656-69-2 CAPLUS

CN 3H-2,3-Benzodiazepine, 8-chloro-4,5-dihydro-4-methyl-1-(4-nitrophenyl)- (CA INDEX NAME)

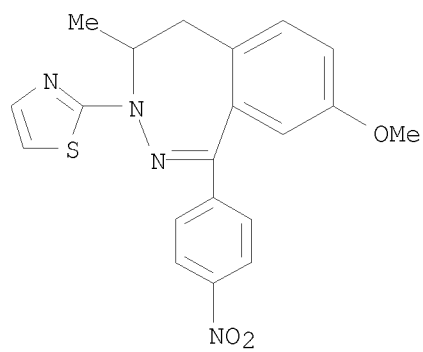


RN 1201945-16-5 CAPLUS

CN 3H-2,3-Benzodiazepine, 4,5-dihydro-8-methoxy-4-methyl-1-(4-nitrophenyl)-3-(2-thiazolyl)- (CA INDEX NAME)



10/567,598



L22 ANSWER 7 OF 40 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2006:1330065 CAPLUS

DOCUMENT NUMBER: 146:229312

TITLE: Synthesis of 8,9-dialkoxybenzodiazepines and  
7,8-dialkoxyisoquinolinesAUTHOR(S): Pongo, Laszlo; Agai, Bela; Faigl, Ferenc; Reiter,  
Jozsef; Simig, GyulaCORPORATE SOURCE: Chemical Research Division, Egis Pharmaceuticals Ltd.,  
Budapest, H-1475, Hung.SOURCE: Journal of Heterocyclic Chemistry (2006), 43(6),  
1539-1547

CODEN: JHTCAD; ISSN: 0022-152X

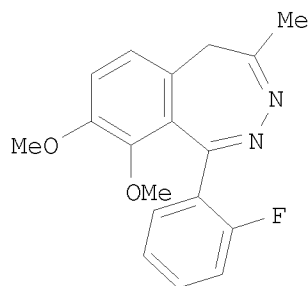
PUBLISHER: HeteroCorporation

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 146:229312

GI



I

AB O-Aroylarylacetone type 1,5-diketone derivs. were synthesized from  
arylacetonates protected as 1,3-dioxolanes through directed ortho lithiation  
followed by acylation with aroyl chlorides.

8,9-Dialkoxy-2,3-benzodiazepines, e.g., I, were obtained by cyclization  
of diketones with hydrazine. The reaction of diketones with ammonia gave  
7,8-dialkoxyisoquinolines. Reaction of ketals with hydrazine  
hydrochloride and hydroxylamine hydrochloride afforded  
N-amino-7,8-dialkoxyisoquinolinium chlorides and  
7,8-dialkoxyisoquinolinium oxides, resp.

IT 924280-14-8P 924280-15-9P 924280-16-0P

924280-17-1P 924280-18-2P 924280-19-3P

924280-20-6P

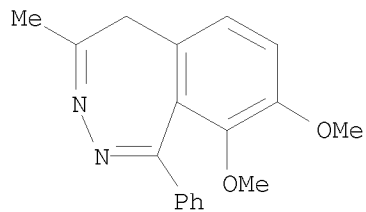
RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of (aryl)methylbenzodiazepines via acetalization of  
arylacetonates followed by aroylation with aroyl chlorides, hydrolysis  
and cyclization with hydrazine)

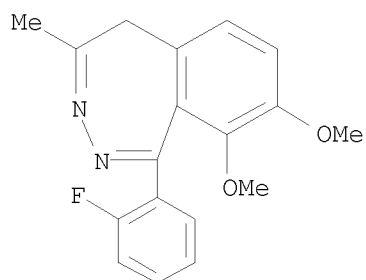
RN 924280-14-8 CAPLUS

CN 5H-2,3-Benzodiazepine, 8,9-dimethoxy-4-methyl-1-phenyl- (CA INDEX NAME)

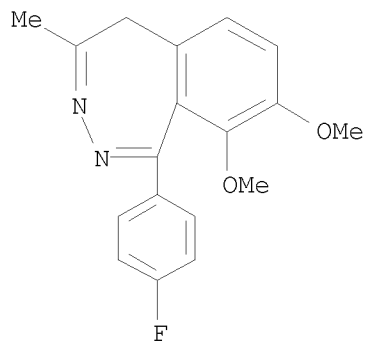
10/567,598



RN 924280-15-9 CAPLUS  
CN 5H-2,3-Benzodiazepine, 1-(2-fluorophenyl)-8,9-dimethoxy-4-methyl- (CA  
INDEX NAME)

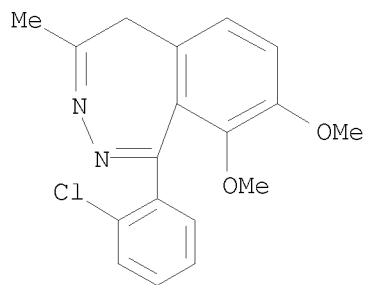


RN 924280-16-0 CAPLUS  
CN 5H-2,3-Benzodiazepine, 1-(4-fluorophenyl)-8,9-dimethoxy-4-methyl- (CA  
INDEX NAME)



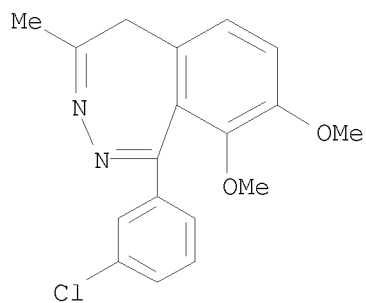
RN 924280-17-1 CAPLUS  
CN 5H-2,3-Benzodiazepine, 1-(2-chlorophenyl)-8,9-dimethoxy-4-methyl- (CA  
INDEX NAME)

10/567,598



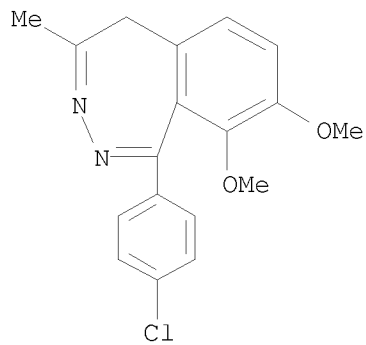
RN 924280-18-2 CAPLUS

CN 5H-2,3-Benzodiazepine, 1-(3-chlorophenyl)-8,9-dimethoxy-4-methyl- (CA INDEX NAME)



RN 924280-19-3 CAPLUS

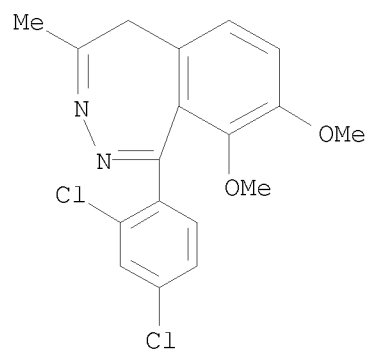
CN 5H-2,3-Benzodiazepine, 1-(4-chlorophenyl)-8,9-dimethoxy-4-methyl- (CA INDEX NAME)



RN 924280-20-6 CAPLUS

CN 5H-2,3-Benzodiazepine, 1-(2,4-dichlorophenyl)-8,9-dimethoxy-4-methyl- (CA INDEX NAME)

10/567,598



REFERENCE COUNT:

10

THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L22 ANSWER 8 OF 40 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2005:120900 CAPLUS

DOCUMENT NUMBER: 142:219316

TITLE: Process for the preparation of  
8-chloro-2,3-benzodiazepine derivatives with  
AMPA/kainate receptor inhibiting activityINVENTOR(S): Barkoczy, Jozsef; Ling, Istvan; Simig, Gyula; Szenasi,  
Gabor; Gigler, Gabor; Kertesz, Szabolcs; Szuecs,  
Gyula; Szabo, Geza; Vegh, Miklos; Harsing, Laszlo  
Gabor

PATENT ASSIGNEE(S): Egis Gyogyszergyar Rt, Hung.

SOURCE: PCT Int. Appl., 47 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005012265	A1	20050210	WO 2004-HU82	20040729
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
HU 2003002449	A2	20050428	HU 2003-2449	20030804
HU 2003002449	A3	20050628		
AU 2004261491	A1	20050210	AU 2004-261491	20040729
AU 2004261491	B2	20091217		
CA 2534458	A1	20050210	CA 2004-2534458	20040729
EP 1660462	A1	20060531	EP 2004-769081	20040729
EP 1660462	B1	20081119		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
CN 1871223	A	20061129	CN 2004-80025409	20040729
CN 100551915	C	20091021		
JP 2007501216	T	20070125	JP 2006-522422	20040729
ZA 2006001698	A	20070530	ZA 2006-1698	20040729
AT 414695	T	20081215	AT 2004-769081	20040729
PT 1660462	E	20090220	PT 2004-769081	20040729
ES 2317038	T3	20090416	ES 2004-769081	20040729
KR 2006120578	A	20061127	KR 2006-702464	20060204
BG 109462	A	20061130	BG 2006-109462	20060302
US 20080153814	A1	20080626	US 2008-567598	20080220
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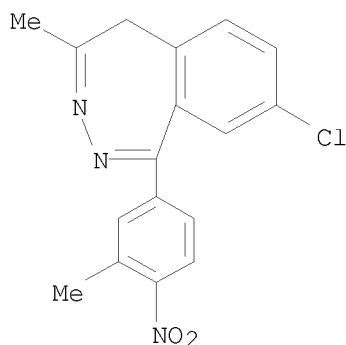
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 142:219316; MARPAT 142:219316

GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

- AB The invention relates to new 8-chloro-2,3-benzodiazepine derivs. I [R = C1-4-alkyl (especially, Me or Et), NHR'; R' = C1-6-alkyl, C3-7-cycloalkyl] pharmaceutically acceptable acid addition salts thereof. The invention also encompasses a process for the preparation of said compds., pharmaceutical compns. containing them and new intermediates useful for the preparation of the new 8-chloro-2,3-benzodiazepine derivs. The said process comprises: (a) reducing nitrophenyl-2,3-benzodiazepine derivs. II; or (b) amidation of carboxylic acid derivs. III (Y = leaving group; Z = NH<sub>2</sub>, NO<sub>2</sub>) with NH<sub>2</sub>R'; (c) III (Z = NO<sub>2</sub>) is prepared from 8-chloro-2,3-benzodiazepine IV. Thus, amide I (R = NHMe) was prepared from 8-chloro-2,3-benzodiazepine via alkoxyacylation with ClCO<sub>2</sub>Ph, amidation with MeNH<sub>2</sub>, and reduction with Raney Ni. The compds. according to the invention possess AMPA/kainate receptor inhibiting activity. The bioactivity of I (R = NHMe) was determined [neuroprotective effect = -5 at 0.1 mg/kg i.p. against permanent focal cerebral ischemia in mice; ED<sub>50</sub> = 2.5  $\mu$ M in spreading depression test in chicken retina; ED<sub>50</sub> = 4.1 0.1 mg/kg i.p. in maximal electroshock test in mice; BWG = +9.9 g in toxicity test in rats].
- IT 840526-71-8, 8-Chloro-4-methyl-1-(3-methyl-4-nitrophenyl)-5H-2,3-benzodiazepine  
 RL: RCT (Reactant); RACT (Reactant or reagent)  
 (acylation and alkoxyacylation of; preparation of  
 8-chloro-2,3-benzodiazepine derivs. with AMPA/kainate receptor  
 inhibiting activity)
- RN 840526-71-8 CAPLUS
- CN 5H-2,3-Benzodiazepine, 8-chloro-4-methyl-1-(3-methyl-4-nitrophenyl)- (CA  
 INDEX NAME)

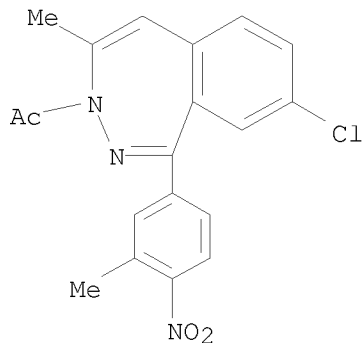


- IT 840526-62-7P, 3-Acetyl-8-chloro-4-methyl-1-(3-methyl-4-nitrophenyl)-3H-2,3-benzodiazepine 840526-63-8P,  
 8-Chloro-4-methyl-1-(3-methyl-4-nitrophenyl)-3-propionyl-3H-2,3-benzodiazepine  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT  
 (Reactant or reagent)  
 (preparation and reduction of; preparation of 8-chloro-2,3-benzodiazepine  
 derivs. with AMPA/kainate receptor inhibiting activity)

10/567,598

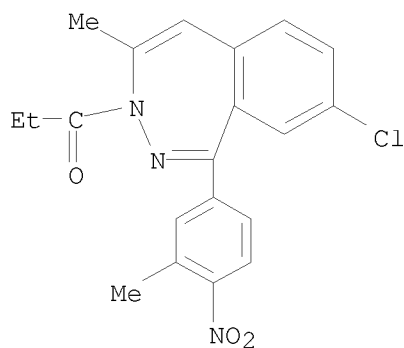
RN 840526-62-7 CAPLUS

CN Ethanone, 1-[8-chloro-4-methyl-1-(3-methyl-4-nitrophenyl)-3H-2,3-benzodiazepin-3-yl]- (CA INDEX NAME)



RN 840526-63-8 CAPLUS

CN 1-Propanone, 1-[8-chloro-4-methyl-1-(3-methyl-4-nitrophenyl)-3H-2,3-benzodiazepin-3-yl]- (CA INDEX NAME)



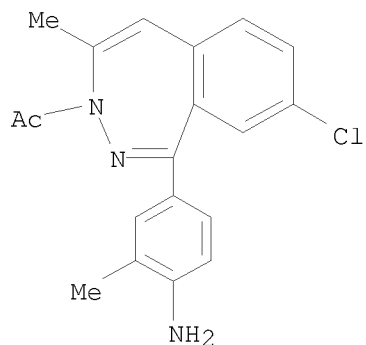
IT 840526-67-2P, 3-Acetyl-1-(4-amino-3-methylphenyl)-8-chloro-4-methyl-3H-2,3-benzodiazepine 840526-68-3P, 1-(4-Amino-3-methylphenyl)-8-chloro-4-methyl-3-propionyl-2,3-benzodiazepine 840526-69-4P, 1-(4-Amino-3-methylphenyl)-8-chloro-4-methyl-3H-2,3-benzodiazepine-3-carboxylic acid N-methylamide 840526-70-7P, 1-(4-Amino-3-methylphenyl)-8-chloro-4-methyl-3H-2,3-benzodiazepine-3-carboxylic acid N-cyclopropylamide  
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of 8-chloro-2,3-benzodiazepine derivs. with AMPA/kainate receptor inhibiting activity)

RN 840526-67-2 CAPLUS

CN Ethanone, 1-[1-(4-amino-3-methylphenyl)-8-chloro-4-methyl-3H-2,3-benzodiazepin-3-yl]- (CA INDEX NAME)

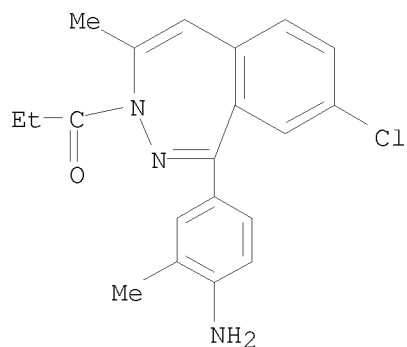


10/567,598



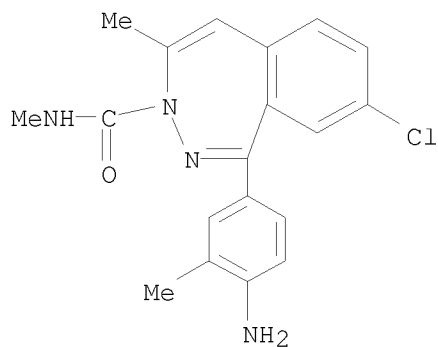
RN 840526-68-3 CAPLUS

CN 1-Propanone, 1-[1-(4-amino-3-methylphenyl)-8-chloro-4-methyl-3H-2,3-benzodiazepin-3-yl]- (CA INDEX NAME)



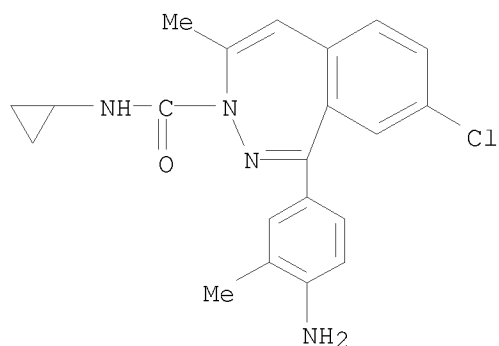
RN 840526-69-4 CAPLUS

CN 3H-2,3-Benzodiazepine-3-carboxamide, 1-(4-amino-3-methylphenyl)-8-chloro-N,4-dimethyl- (CA INDEX NAME)

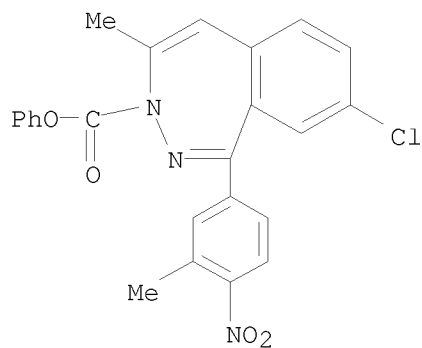


RN 840526-70-7 CAPLUS

CN 3H-2,3-Benzodiazepine-3-carboxamide, 1-(4-amino-3-methylphenyl)-8-chloro-N-cyclopropyl-4-methyl- (CA INDEX NAME)

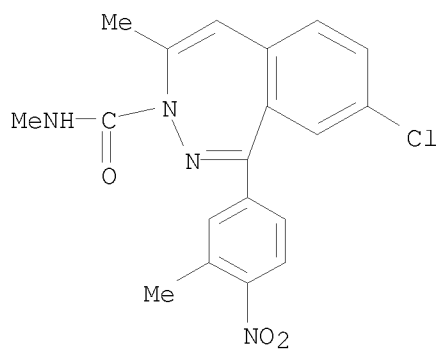


IT 840526-64-9P, 8-Chloro-4-methyl-1-(3-methyl-4-nitrophenyl)-3H-2,3-benzodiazepine-3-carboxylic acid phenyl ester 840526-65-0P, 8-Chloro-4-methyl-1-(3-methyl-4-nitrophenyl)-3H-2,3-benzodiazepine-3-carboxylic acid N-methylamide 840526-66-1P, 8-Chloro-4-methyl-1-(3-methyl-4-nitrophenyl)-3H-2,3-benzodiazepine-3-carboxylic acid N-cyclopropylamide  
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
 (preparation of 8-chloro-2,3-benzodiazepine derivs. with AMPA/kainate receptor inhibiting activity)  
 RN 840526-64-9 CAPLUS  
 CN 3H-2,3-Benzodiazepine-3-carboxylic acid, 8-chloro-4-methyl-1-(3-methyl-4-nitrophenyl)-, phenyl ester (CA INDEX NAME)

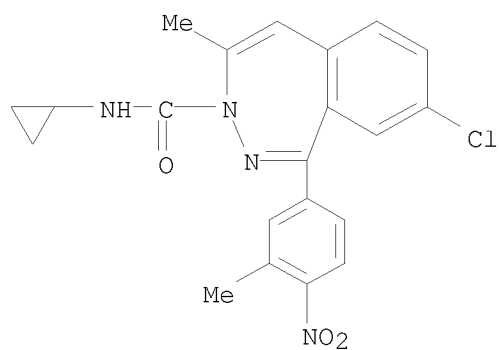


RN 840526-65-0 CAPLUS  
 CN 3H-2,3-Benzodiazepine-3-carboxamide, 8-chloro-N,4-dimethyl-1-(3-methyl-4-nitrophenyl)- (CA INDEX NAME)

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RN 840526-66-1 CAPLUS  
CN 3H-2,3-Benzodiazepine-3-carboxamide,  
8-chloro-N-cyclopropyl-4-methyl-1-(3-methyl-4-nitrophenyl)- (CA INDEX  
NAME)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L22 ANSWER 9 OF 40 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2004:633283 CAPLUS

DOCUMENT NUMBER: 141:167770

TITLE: Methods and compositions for treating inflammatory disorders of the airways

INVENTOR(S): Kurucz, Istvan; Solyom, Sandor; Perczel, Viola Csillik Nee

PATENT ASSIGNEE(S): Hung.

SOURCE: U.S. Pat. Appl. Publ., 20 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20040152694	A1	20040805	US 2003-358061	20030204
WO 2004069195	A2	20040819	WO 2004-US3038	20040203
WO 2004069195	A3	20050113		
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RW:	BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

PRIORITY APPLN. INFO.: US 2003-358061 A 20030204

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

AB The invention provides compns. and methods for the treatment of inflammatory disorders of the airways by the administration of a therapeutically effective amount of a modulator according to the invention. More specifically, the invention relates to the treatment of airway inflammations including asthma or an asthma-related pathologies.

IT 383857-61-2, SYM 2267

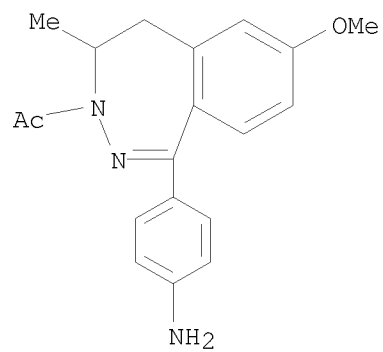
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(methods and compns. for treating airway inflammatory disorders)

RN 383857-61-2 CAPLUS

CN Ethanone, 1-[1-(4-aminophenyl)-4,5-dihydro-7-methoxy-4-methyl-3H-2,3-benzodiazepin-3-yl]- (CA INDEX NAME)

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L22 ANSWER 10 OF 40 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2004:633282 CAPLUS

DOCUMENT NUMBER: 141:174197

TITLE: A preparation of novel substituted 2,3-benzodiazepine derivatives, useful as AMPA receptor antagonists

INVENTOR(S): Solyom, Sandor; Abraham, Gizella; Hamori, Tamas; Berzsenyi, Pal; Andrasi, Ferenc; Kurucz, Istvan

PATENT ASSIGNEE(S): Ivax Drug Research Institute, Ltd., Hung.

SOURCE: U.S. Pat. Appl. Publ., 29 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

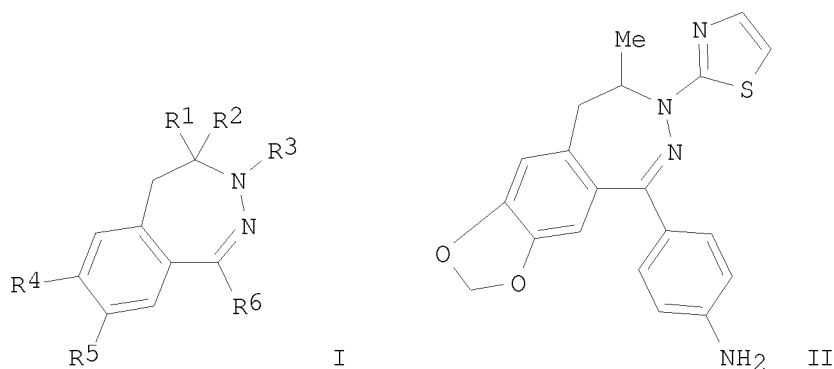
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20040152693	A1	20040805	US 2003-358053	20030204
US 6858605	B2	20050222		
AU 2004208825	A1	20040819	AU 2004-208825	20040203
CA 2512616	A1	20040819	CA 2004-2512616	20040203
WO 2004069197	A2	20040819	WO 2004-US3041	20040203
WO 2004069197	A3	20050818		
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RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1592673	A2	20051109	EP 2004-707792	20040203
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BR 2004007247	A	20060131	BR 2004-7247	20040203
CN 1747938	A	20060315	CN 2004-80003526	20040203
JP 2006516647	T	20060706	JP 2006-503283	20040203
ZA 2005005352	A	20070131	ZA 2005-5352	20040203
US 20070027143	A1	20070201	US 2004-771847	20040203
IN 2005DN03134	A	20070615	IN 2005-DN3134	20050714
MX 2005008236	A	20060117	MX 2005-8236	20050802
NO 2005004067	A	20051025	NO 2005-4067	20050901
HR 2005000765	A2	20061031	HR 2005-765	20050902
PRIORITY APPLN. INFO.:			US 2003-358053	A 20030204
			WO 2004-US3041	W 20040203

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 141:174197

GI



AB The invention relates to a preparation of new 2,3-benzodiazepine derivs. of formula I [wherein: R1 and R2 are independently selected from H or C1-3alkyl; R3 is (un)substituted 5- or 6-membered ring containing at least 2 heteroatoms; R6 is (un)substituted phenyl; R4 is H or halogen; R5 is C1-3alkoxy or halogen; R4 and R5 together can be C1-3alkylendioxy group] as AMPA receptor antagonists, useful for the treatment of conditions associated with muscle spasms, epilepsy, acute and chronic forms of neurodegenerative diseases as well as preventing, treating or alleviating the symptoms of acute and chronic inflammatory disorders. For instance, prepared compound II (IC<sub>50</sub> = 1-5  $\mu$ M) inhibit AMPA-induced "spreading depression" in isolated chicken retina (table 1, example 61). Muscle relaxant activity in mice of the compound II was determined (inclined screen ED50 was 10.7 mg/kg; table 4, example 61).

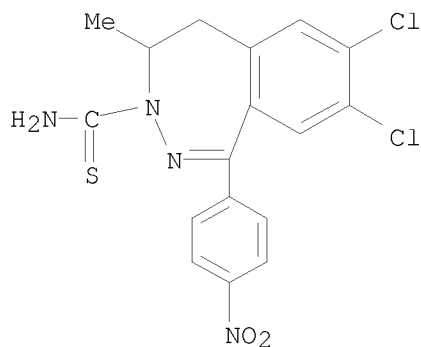
IT 732276-78-7P 732276-83-4P 732276-90-3P  
732276-91-4P 732276-94-7P 732276-95-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of novel substituted 2,3-benzodiazepine derivs., useful as AMPA receptor antagonists)

RN 732276-78-7 CAPLUS

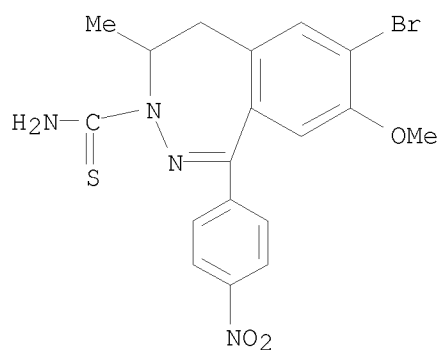
CN 3H-2,3-Benzodiazepine-3-carbothioamide,  
7,8-dichloro-4,5-dihydro-4-methyl-1-(4-nitrophenyl)- (CA INDEX NAME)



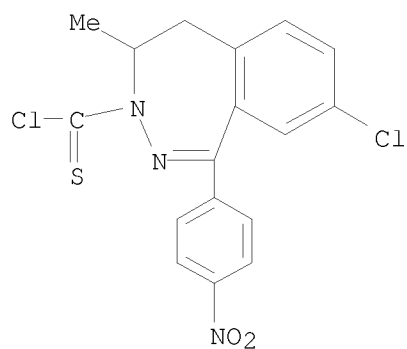
RN 732276-83-4 CAPLUS

10/567,598

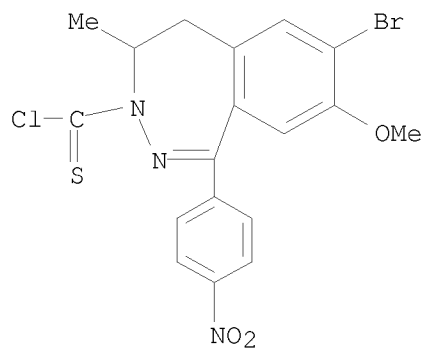
CN 3H-2,3-Benzodiazepine-3-carbothioamide,  
7-bromo-4,5-dihydro-8-methoxy-4-methyl-1-(4-nitrophenyl)- (CA INDEX NAME)



RN 732276-90-3 CAPLUS  
CN 3H-2,3-Benzodiazepine-3-carbothioyl chloride,  
8-chloro-4,5-dihydro-4-methyl-1-(4-nitrophenyl)- (CA INDEX NAME)



RN 732276-91-4 CAPLUS  
CN 3H-2,3-Benzodiazepine-3-carbothioyl chloride,  
7-bromo-4,5-dihydro-8-methoxy-4-methyl-1-(4-nitrophenyl)- (CA INDEX NAME)



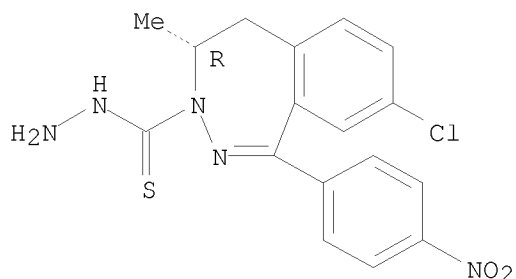
RN 732276-94-7 CAPLUS



10/567,598

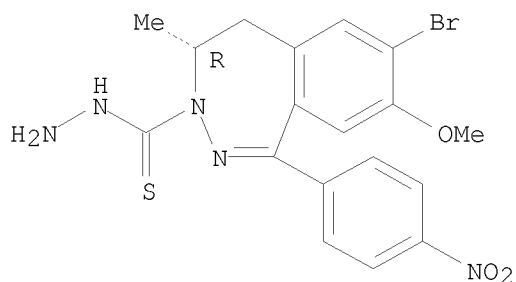
CN 3H-2,3-Benzodiazepine-3-carbothioic acid,  
8-chloro-4,5-dihydro-4-methyl-1-(4-nitrophenyl)-, hydrazide, (4R)- (CA  
INDEX NAME)

Absolute stereochemistry.



RN 732276-95-8 CAPLUS  
CN 3H-2,3-Benzodiazepine-3-carbothioic acid,  
7-bromo-4,5-dihydro-8-methoxy-4-methyl-1-(4-nitrophenyl)-, hydrazide,  
(4R)- (CA INDEX NAME)

Absolute stereochemistry.



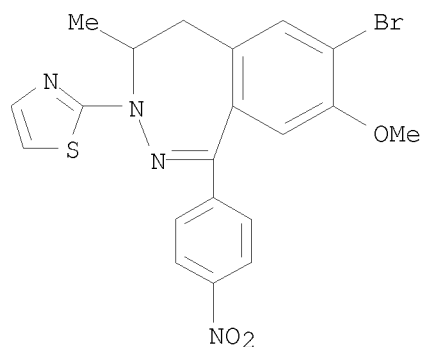
IT	732277-25-7P	732277-26-8P	732277-27-9P
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	732277-45-1P	732277-47-3P	732277-53-1P
	732277-95-1P	732277-96-2P	732277-97-3P
	732277-98-4P	732277-99-5P	732278-00-1P
	732278-12-5P	732278-14-7P	732278-18-1P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
(Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
(Uses)

(preparation of novel substituted 2,3-benzodiazepine derivs., useful as AMPA  
receptor antagonists)

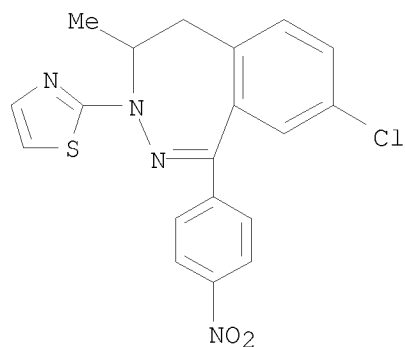
RN 732277-25-7 CAPLUS  
CN 3H-2,3-Benzodiazepine, 7-bromo-4,5-dihydro-8-methoxy-4-methyl-1-(4-  
nitrophenyl)-3-(2-thiazolyl)- (CA INDEX NAME)

10/567,598



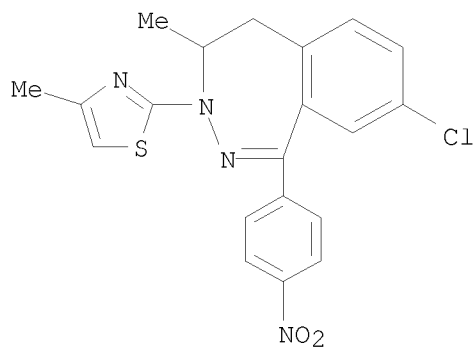
RN 732277-26-8 CAPLUS

CN 3H-2,3-Benzodiazepine, 8-chloro-4,5-dihydro-4-methyl-1-(4-nitrophenyl)-3-(2-thiazolyl)- (CA INDEX NAME)



RN 732277-27-9 CAPLUS

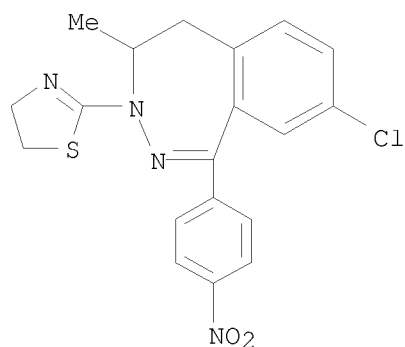
CN 3H-2,3-Benzodiazepine, 8-chloro-4,5-dihydro-4-methyl-3-(4-methyl-2-thiazolyl)-1-(4-nitrophenyl)- (CA INDEX NAME)



RN 732277-28-0 CAPLUS

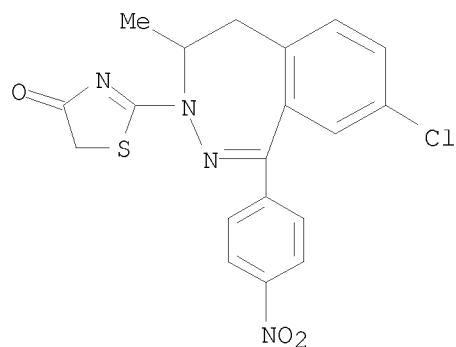
CN 3H-2,3-Benzodiazepine, 8-chloro-3-(4,5-dihydro-2-thiazolyl)-4,5-dihydro-4-methyl-1-(4-nitrophenyl)- (CA INDEX NAME)

10/567,598



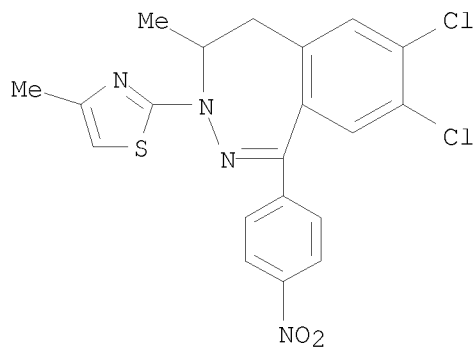
RN 732277-29-1 CAPLUS

CN 4(5H)-Thiazolone, 2-[8-chloro-4,5-dihydro-4-methyl-1-(4-nitrophenyl)-3H-2,3-benzodiazepin-3-yl]- (CA INDEX NAME)



RN 732277-30-4 CAPLUS

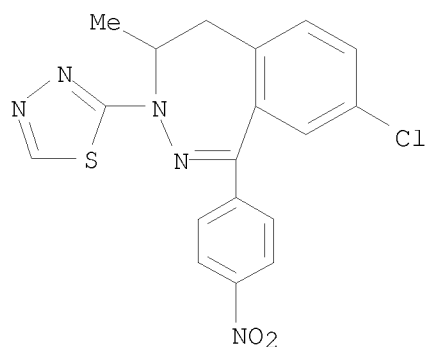
CN 3H-2,3-Benzodiazepine, 7,8-dichloro-4,5-dihydro-4-methyl-3-(4-methyl-2-thiazolyl)-1-(4-nitrophenyl)- (CA INDEX NAME)



RN 732277-45-1 CAPLUS

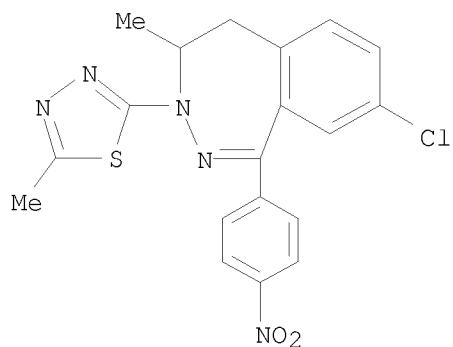
CN 3H-2,3-Benzodiazepine, 8-chloro-4,5-dihydro-4-methyl-1-(4-nitrophenyl)-3-(1,3,4-thiadiazol-2-yl)- (CA INDEX NAME)

10/567,598



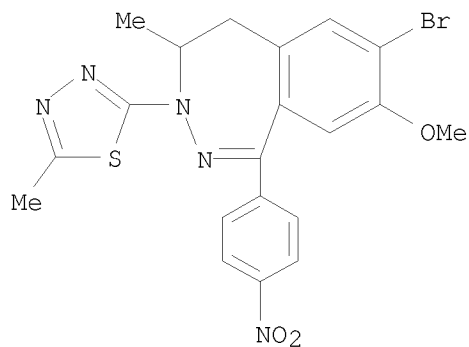
RN 732277-47-3 CAPLUS

CN 3H-2,3-Benzodiazepine, 8-chloro-4,5-dihydro-4-methyl-3-(5-methyl-1,3,4-thiadiazol-2-yl)-1-(4-nitrophenyl)- (CA INDEX NAME)



RN 732277-53-1 CAPLUS

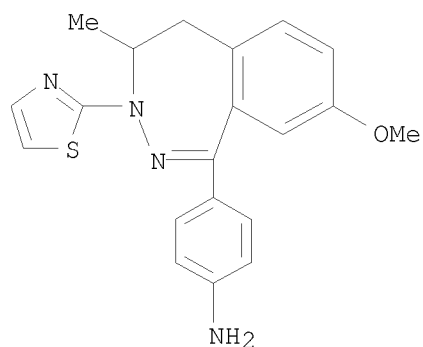
CN 3H-2,3-Benzodiazepine, 7-bromo-4,5-dihydro-8-methoxy-4-methyl-3-(5-methyl-1,3,4-thiadiazol-2-yl)-1-(4-nitrophenyl)- (CA INDEX NAME)



RN 732277-95-1 CAPLUS

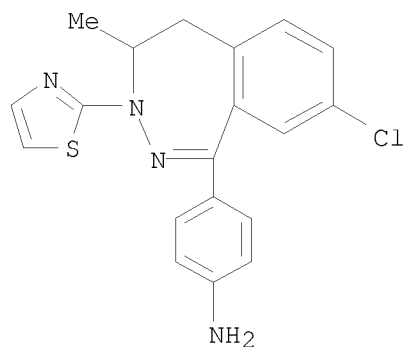
CN Benzenamine, 4-[4,5-dihydro-8-methoxy-4-methyl-3-(2-thiazolyl)-3H-2,3-benzodiazepin-1-yl]- (CA INDEX NAME)

10/567,598



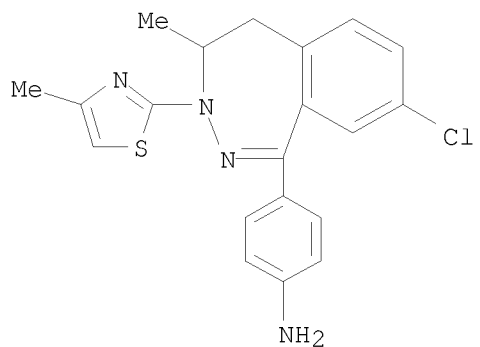
RN 732277-96-2 CAPLUS

CN Benzenamine, 4-[8-chloro-4,5-dihydro-4-methyl-3-(2-thiazolyl)-3H-2,3-benzodiazepin-1-yl]- (CA INDEX NAME)



RN 732277-97-3 CAPLUS

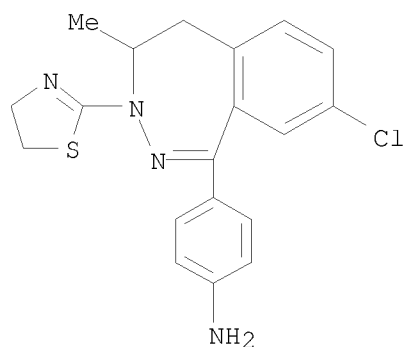
CN Benzenamine, 4-[8-chloro-4,5-dihydro-4-methyl-3-(4-methyl-2-thiazolyl)-3H-2,3-benzodiazepin-1-yl]- (CA INDEX NAME)



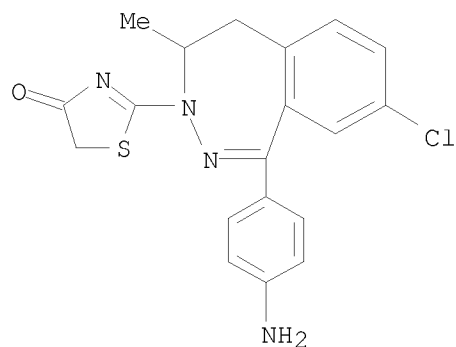
RN 732277-98-4 CAPLUS

CN Benzenamine, 4-[8-chloro-3-(4,5-dihydro-2-thiazolyl)-4,5-dihydro-4-methyl-3H-2,3-benzodiazepin-1-yl]- (CA INDEX NAME)

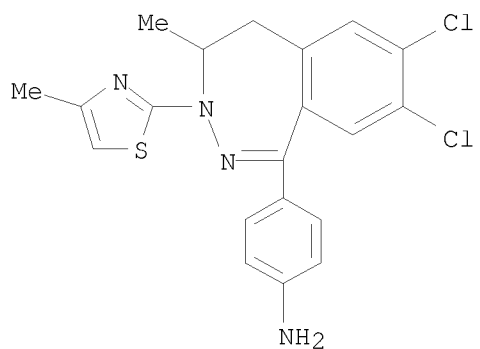
10/567,598



RN 732277-99-5 CAPLUS  
CN 4(5H)-Thiazolone, 2-[1-(4-aminophenyl)-8-chloro-4,5-dihydro-4-methyl-3H-2,3-benzodiazepin-3-yl]- (CA INDEX NAME)

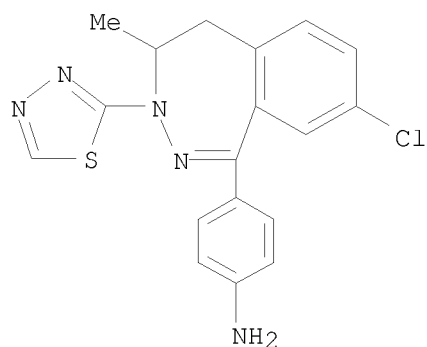


RN 732278-00-1 CAPLUS  
CN Benzenamine, 4-[7,8-dichloro-4,5-dihydro-4-methyl-3-(4-methyl-2-thiazolyl)-3H-2,3-benzodiazepin-1-yl]- (CA INDEX NAME)



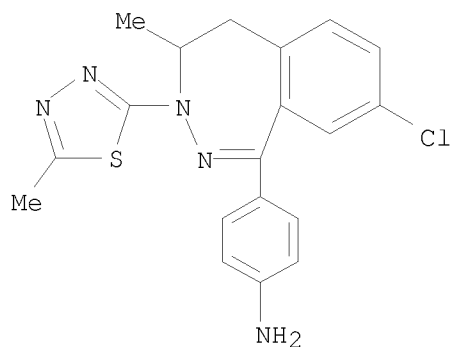
RN 732278-12-5 CAPLUS  
CN Benzenamine, 4-[8-chloro-4,5-dihydro-4-methyl-3-(1,3,4-thiadiazol-2-yl)-3H-2,3-benzodiazepin-1-yl]- (CA INDEX NAME)

10/567,598



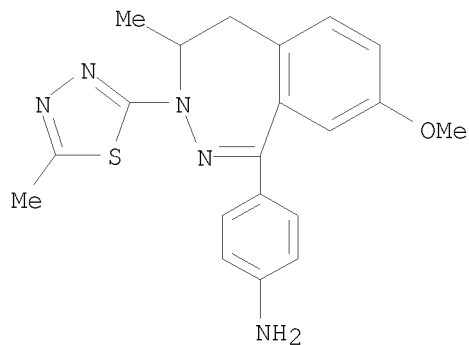
RN 732278-14-7 CAPLUS

CN Benzenamine, 4-[8-chloro-4,5-dihydro-4-methyl-3-(5-methyl-1,3,4-thiadiazol-2-yl)-3H-2,3-benzodiazepin-1-yl]- (CA INDEX NAME)



RN 732278-18-1 CAPLUS

CN Benzenamine, 4-[4,5-dihydro-8-methoxy-4-methyl-3-(5-methyl-1,3,4-thiadiazol-2-yl)-3H-2,3-benzodiazepin-1-yl]- (CA INDEX NAME)



OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)

REFERENCE COUNT: 61 THERE ARE 61 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L22 ANSWER 11 OF 40 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2004:551645 CAPLUS

DOCUMENT NUMBER: 141:405636

TITLE: Advanced pharmacophore model of non-competitive AMPA antagonist 2,3-benzodiazepines

AUTHOR(S): Rezessy, B.; Solyom, S.

CORPORATE SOURCE: IVAX Drug Research Institute Ltd., Budapest, H-1045, Hung.

SOURCE: Letters in Drug Design &amp; Discovery (2004), 1(3), 217-223

CODEN: LDDDAW; ISSN: 1570-1808

PUBLISHER: Bentham Science Publishers Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Detailed SAR examination and DISTance COMparison (DISCO) computation were used for identification and superposition of common structural features of 2,3-benzodiazepines with high affinity to an allosteric AMPA binding site. Two similar 4-point models were identified; both contained 2 donor sites, a donor atom and a hydrophobic center. At one of the donor sites a characteristic difference between the two models was observed

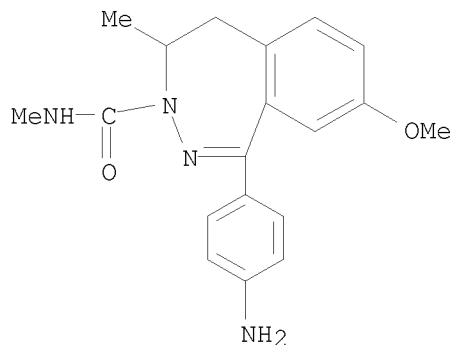
IT 194671-92-6 194672-09-8 200419-08-5  
 200419-14-3 383857-79-2 792943-03-4  
 792943-04-5 792943-05-6 792943-09-0  
 792943-10-3 792948-59-5

RL: PAC (Pharmacological activity); PRP (Properties); BIOL (Biological study)

(advanced pharmacophore model of non-competitive AMPA antagonist 2,3-benzodiazepines)

RN 194671-92-6 CAPLUS

CN 3H-2,3-Benzodiazepine-3-carboxamide,  
 1-(4-aminophenyl)-4,5-dihydro-8-methoxy-N,4-dimethyl- (CA INDEX NAME)

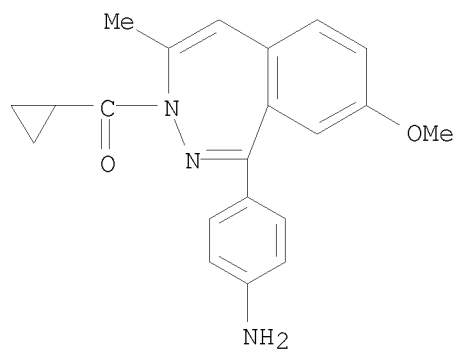


RN 194672-09-8 CAPLUS

CN Methanone, [1-(4-aminophenyl)-8-methoxy-4-methyl-3H-2,3-benzodiazepin-3-yl]cyclopropyl- (CA INDEX NAME)

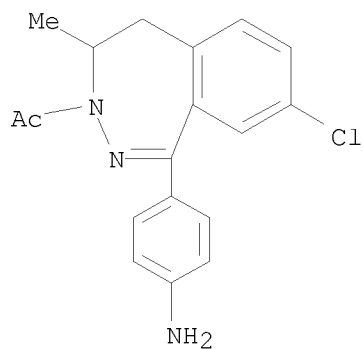


10/567,598



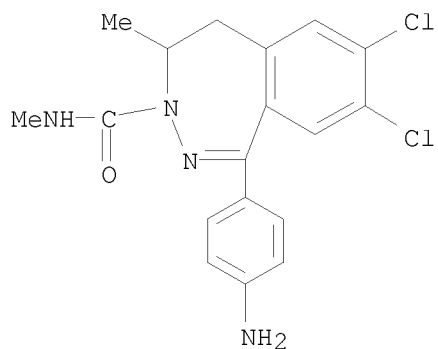
RN 200419-08-5 CAPLUS

CN Ethanone, 1-[1-(4-aminophenyl)-8-chloro-4,5-dihydro-4-methyl-3H-2,3-benzodiazepin-3-yl]- (CA INDEX NAME)



RN 200419-14-3 CAPLUS

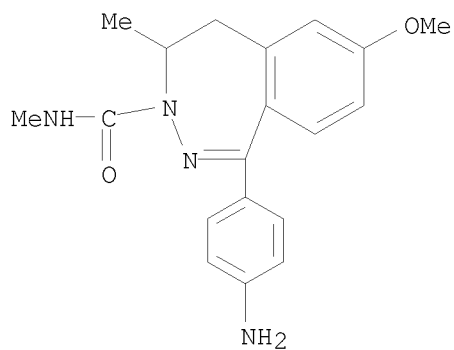
CN 3H-2,3-Benzodiazepine-3-carboxamide, 1-(4-aminophenyl)-7,8-dichloro-4,5-dihydro-N,4-dimethyl- (CA INDEX NAME)



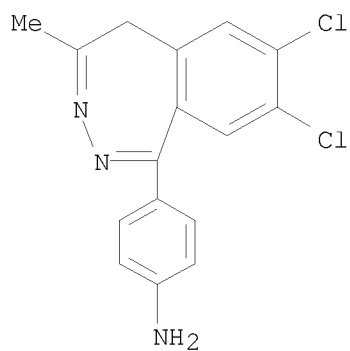
RN 383857-79-2 CAPLUS

CN 3H-2,3-Benzodiazepine-3-carboxamide, 1-(4-aminophenyl)-4,5-dihydro-7-methoxy-N,4-dimethyl- (CA INDEX NAME)

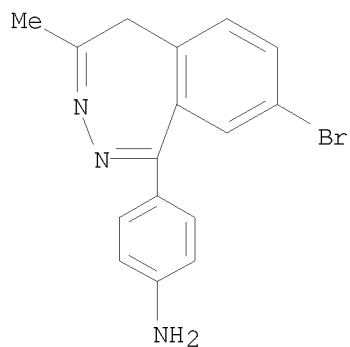
10/567,598



RN 792943-03-4 CAPLUS  
CN Benzenamine, 4-(7,8-dichloro-4-methyl-5H-2,3-benzodiazepin-1-yl)- (CA INDEX NAME)

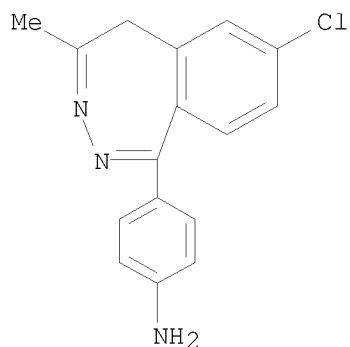


RN 792943-04-5 CAPLUS  
CN Benzenamine, 4-(8-bromo-4-methyl-5H-2,3-benzodiazepin-1-yl)- (CA INDEX NAME)

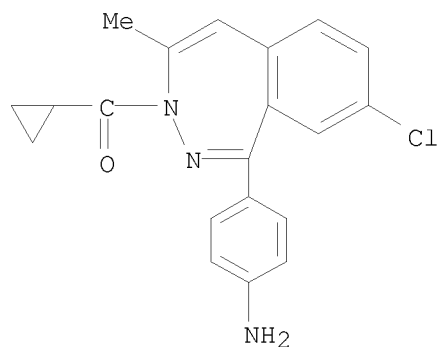


RN 792943-05-6 CAPLUS  
CN Benzenamine, 4-(7-chloro-4-methyl-5H-2,3-benzodiazepin-1-yl)- (CA INDEX NAME)

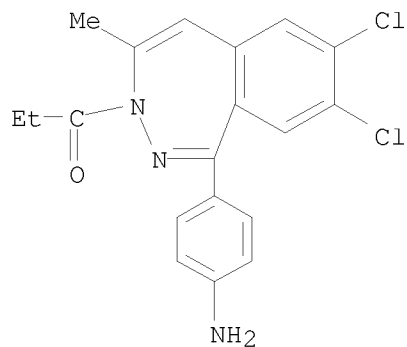
10/567,598



RN 792943-09-0 CAPLUS  
CN Methanone, [1-(4-aminophenyl)-8-chloro-4-methyl-3H-2,3-benzodiazepin-3-yl]cyclopropyl- (CA INDEX NAME)



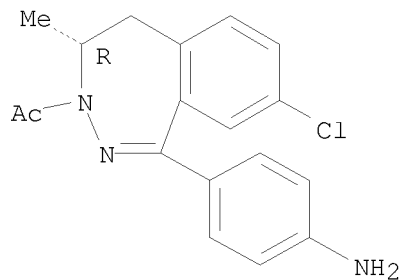
RN 792943-10-3 CAPLUS  
CN 1-Propanone, 1-[1-(4-aminophenyl)-7,8-dichloro-4-methyl-3H-2,3-benzodiazepin-3-yl]- (CA INDEX NAME)



RN 792948-59-5 CAPLUS  
CN Ethanone, 1-[(4R)-1-(4-aminophenyl)-8-chloro-4,5-dihydro-4-methyl-3H-2,3-benzodiazepin-3-yl]- (CA INDEX NAME)

10/567,598

Absolute stereochemistry.



OS.CITING REF COUNT: 6

THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD  
(6 CITINGS)

REFERENCE COUNT: 31

THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L22 ANSWER 12 OF 40 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2004:131944 CAPLUS

DOCUMENT NUMBER: 140:399992

TITLE: Comparison of the AMPA Antagonist Action of New  
2,3-Benzodiazepines in Vitro and Their Neuroprotective  
Effects in VivoAUTHOR(S): Kapus, Gabor; Kertesz, Szabolcs; Gigler, Gabor; Simo,  
Annamaria; Vegh, Miklos; Barkoczy, Jozsef; Harsing,  
Laszlo G.; Szabo, Geza; Levay, Gyoergy

CORPORATE SOURCE: EGIS Pharmaceuticals Ltd., Budapest, H-1475, Hung.

SOURCE: Pharmaceutical Research (2004), 21(2), 317-323

CODEN: PHREEB; ISSN: 0724-8741

PUBLISHER: Kluwer Academic/Plenum Publishers

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Purpose. AMPA receptor-mediated excitotoxicity is thought to be a critical process in diseases accompanied by neuronal cell loss following a hypoxic/anoxic state of the central nervous system. It has been suggested that blockade of AMPA receptors might result in significant protection of neurons against cellular damage. For testing the hypothesis, in vitro efficacy and in vivo neuroprotective action of new 2,3-benzodiazepine (2,3BDZ) AMPA antagonists have been compared. Methods. 2,3BDZs were tested on kainate-evoked whole-cell currents in cultured neurons as well as on population spikes (PS) in rat hippocampal slices. Data were correlated with those obtained from the spreading depression (SD) expts. in chicken retina. Compds. were also examined in the gerbil bilateral carotid occlusion model (BCO), where percentage decrease of ischemia-related hypermotility (HM), impaired spatial memory (SA), and hypoxia-induced hippocampal CA1 neuronal cell death (CA1) were evaluated. Results. Certain structural modifications of classical 2,3BDZs resulted in increased in vitro activity and improved in vivo efficacy. In particular, the halogen-substituted compds. EGIS-9879 and EGIS-9883 showed the highest neuroprotective efficacy (84% and 47% protection in CA1, 71% and 82% decrease in HM, resp.; 4 + 5 mg/kg i.p.) in BCO. PS and SD were correlated to the decrease of neuronal loss in the CA1 area. Lack of significant correlation was found between PS and CA1 ( $r = 0.437$ ,  $p = 0.079$ ) or SD and CA1 ( $r = 0.380$ ,  $p = 0.146$ ). Conclusions. Several new 2,3BDZ AMPA receptor antagonists have been synthesized at EGIS Pharmaceuticals characterized by remarkable in vitro and corresponding in vivo neuroprotective properties.

IT 200419-08-5 200419-12-1 200419-14-3

200419-16-5 200419-22-3 200419-26-7

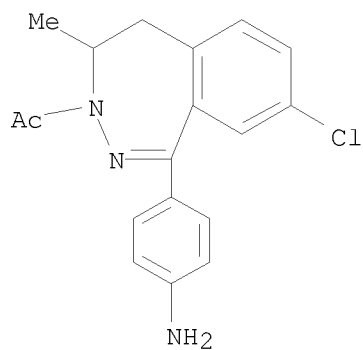
200419-30-3 200419-55-2 688804-56-0

RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU  
(Therapeutic use); BIOL (Biological study); USES (Uses)(comparison of AMPA antagonist and neuroprotective action of new  
2,3-Benzodiazepines)

RN 200419-08-5 CAPLUS

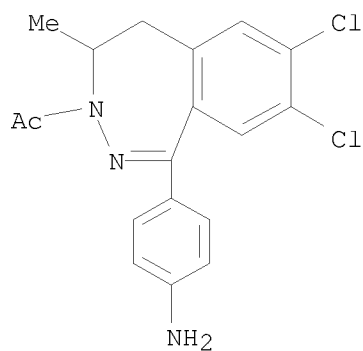
CN Ethanone, 1-[1-(4-aminophenyl)-8-chloro-4,5-dihydro-4-methyl-3H-2,3-  
benzodiazepin-3-yl]- (CA INDEX NAME)

10/567,598



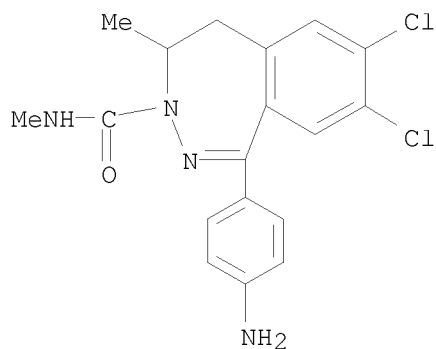
RN 200419-12-1 CAPLUS

CN Ethanone, 1-[1-(4-aminophenyl)-7,8-dichloro-4,5-dihydro-4-methyl-3H-2,3-benzodiazepin-3-yl]- (CA INDEX NAME)



RN 200419-14-3 CAPLUS

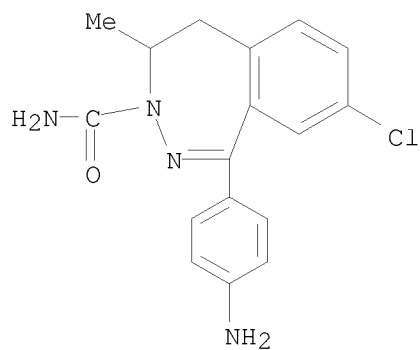
CN 3H-2,3-Benzodiazepine-3-carboxamide, 1-(4-aminophenyl)-7,8-dichloro-4,5-dihydro-N,4-dimethyl- (CA INDEX NAME)



RN 200419-16-5 CAPLUS

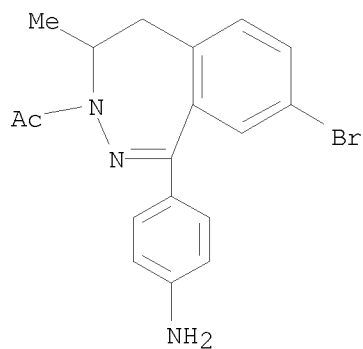
CN 3H-2,3-Benzodiazepine-3-carboxamide, 1-(4-aminophenyl)-8-chloro-4,5-dihydro-4-methyl- (CA INDEX NAME)

10/567,598



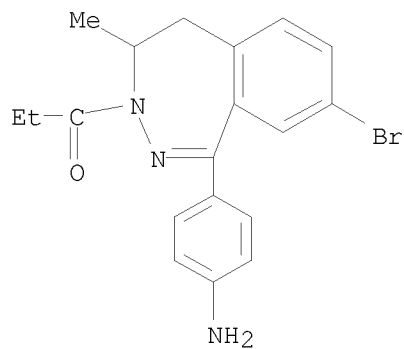
RN 200419-22-3 CAPLUS

CN Ethanone, 1-[1-(4-aminophenyl)-8-bromo-4,5-dihydro-4-methyl-3H-2,3-benzodiazepin-3-yl]- (CA INDEX NAME)



RN 200419-26-7 CAPLUS

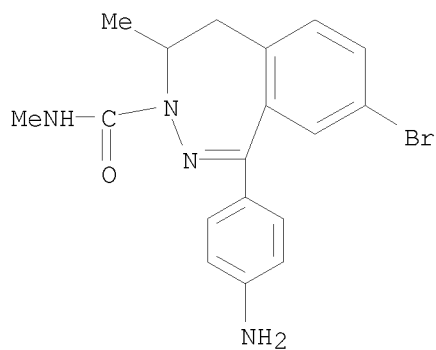
CN 1-Propanone, 1-[1-(4-aminophenyl)-8-bromo-4,5-dihydro-4-methyl-3H-2,3-benzodiazepin-3-yl]- (CA INDEX NAME)



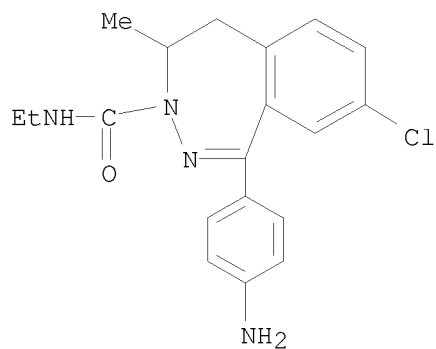
RN 200419-30-3 CAPLUS

CN 3H-2,3-Benzodiazepine-3-carboxamide, 1-(4-aminophenyl)-8-bromo-4,5-dihydro-N,4-dimethyl- (CA INDEX NAME)

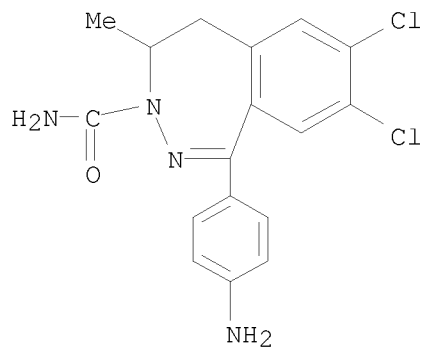
10/567,598



RN 200419-55-2 CAPLUS  
CN 3H-2,3-Benzodiazepine-3-carboxamide,  
1-(4-aminophenyl)-8-chloro-N-ethyl-4,5-dihydro-4-methyl- (CA INDEX NAME)



RN 688804-56-0 CAPLUS  
CN 3H-2,3-Benzodiazepine-3-carboxamide,  
1-(4-aminophenyl)-7,8-dichloro-4,5-dihydro-4-methyl- (CA INDEX NAME)

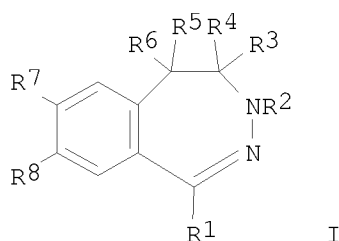


OS.CITING REF COUNT: 10 THERE ARE 10 CAPLUS RECORDS THAT CITE THIS  
RECORD (10 CITINGS)  
REFERENCE COUNT: 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT



L22 ANSWER 13 OF 40 CAPLUS COPYRIGHT 2010 ACS on STN  
 ACCESSION NUMBER: 2002:849603 CAPLUS  
 DOCUMENT NUMBER: 137:337928  
 TITLE: Benzodiazepines as inhibitors of cyclic nucleotide phosphodiesterases  
 INVENTOR(S): Bourguignon, Jean-Jacques; Lagouge, Yan; Lugnier, Claire  
 PATENT ASSIGNEE(S): Neuro3d, Fr.; Universite Louis Pasteur  
 SOURCE: PCT Int. Appl., 60 pp.  
 CODEN: PIXXD2  
 DOCUMENT TYPE: Patent  
 LANGUAGE: French  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

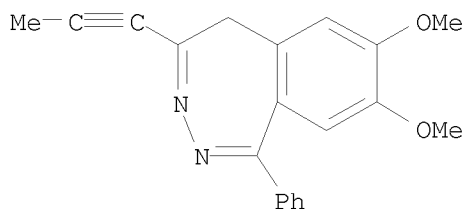
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2002088096	A1	20021107	WO 2002-FR1428	20020425
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
FR 2824065	A1	20021031	FR 2001-5648	20010426
AU 2002257892	A1	20021111	AU 2002-257892	20020425
PRIORITY APPLN. INFO.:			FR 2001-5648	A 20010426
			WO 2002-FR1428	W 20020425
OTHER SOURCE(S):		MARPAT 137:337928		
GI				



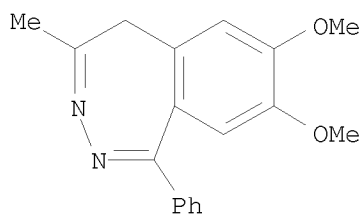
AB Novel 2,3-benzodiazepines I [R1 = alkyl, cycloalkyl, aryl, aralkyl, alkylaryl, heteroaryl, (un)substituted OH, SH NH2; R2R3 = bond; R2 = H, R3R4 = O; R4 = halogen, alkyl, alkenyl, alkynyl, Ph, (un)substituted OH, SH, NH2; R5, R6 = H, (un)substituted alkyl, Ph, phenylalkyl; CR5R6 = cyclic; R7, R8 = H, (un)substituted OH] were prepared for use as inhibitors of cyclic nucleotide phosphodiesterases in treatment of inflammation of the central nervous system or depression. Thus, 3,4-(MeO)2C6H3CH2CO2Me was treated with 2-naphthoyl chloride to give the 2-(2-naphthoyl) derivative which was cyclized with N2H4 to give I [R1 = 2-naphthoyl, R2, R5, R6 = H,

R3R4 = O, R7, R8 = OMe]. This compound had IC50 for inhibition of PDE4 of 1.5  $\mu$ M.

IT 474070-20-7P, 7,8-Dimethoxy-1-phenyl-4-(prop-1-ynyl)-5H-2,3-benzodiazepine  
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
 (preparation of benzodiazepines as inhibitors of cyclic nucleotide phosphodiesterases)  
 RN 474070-20-7 CAPLUS  
 CN 5H-2,3-Benzodiazepine, 7,8-dimethoxy-1-phenyl-4-(1-propyn-1-yl)- (CA INDEX NAME)

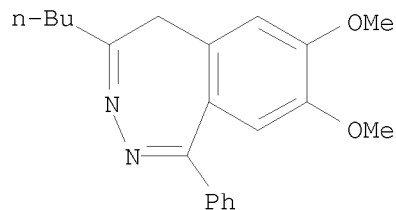


IT 75114-10-2P, 7,8-Dimethoxy-4-methyl-1-phenyl-5H-2,3-benzodiazepine 474070-12-7P,  
 4-Butyl-7,8-dimethoxy-1-phenyl-5H-2,3-benzodiazepine  
 474070-21-8P, 7,8-Dimethoxy-1-phenyl-4-propyl-5H-2,3-benzodiazepine  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of benzodiazepines as inhibitors of cyclic nucleotide phosphodiesterases)  
 RN 75114-10-2 CAPLUS  
 CN 5H-2,3-Benzodiazepine, 7,8-dimethoxy-4-methyl-1-phenyl- (CA INDEX NAME)

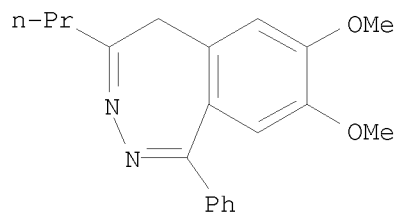


RN 474070-12-7 CAPLUS  
 CN 5H-2,3-Benzodiazepine, 4-butyl-7,8-dimethoxy-1-phenyl- (CA INDEX NAME)

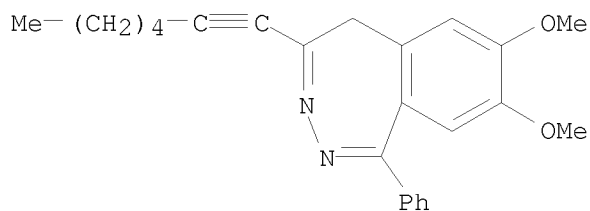
10/567,598



RN 474070-21-8 CAPLUS  
CN 5H-2,3-Benzodiazepine, 7,8-dimethoxy-1-phenyl-4-propyl- (CA INDEX NAME)



IT 474070-19-4P, 7,8-Dimethoxy-4-(1-heptynyl)-1-phenyl-5H-2,3-benzodiazepine  
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of benzodiazepines as inhibitors of cyclic nucleotide phosphodiesterases)  
RN 474070-19-4 CAPLUS  
CN 5H-2,3-Benzodiazepine, 4-(1-heptyn-1-yl)-7,8-dimethoxy-1-phenyl- (CA INDEX NAME)



OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD (4 CITINGS)  
REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L22 ANSWER 14 OF 40 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2002:487537 CAPLUS

DOCUMENT NUMBER: 137:63266

TITLE: Preparation of 2,3-benzodiazepines as AMPA antagonists.

INVENTOR(S): Ling, Istvan; Barkoczy, Jozsef; Simig, Gyula; Greff, Zoltan; Ratkai, Zoltan; Szabo, Geza; Vegh, Miklos; Gigler, Gabor; Szenasi, Gabor; Martonne Marko, Bernadett; Levay, Gyoergy; Harsing, Laszlo Gabor

PATENT ASSIGNEE(S): Egis Gyogyszergyar Rt., Hung.

SOURCE: PCT Int. Appl., 40 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002050044	A1	20020627	WO 2001-HU151	20011219
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
HU 2000004994	A2	20021128	HU 2000-4994	20001221
HU 225100	B1	20060628		
CA 2431761	A1	20020627	CA 2001-2431761	20011219
CA 2431761	C	20100525		
AU 2002017356	A	20020701	AU 2002-17356	20011219
EP 1351942	A1	20031015	EP 2001-271364	20011219
EP 1351942	B1	20040721		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004516284	T	20040603	JP 2002-551541	20011219
JP 4201252	B2	20081224		
AT 271548	T	20040815	AT 2001-271364	20011219
PT 1351942	E	20041130	PT 2001-271364	20011219
ES 2225412	T3	20050316	ES 2001-271364	20011219
RO 121268	B1	20070228	RO 2003-539	20011219
SK 286620	B6	20090205	SK 2003-788	20011219
CZ 301371	B6	20100203	CZ 2003-1680	20011219
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US 7189711	B2	20070313		

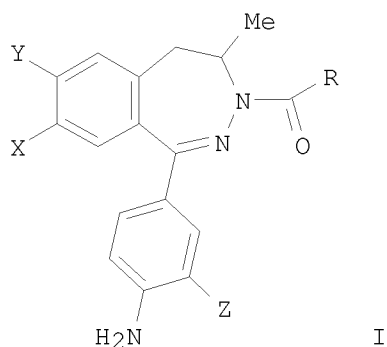
PRIORITY APPLN. INFO.: HU 2000-4994 A 20001221

WO 2001-HU151 W 20011219

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 137:63266

GI



AB Title compds. (I; X = H, Cl, MeO; Y = H, halo; Z = Me, Cl; R = alkyl, NR<sub>1</sub>R<sub>2</sub>; R<sub>1</sub>, R<sub>2</sub> = H, alkyl, alkoxy, cycloalkyl), were prepared. Thus, 3-acetyl-4,5-dihydro-8-chloro-4-methyl-1-(3-methyl-4-nitrophenyl)-3H-2,3-benzodiazepine in methanol/CH<sub>2</sub>Cl<sub>2</sub> was stirred with wet Raney nickel and hydrazine hydrate for 45 min to give 49% 3-acetyl-1-(4-amino-3-methylphenyl)-4,5-dihydro-8-chloro-4-methyl-3H-2,3-benzodiazepine. The latter prolonged the survival time of MgCl<sub>2</sub>-treated mice with PD<sub>50</sub> = 4.6 mg/kg i.p.

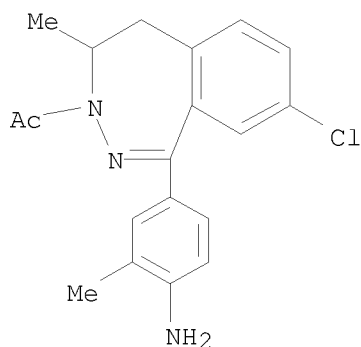
IT 439143-67-6P      439143-68-7P      439143-69-8P  
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 439143-73-4P      439143-74-5P      439143-75-6P  
 439143-76-7P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 2,3-benzodiazepines as AMPA antagonists)

RN 439143-67-6 CAPLUS

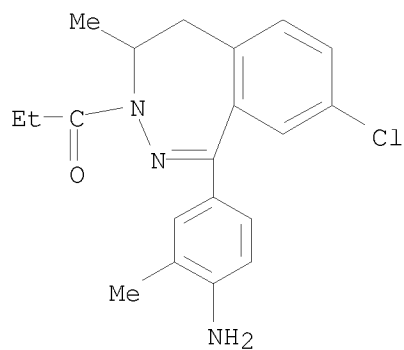
CN Ethanone, 1-[1-(4-amino-3-methylphenyl)-8-chloro-4,5-dihydro-4-methyl-3H-2,3-benzodiazepin-3-yl]- (CA INDEX NAME)



RN 439143-68-7 CAPLUS

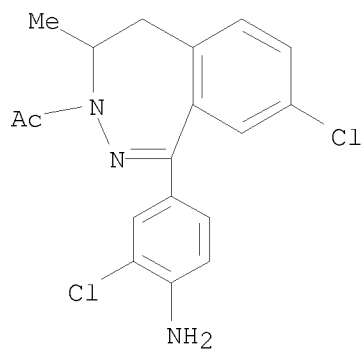
CN 1-Propanone, 1-[1-(4-amino-3-methylphenyl)-8-chloro-4,5-dihydro-4-methyl-3H-2,3-benzodiazepin-3-yl]- (CA INDEX NAME)

10/567,598



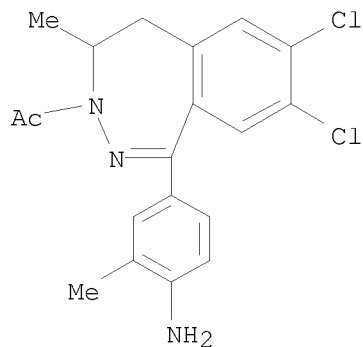
RN 439143-69-8 CAPLUS

CN Ethanone, 1-[1-(4-amino-3-chlorophenyl)-8-chloro-4,5-dihydro-4-methyl-3H-2,3-benzodiazepin-3-yl]- (CA INDEX NAME)



RN 439143-70-1 CAPLUS

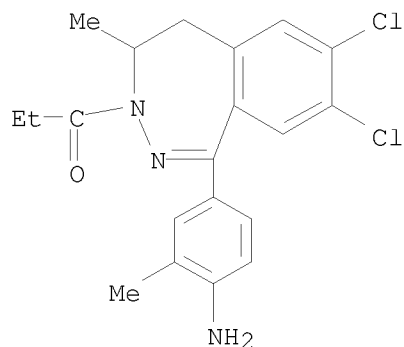
CN Ethanone, 1-[1-(4-amino-3-methylphenyl)-7,8-dichloro-4,5-dihydro-4-methyl-3H-2,3-benzodiazepin-3-yl]- (CA INDEX NAME)



RN 439143-71-2 CAPLUS

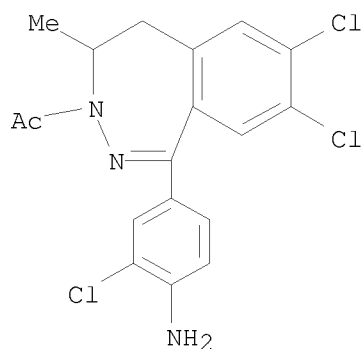
CN 1-Propanone, 1-[1-(4-amino-3-methylphenyl)-7,8-dichloro-4,5-dihydro-4-methyl-3H-2,3-benzodiazepin-3-yl]- (CA INDEX NAME)

10/567,598



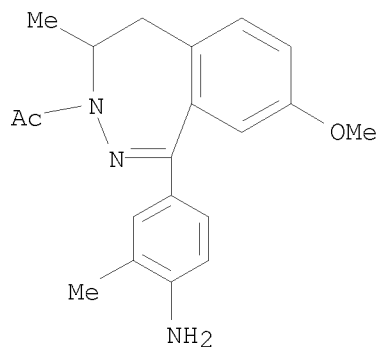
RN 439143-72-3 CAPLUS

CN Ethanone, 1-[1-(4-amino-3-chlorophenyl)-7,8-dichloro-4,5-dihydro-4-methyl-3H-2,3-benzodiazepin-3-yl]- (CA INDEX NAME)



RN 439143-73-4 CAPLUS

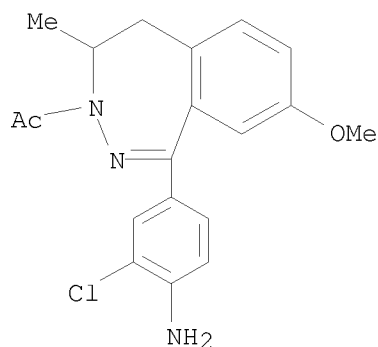
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RN 439143-74-5 CAPLUS

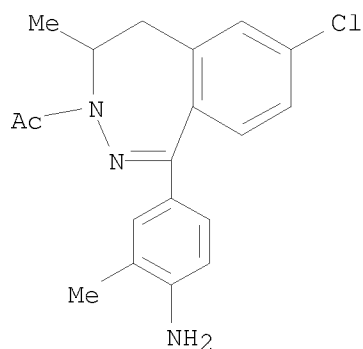
CN Ethanone, 1-[1-(4-amino-3-chlorophenyl)-4,5-dihydro-8-methoxy-4-methyl-3H-2,3-benzodiazepin-3-yl]- (CA INDEX NAME)

10/567,598



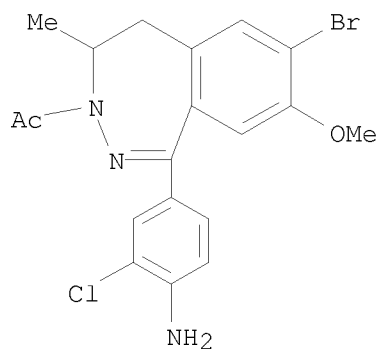
RN 439143-75-6 CAPLUS

CN Ethanone, 1-[1-(4-amino-3-methylphenyl)-7-chloro-4,5-dihydro-4-methyl-3H-2,3-benzodiazepin-3-yl]- (CA INDEX NAME)



RN 439143-76-7 CAPLUS

CN Ethanone, 1-[1-(4-amino-3-chlorophenyl)-7-bromo-4,5-dihydro-8-methoxy-4-methyl-3H-2,3-benzodiazepin-3-yl]- (CA INDEX NAME)



IT 439143-77-8 439143-78-9 439143-79-0  
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439143-83-6 439143-84-7 439143-85-8  
RL: RCT (Reactant); RACT (Reactant or reagent)

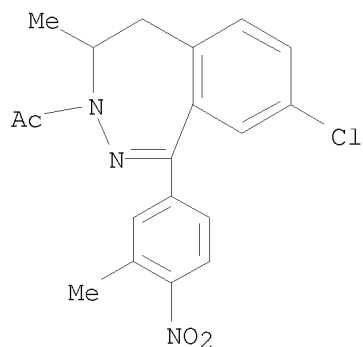


10/567,598

(preparation of 2,3-benzodiazepines as AMPA antagonists)

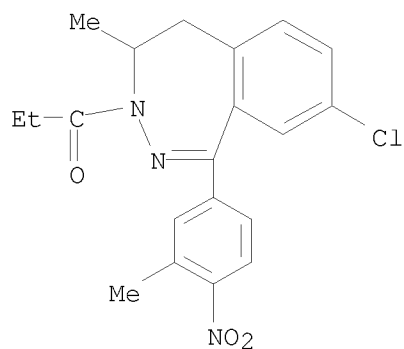
RN 439143-77-8 CAPLUS

CN Ethanone, 1-[8-chloro-4,5-dihydro-4-methyl-1-(3-methyl-4-nitrophenyl)-3H-2,3-benzodiazepin-3-yl]- (CA INDEX NAME)



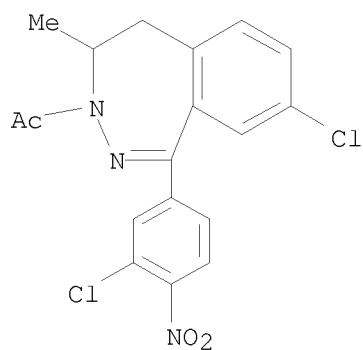
RN 439143-78-9 CAPLUS

CN 1-Propanone, 1-[8-chloro-4,5-dihydro-4-methyl-1-(3-methyl-4-nitrophenyl)-3H-2,3-benzodiazepin-3-yl]- (CA INDEX NAME)



RN 439143-79-0 CAPLUS

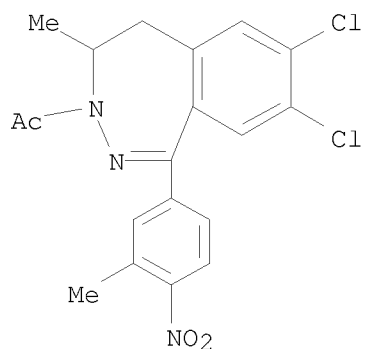
CN Ethanone, 1-[8-chloro-1-(3-chloro-4-nitrophenyl)-4,5-dihydro-4-methyl-3H-2,3-benzodiazepin-3-yl]- (CA INDEX NAME)



10/567,598

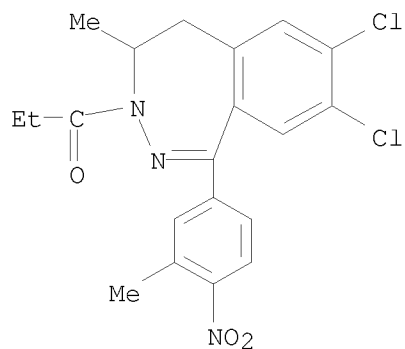
RN 439143-80-3 CAPLUS

CN Ethanone, 1-[7,8-dichloro-4,5-dihydro-4-methyl-1-(3-methyl-4-nitrophenyl)-3H-2,3-benzodiazepin-3-yl]- (CA INDEX NAME)



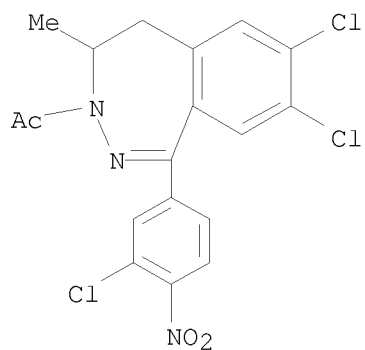
RN 439143-81-4 CAPLUS

CN 1-Propanone, 1-[7,8-dichloro-4,5-dihydro-4-methyl-1-(3-methyl-4-nitrophenyl)-3H-2,3-benzodiazepin-3-yl]- (CA INDEX NAME)



RN 439143-82-5 CAPLUS

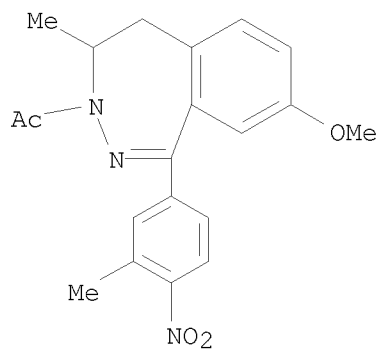
CN Ethanone, 1-[7,8-dichloro-1-(3-chloro-4-nitrophenyl)-4,5-dihydro-4-methyl-3H-2,3-benzodiazepin-3-yl]- (CA INDEX NAME)



10/567,598

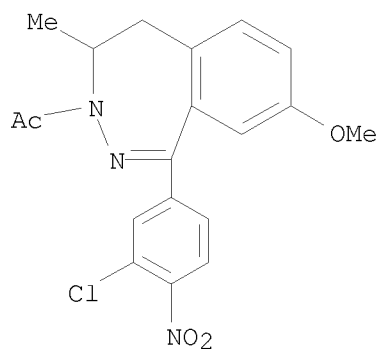
RN 439143-83-6 CAPLUS

CN Ethanone, 1-[4,5-dihydro-8-methoxy-4-methyl-1-(3-methyl-4-nitrophenyl)-3H-2,3-benzodiazepin-3-yl]- (CA INDEX NAME)



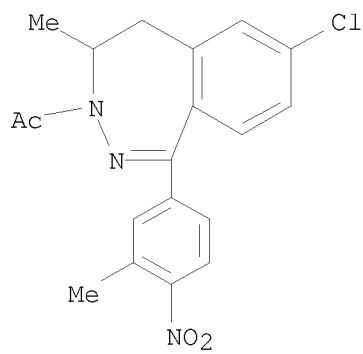
RN 439143-84-7 CAPLUS

CN Ethanone, 1-[1-(3-chloro-4-nitrophenyl)-4,5-dihydro-8-methoxy-4-methyl-3H-2,3-benzodiazepin-3-yl]- (CA INDEX NAME)



RN 439143-85-8 CAPLUS

CN Ethanone, 1-[7-chloro-4,5-dihydro-4-methyl-1-(3-methyl-4-nitrophenyl)-3H-2,3-benzodiazepin-3-yl]- (CA INDEX NAME)



L22 ANSWER 15 OF 40 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2001:935585 CAPLUS

DOCUMENT NUMBER: 136:69827

TITLE: Preparation of 7- or 8-mono-substituted  
5H-2,3-benzodiazepines as antagonists of excitatory  
amino acid receptors

INVENTOR(S): Pei, Xue-Feng; Li, Baoqing; Maccecchini, Maria-Luisa

PATENT ASSIGNEE(S): Annovis, Inc., USA

SOURCE: PCT Int. Appl., 56 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

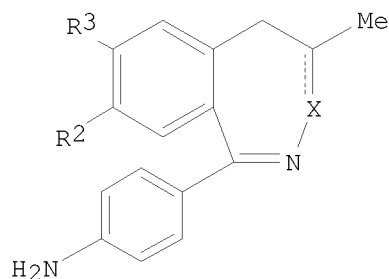
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001098280	A2	20011227	WO 2001-US19136	20010615
WO 2001098280	A3	20020530		
W: CA, JP				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
US 20020025958	A1	20020228	US 2001-882843	20010615
US 6887867	B2	20050503		
EP 1296960	A2	20030402	EP 2001-948367	20010615
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
PRIORITY APPLN. INFO.:			US 2000-212238P	P 20000616
			WO 2001-US19136	W 20010615

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 136:69827

GI



AB Title compds. [I; dotted bond = single, double; X = N, NR; R = COCH<sub>3</sub>, CONHCH<sub>3</sub>, CONHCH<sub>2</sub>CH<sub>3</sub>, CONHCH<sub>2</sub>CH<sub>2</sub>CH<sub>3</sub>, CONHCH<sub>2</sub>CH<sub>2</sub>CH<sub>2</sub>CH<sub>3</sub>; R<sub>2</sub> = H, OCH<sub>3</sub>, NH<sub>2</sub>, SCH<sub>3</sub>; R<sub>3</sub> = OCH<sub>3</sub>, H, NH<sub>2</sub>, SCH<sub>3</sub>] and pharmaceutically acceptable salts are prepared as active non-NMDA inotropic excitatory amino acid (EAA) receptor antagonists and are useful for treating disorders associated with excessive activation of the non-NMDA subtype of the inotropic EAA receptor. Title compds. I further are useful as testing agents to identify and characterize other compds. for the treatment of these disorders. The

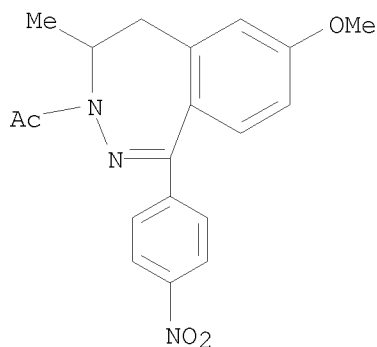
comps. are useful therapeutically as sedatives or for the treatment of neurosychopharmacol. disorders such as stroke, ischemia and epilepsy. The compns. may be provided in combination with a suitable carrier for oral or parenteral administration. The compds. may be administered orally or parenterally for the treatment of a variety of disorders associated with non-NMDA EAA receptor function.

IT 383857-60-1P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
(preparation of or 7- or 8-mono-substituted 5H-2,3-benzodiazepines as antagonists of excitatory amino acid receptors)

RN 383857-60-1 CAPLUS

CN Ethanone, 1-[4,5-dihydro-7-methoxy-4-methyl-1-(4-nitrophenyl)-3H-2,3-benzodiazepin-3-yl]- (CA INDEX NAME)



IT	194671-88-0P	194671-92-6P	383857-61-2P
	383857-76-9P	383857-79-2P	383857-80-5P
	383857-81-6P	383857-82-7P	383857-83-8P
	383857-84-9P	383857-85-0P	383857-86-1P
	383857-87-2P	383857-88-3P	383857-89-4P
	383857-90-7P	383857-91-8P	383857-92-9P
	383857-93-0P	383857-94-1P	383858-15-9P
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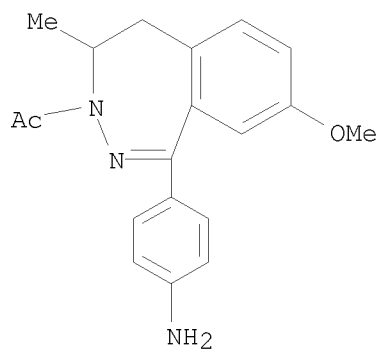
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of or 7- or 8-mono-substituted 5H-2,3-benzodiazepines as antagonists of excitatory amino acid receptors)

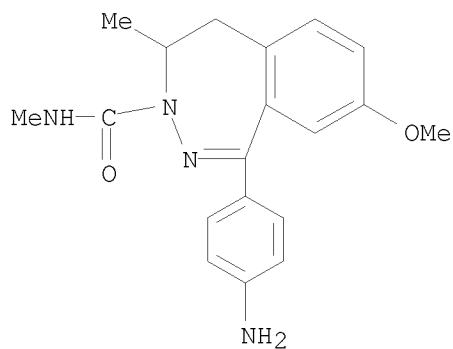
RN 194671-88-0 CAPLUS

CN Ethanone, 1-[1-(4-aminophenyl)-4,5-dihydro-8-methoxy-4-methyl-3H-2,3-benzodiazepin-3-yl]- (CA INDEX NAME)

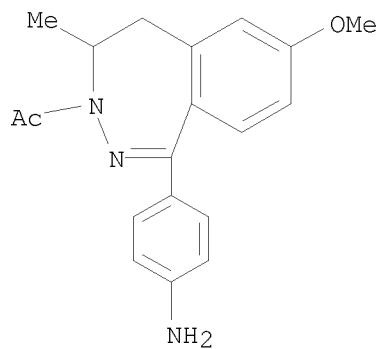
10/567,598



RN 194671-92-6 CAPLUS  
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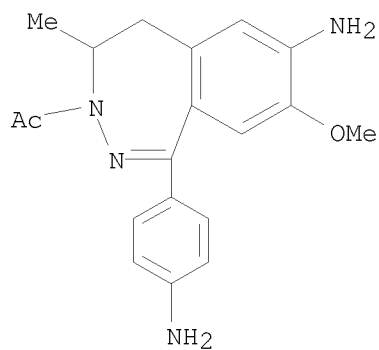


RN 383857-61-2 CAPLUS  
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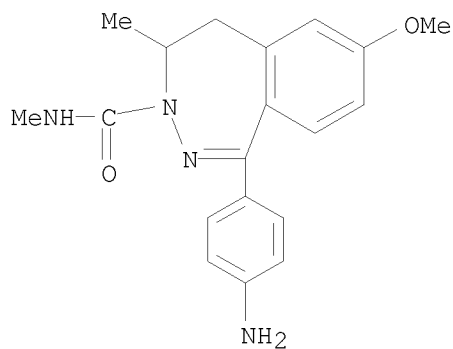


RN 383857-76-9 CAPLUS  
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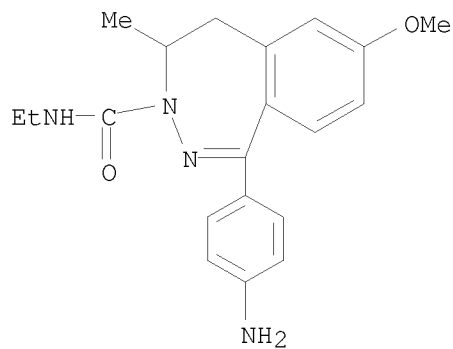
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RN 383857-79-2 CAPLUS  
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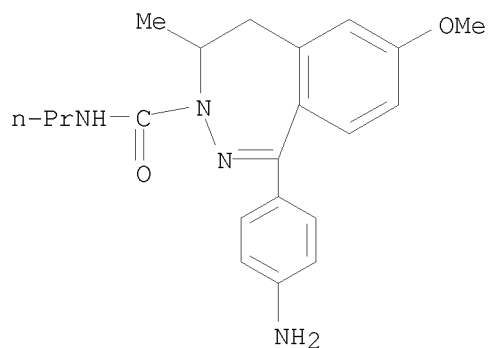


RN 383857-80-5 CAPLUS  
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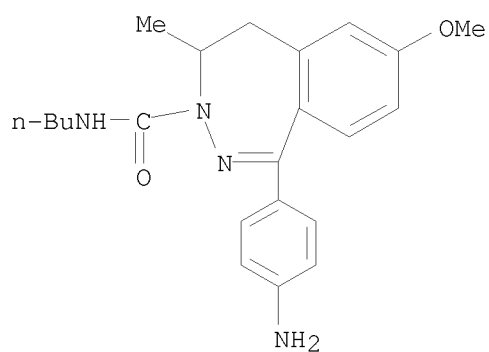


RN 383857-81-6 CAPLUS  
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1-(4-aminophenyl)-4,5-dihydro-7-methoxy-4-methyl-N-propyl- (CA INDEX NAME)

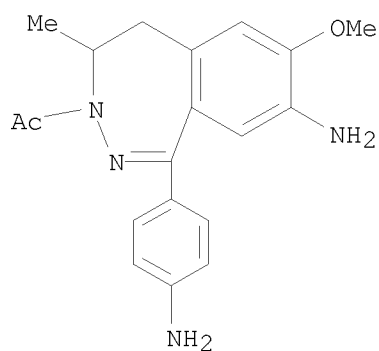
10/567,598



RN 383857-82-7 CAPLUS  
CN 3H-2,3-Benzodiazepine-3-carboxamide,  
1-(4-aminophenyl)-N-butyl-4,5-dihydro-7-methoxy-4-methyl- (CA INDEX NAME)



RN 383857-83-8 CAPLUS  
CN Ethanone, 1-[8-amino-1-(4-aminophenyl)-4,5-dihydro-7-methoxy-4-methyl-3H-  
2,3-benzodiazepin-3-yl]- (CA INDEX NAME)

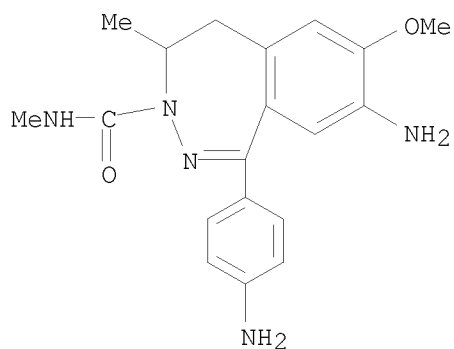


RN 383857-84-9 CAPLUS  
CN 3H-2,3-Benzodiazepine-3-carboxamide,  
8-amino-1-(4-aminophenyl)-4,5-dihydro-7-methoxy-N,4-dimethyl- (CA INDEX



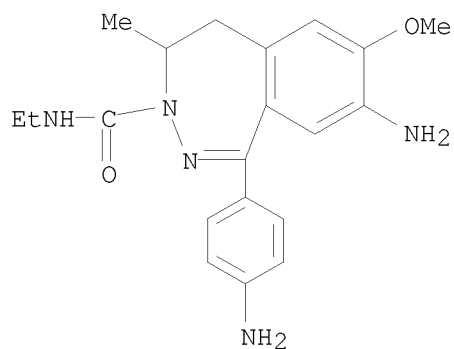
10/567,598

NAME)



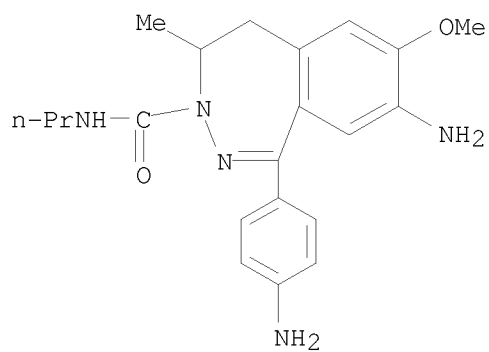
RN 383857-85-0 CAPLUS

CN 3H-2,3-Benzodiazepine-3-carboxamide,  
8-amino-1-(4-aminophenyl)-N-ethyl-4,5-dihydro-7-methoxy-4-methyl- (CA  
INDEX NAME)



RN 383857-86-1 CAPLUS

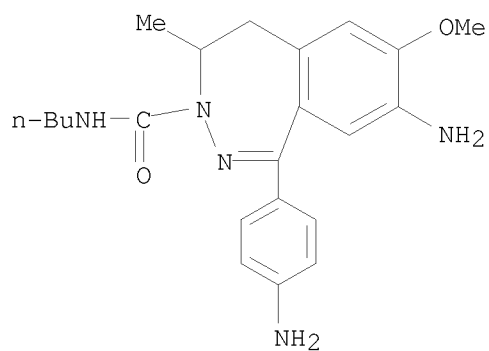
CN 3H-2,3-Benzodiazepine-3-carboxamide,  
8-amino-1-(4-aminophenyl)-N-propyl-4,5-dihydro-7-methoxy-4-methyl- (CA  
INDEX NAME)



10/567,598

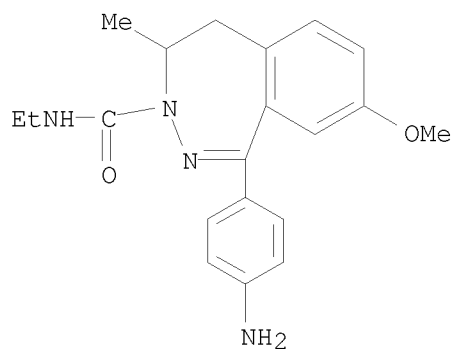
RN 383857-87-2 CAPLUS

CN 3H-2,3-Benzodiazepine-3-carboxamide,  
8-amino-1-(4-aminophenyl)-N-butyl-4,5-dihydro-7-methoxy-4-methyl- (CA  
INDEX NAME)



RN 383857-88-3 CAPLUS

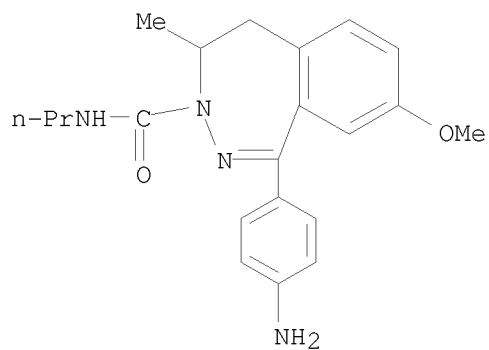
CN 3H-2,3-Benzodiazepine-3-carboxamide,  
1-(4-aminophenyl)-N-ethyl-4,5-dihydro-8-methoxy-4-methyl- (CA INDEX NAME)



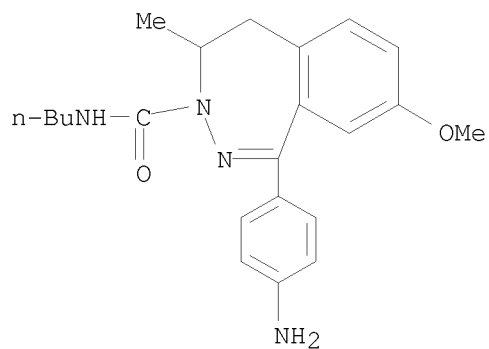
RN 383857-89-4 CAPLUS

CN 3H-2,3-Benzodiazepine-3-carboxamide,  
1-(4-aminophenyl)-4,5-dihydro-8-methoxy-4-methyl-N-propyl- (CA INDEX  
NAME)

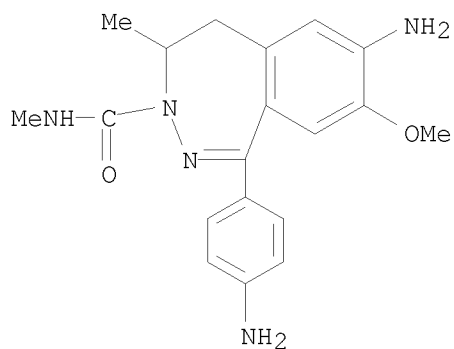
10/567,598



RN 383857-90-7 CAPLUS  
CN 3H-2,3-Benzodiazepine-3-carboxamide,  
1-(4-aminophenyl)-N-butyl-4,5-dihydro-8-methoxy-4-methyl- (CA INDEX NAME)



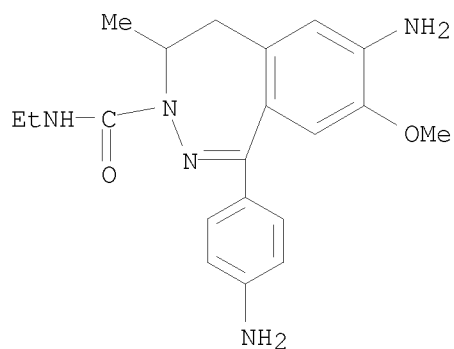
RN 383857-91-8 CAPLUS  
CN 3H-2,3-Benzodiazepine-3-carboxamide,  
7-amino-1-(4-aminophenyl)-4,5-dihydro-8-methoxy-N,4-dimethyl- (CA INDEX NAME)



RN 383857-92-9 CAPLUS  
CN 3H-2,3-Benzodiazepine-3-carboxamide,  
7-amino-1-(4-aminophenyl)-N-ethyl-4,5-dihydro-8-methoxy-4-methyl- (CA INDEX NAME)

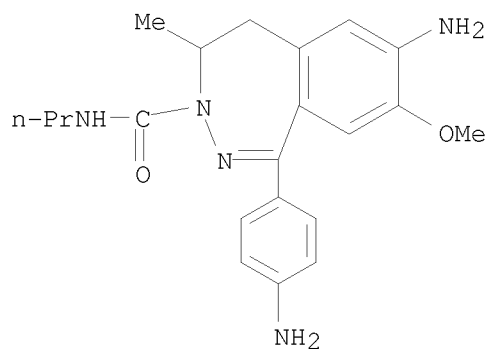
10/567,598

INDEX NAME)



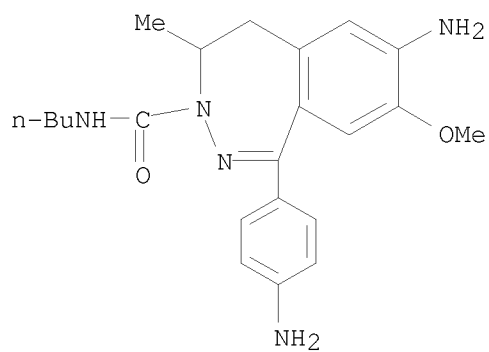
RN 383857-93-0 CAPLUS

CN 3H-2,3-Benzodiazepine-3-carboxamide,  
7-amino-1-(4-aminophenyl)-4,5-dihydro-8-methoxy-4-methyl-N-propyl- (CA  
INDEX NAME)



RN 383857-94-1 CAPLUS

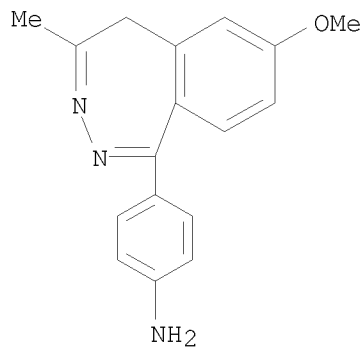
CN 3H-2,3-Benzodiazepine-3-carboxamide,  
7-amino-1-(4-aminophenyl)-N-butyl-4,5-dihydro-8-methoxy-4-methyl- (CA  
INDEX NAME)



10/567,598

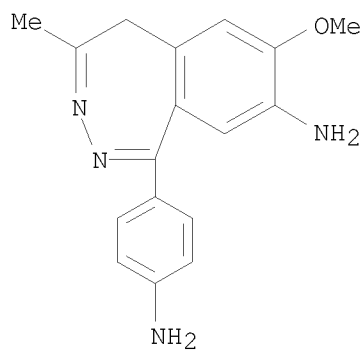
RN 383858-15-9 CAPLUS

CN Benzenamine, 4-(7-methoxy-4-methyl-5H-2,3-benzodiazepin-1-yl)- (CA INDEX NAME)



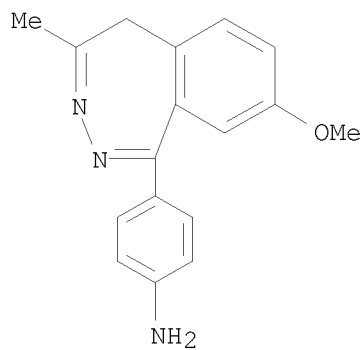
RN 383858-16-0 CAPLUS

CN 5H-2,3-Benzodiazepin-8-amine, 1-(4-aminophenyl)-7-methoxy-4-methyl- (CA INDEX NAME)



RN 383858-17-1 CAPLUS

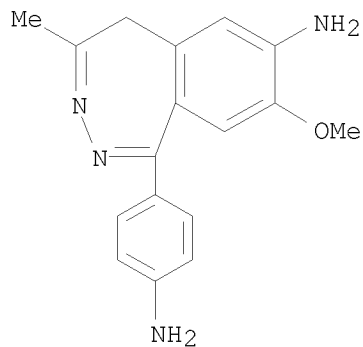
CN Benzenamine, 4-(8-methoxy-4-methyl-5H-2,3-benzodiazepin-1-yl)- (CA INDEX NAME)



10/567,598

RN 383858-18-2 CAPLUS

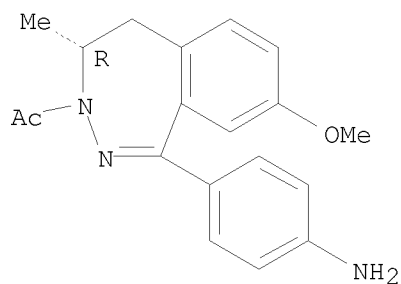
CN 5H-2,3-Benzodiazepin-7-amine, 1-(4-aminophenyl)-8-methoxy-4-methyl- (CA INDEX NAME)



RN 383858-23-9 CAPLUS

CN Ethanone, 1-[(4R)-1-(4-aminophenyl)-4,5-dihydro-8-methoxy-4-methyl-3H-2,3-benzodiazepin-3-yl]- (CA INDEX NAME)

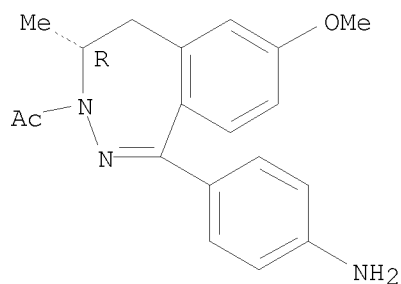
Absolute stereochemistry.



RN 383858-25-1 CAPLUS

CN Ethanone, 1-[(4R)-1-(4-aminophenyl)-4,5-dihydro-7-methoxy-4-methyl-3H-2,3-benzodiazepin-3-yl]- (CA INDEX NAME)

Absolute stereochemistry.



IT 383857-70-3P  
383857-78-1P

383857-74-7P

383857-75-8P

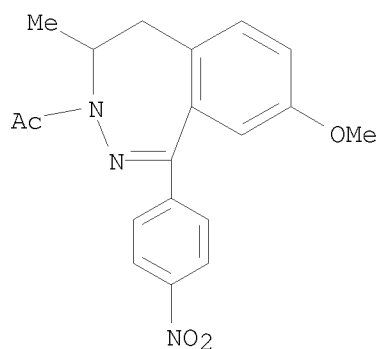
10/567,598

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of or 7- or 8-mono-substituted 5H-2,3-benzodiazepines as antagonists of excitatory amino acid receptors)

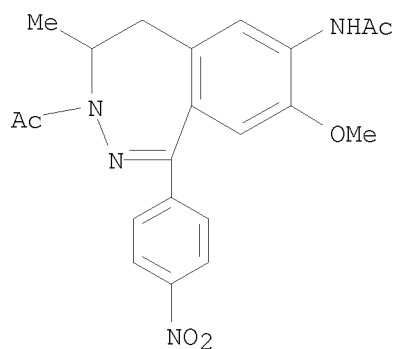
RN 383857-70-3 CAPLUS

CN Ethanone, 1-[4,5-dihydro-8-methoxy-4-methyl-1-(4-nitrophenyl)-3H-2,3-benzodiazepin-3-yl]- (CA INDEX NAME)



RN 383857-74-7 CAPLUS

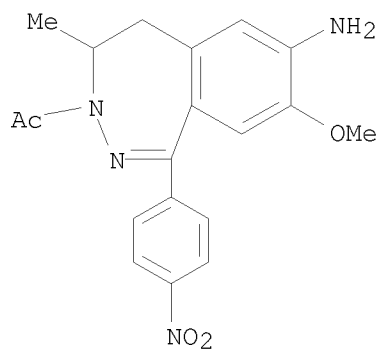
CN Acetamide, N-[3-acetyl-4,5-dihydro-8-methoxy-4-methyl-1-(4-nitrophenyl)-3H-2,3-benzodiazepin-7-yl]- (CA INDEX NAME)



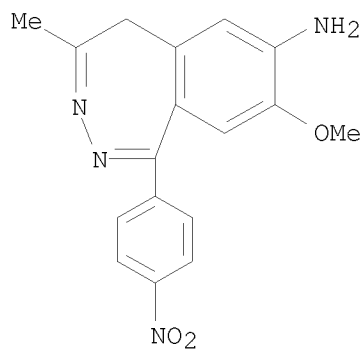
RN 383857-75-8 CAPLUS

CN Ethanone, 1-[7-amino-4,5-dihydro-8-methoxy-4-methyl-1-(4-nitrophenyl)-3H-2,3-benzodiazepin-3-yl]- (CA INDEX NAME)

10/567,598



RN 383857-78-1 CAPLUS  
CN 5H-2,3-Benzodiazepin-7-amine, 8-methoxy-4-methyl-1-(4-nitrophenyl)- (CA  
INDEX NAME)



OS.CITING REF COUNT:	3	THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD (3 CITINGS)
REFERENCE COUNT:	3	THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT



L22 ANSWER 16 OF 40 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2000:564507 CAPLUS

DOCUMENT NUMBER: 133:335218

TITLE: New non competitive AMPA antagonists

AUTHOR(S): Abraham, G.; Solyom, S.; Csuzdi, E.; Berzsenyi, P.;  
Ling, I.; Tarnawa, I.; Hamori, T.; Pallagi, I.;  
Horvath, K.; Andrasi, F.; Kapus, G.; Harsing, L. G.;  
Kiraly, I.; Patthy, M.; Horvath, G.CORPORATE SOURCE: Institute for Drug Research, Budapest, H-1045, Hung.  
SOURCE: Bioorganic & Medicinal Chemistry (2000), 8(8),  
2127-2143

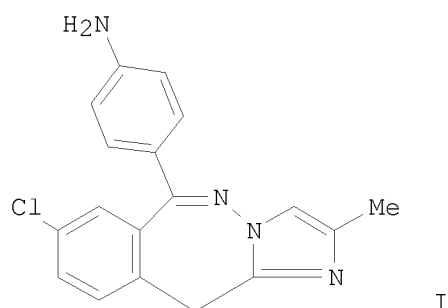
CODEN: BMECEP; ISSN: 0968-0896

PUBLISHER: Elsevier Science Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



AB New halogen atom substituted 2,3-benzodiazepine derivs. condensed with an azole ring on the seven membered part of the ring system were synthesized. It was found that chloro-, dichloro- and bromo-substitutions in the benzene ring and addnl. imidazole ring condensation on the diazepine ring can successfully substitute the methylenedioxy group in the well known mols. GYKI 52466 and GYKI 53773 and the 3-acetyl-4-Me structural feature in GYKI 53773, resp., preserving the highly active AMPA antagonist characteristic of the original mols. From the most active compds. I (GYKI 47261) was chosen for detailed investigations. I revealed an excellent, broad spectrum anticonvulsant activity against seizures evoked by electroshock and different chemoconvulsive agents indicating a possible antiepileptic efficacy. I is highly active in a transient model of focal ischemia predictive of a therapeutic value in human stroke. I also reversed the dopamine depleting effect of MPTP and antagonized the oxotremorine induced tremor in mice indicating a potential antiparkinson activity.

IT 200419-71-2P 220445-45-4P 220445-47-6P  
220445-48-7P 220445-49-8P 220445-50-1P

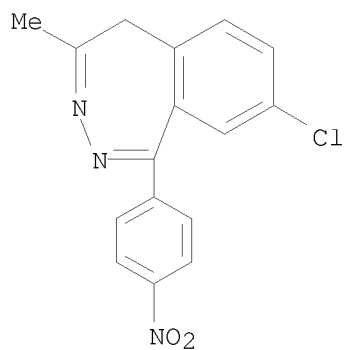
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of imidazobenzodiazepines as non-competitive AMPA antagonists)

RN 200419-71-2 CAPLUS

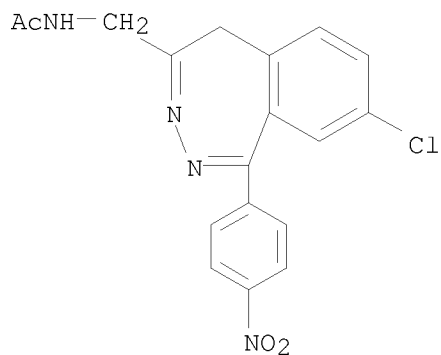
CN 5H-2,3-Benzodiazepine, 8-chloro-4-methyl-1-(4-nitrophenyl)- (CA INDEX NAME)

10/567,598



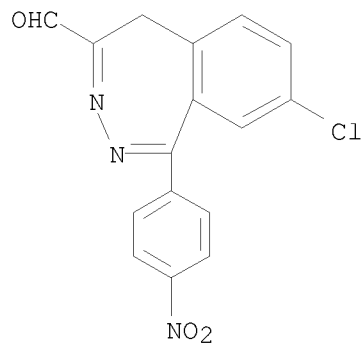
RN 220445-45-4 CAPLUS

CN Acetamide, N-[[8-chloro-1-(4-nitrophenyl)-5H-2,3-benzodiazepin-4-yl]methyl]- (CA INDEX NAME)



RN 220445-47-6 CAPLUS

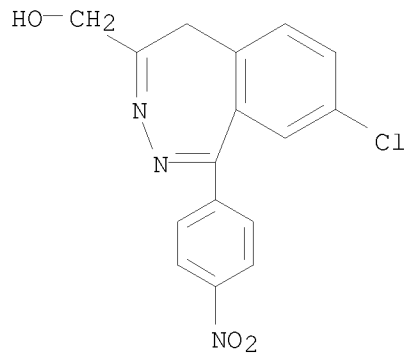
CN 5H-2,3-Benzodiazepine-4-carboxaldehyde, 8-chloro-1-(4-nitrophenyl)- (CA INDEX NAME)



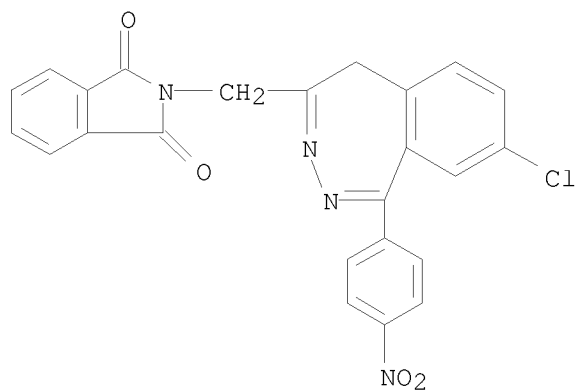
RN 220445-48-7 CAPLUS

CN 5H-2,3-Benzodiazepine-4-methanol, 8-chloro-1-(4-nitrophenyl)- (CA INDEX NAME)

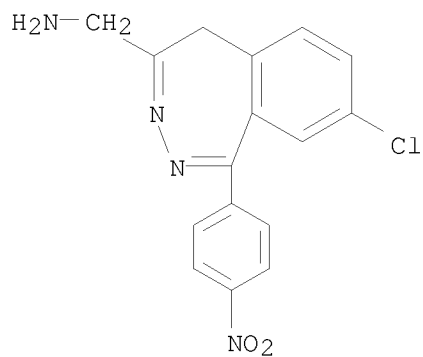
10/567,598



RN 220445-49-8 CAPLUS  
CN 1H-Isoindole-1,3(2H)-dione, 2-[[8-chloro-1-(4-nitrophenyl)-5H-2,3-benzodiazepin-4-yl]methyl]- (CA INDEX NAME)



RN 220445-50-1 CAPLUS  
CN 5H-2,3-Benzodiazepine-4-methanamine, 8-chloro-1-(4-nitrophenyl)- (CA INDEX NAME)



OS.CITING REF COUNT: 41 THERE ARE 41 CAPLUS RECORDS THAT CITE THIS  
RECORD (42 CITINGS)

L22 ANSWER 17 OF 40 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2000:240950 CAPLUS

DOCUMENT NUMBER: 132:270096

TITLE: Pharmaceutical compositions containing an opiate analgesic and a synergizing substance

INVENTOR(S): Szekely, Jozsef; Andrasi, Ferenc; Mate, Gyorgyne; Horvath, Katalin; Horvath, Edit; Haskane, Salamon Cecilia; Aranyi, Peter; Gigler, Gabor; Fekete, Pal; Fekete, Marton

PATENT ASSIGNEE(S): Egis Gyogyszergyar Rt., Hung.; Haskane Salamon, Cecilia; et al.

SOURCE: PCT Int. Appl., 32 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

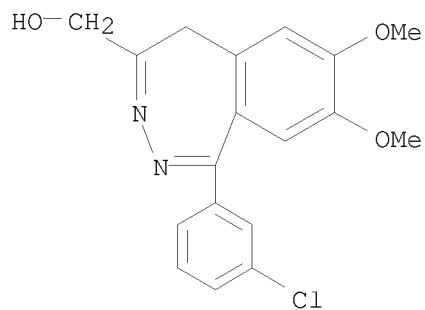
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

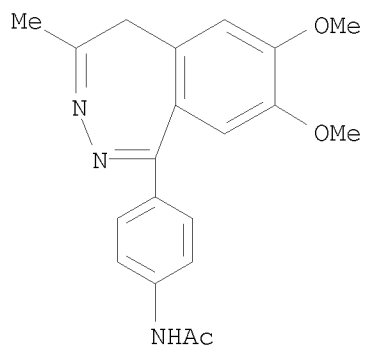
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000020005	A1	20000413	WO 1998-HU90	19981001
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9895538	A1	20000426	AU 1998-95538	19981001
PRIORITY APPLN. INFO.:			WO 1998-HU90	A 19981001
AB The invention relates to a synergistic analgesic pharmaceutical composition comprising an opiate analgesic agent (component A) and a substance synergizing the analgesic effect of the opiate (component B) in admixt. with suitable inert solid or liquid pharmaceutical carriers and/or diluents. Morphine-HCl (I) (2 parts) and 5 parts by weight tofisopam (II) are dispersed in 53 parts Witepsol S 58 and melted at 50°. The still liquid suspension is filled into conical forms, solidified by cooling to 25° and the suppositories are removed from the mold. Thus suppositories having an average weight of 6 g and containing 20 mg I and 50 mg II are obtained.				
IT	142790-94-1	177034-98-9		
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (pharmaceutical comps. containing an opiate analgesic and synergizing substance)				
RN	142790-94-1	CAPLUS		
CN	5H-2,3-Benzodiazepine-4-methanol, 1-(3-chlorophenyl)-7,8-dimethoxy- (CA INDEX NAME)			

10/567,598



RN 177034-98-9 CAPLUS

CN Acetamide, N-[4-(7,8-dimethoxy-4-methyl-5H-2,3-benzodiazepin-1-yl)phenyl]-  
(CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD  
(1 CITINGS)  
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L22 ANSWER 18 OF 40 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1999:595063 CAPLUS

DOCUMENT NUMBER: 132:119344

TITLE: [3H]-Girisopam, a novel selective benzodiazepine for the 2,3-benzodiazepine binding site

AUTHOR(S): Horvath, Edit J.; Salamon, Cecilia; Bakonyi, Anna; Fekete, Marton I. K.; Palkovits, Miklos

CORPORATE SOURCE: Institute for Drug Research, Budapest, H-1325, Hung.

SOURCE: Brain Research Protocols (1999), 4(2), 230-235

CODEN: BRPRFP; ISSN: 1385-299X

PUBLISHER: Elsevier Science B.V.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Several members of the 2,3-benzodiazepine family, such as tofisopam (Grandaxin) nerisopam (GYKI-52 322) or girisopam (GYKI-51 189) proved anxiolytic in man and various animal models. Moreover, girisopam could also be characterized as an atypical neuroleptic agent. In spite of the structural similarity, their pharmacol. profiles differ significantly from that of the "classical" 1,4-benzodiazepines. Importantly, according to the data obtained so far these drugs do not have an addiction potential. The novel 2,3-benzodiazepine antagonist girisopam binds with high affinity ( $K_d = 10.3 \pm 1.21$  nM) and limited capacity ( $B_{max} = 6.94 \pm 1.8$  pmol/mg protein) to a single class of recognition sites in rat striatum. This protocol describes the use of [3H]-girisopam as a specific radioligand for the 2,3-benzodiazepines receptor.

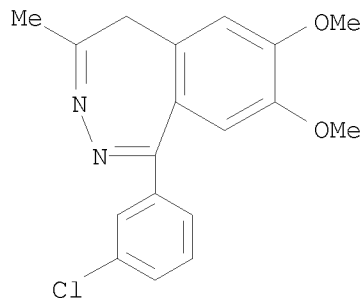
IT 256459-79-7

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

([3H]-girisopam: selective radioligand for benzodiazepine receptors)

RN 256459-79-7 CAPLUS

CN 5H-2,3-Benzodiazepine, 1-(3-chlorophenyl)-7,8-dimethoxy-4-methyl-, labeled with tritium (9CI) (CA INDEX NAME)



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD (2 CITINGS)

REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L22 ANSWER 19 OF 40 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1999:113684 CAPLUS

DOCUMENT NUMBER: 130:168401

TITLE: New 2,3-benzodiazepine derivatives

INVENTOR(S): Abraham, Gizella; Csuzdi, Emese; Solyom, Sandor;  
Berzsenyi, Pal; Tarnawa, Istvan; Andrasi, Ferenc;  
Ling, Istvan; Hamori, Tamas; Horvath, Katalin;  
Moravcsik, Imre; Pallagi, Istvan; Simay, Antal

PATENT ASSIGNEE(S): Gyogyszerkutato Intezet Kft., Hung.

SOURCE: PCT Int. Appl., 38 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

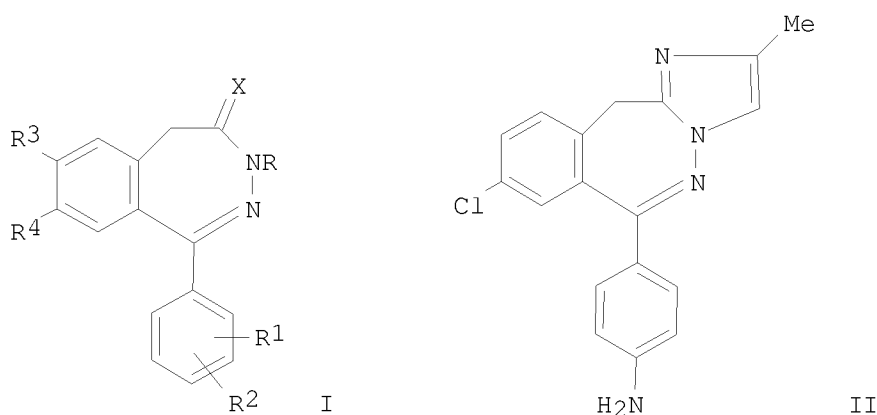
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9906408	A1	19990211	WO 1998-HU71	19980727
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
HU 9701325	A1	20000828	HU 1997-1325	19970731
CA 2296586	A1	19990211	CA 1998-2296586	19980727
CA 2296586	C	20070403		
AU 9885540	A	19990222	AU 1998-85540	19980727
AU 741162	B2	20011122		
EP 1001956	A1	20000524	EP 1998-936578	19980727
EP 1001956	B1	20030507		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2001512124	T	20010821	JP 2000-505166	19980727
AT 239729	T	20030515	AT 1998-936578	19980727
PT 1001956	E	20030930	PT 1998-936578	19980727
ES 2202879	T3	20040401	ES 1998-936578	19980727
US 6482819	B1	20021119	US 2000-463440	20000410
PRIORITY APPLN. INFO.:			HU 1997-1325	A 19970731
			WO 1998-HU71	W 19980727

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 130:168401

GI



AB The invention relates to new tricyclic 2,3-benzodiazepine derivs. I [R<sub>1</sub>, R<sub>2</sub> = H, halogen, alkyl, alkoxy, NO<sub>2</sub>, CF<sub>3</sub>, (un)substituted NH<sub>2</sub>; R<sub>3</sub> = H, Cl; R<sub>4</sub> = Cl, Br; XR = (un)substituted NCH:CH, NN:CH, CHN:CH, CHCH:CH]. The compds. are suitable for treating conditions associated with muscle spasms, epilepsy as well as acute and chronic forms of neurodegenerative diseases. Thus, imidazobenzodiazepine II was prepared by treating 8-chloro-1-(4-nitrophenyl)-3H-4,5-dihydro-2,3-benzodiazepine-4-thione with 2-(1-aminoethyl)-1,3-dioxolane and reduction of the nitro group. II had an ED<sub>50</sub> of 54 mg/kg orally in mice in the pentetrazole test and an ED<sub>50</sub> of 16 mg/kg i.p. in the rotarod test in mice.

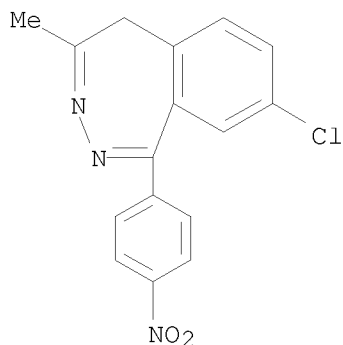
IT 200419-71-2P 220445-45-4P 220445-47-6P  
220445-48-7P 220445-49-8P 220445-50-1P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(preparation of azolobenzodiazepines as anticonvulsants and muscle relaxants)

RN 200419-71-2 CAPLUS

CN 5H-2,3-Benzodiazepine, 8-chloro-4-methyl-1-(4-nitrophenyl)- (CA INDEX NAME)

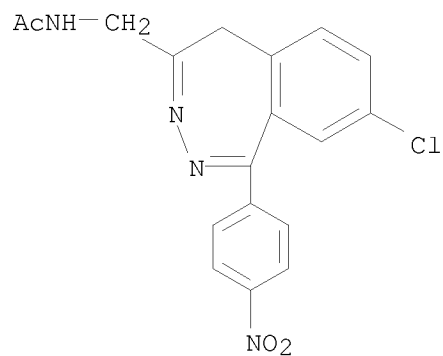


RN 220445-45-4 CAPLUS

CN Acetamide, N-[[8-chloro-1-(4-nitrophenyl)-5H-2,3-benzodiazepin-4-yl]methyl]- (CA INDEX NAME)

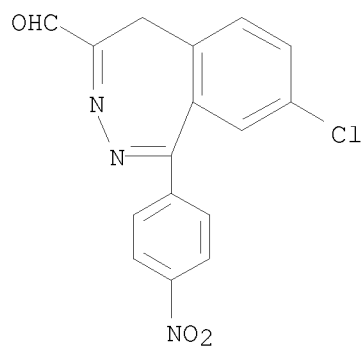


10/567,598



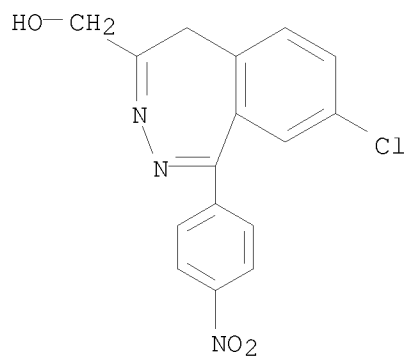
RN 220445-47-6 CAPLUS

CN 5H-2,3-Benzodiazepine-4-carboxaldehyde, 8-chloro-1-(4-nitrophenyl)- (CA INDEX NAME)



RN 220445-48-7 CAPLUS

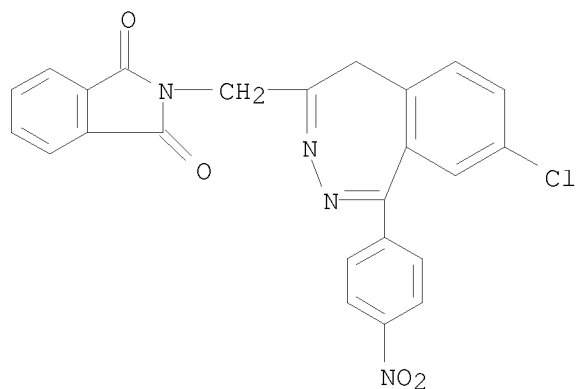
CN 5H-2,3-Benzodiazepine-4-methanol, 8-chloro-1-(4-nitrophenyl)- (CA INDEX NAME)



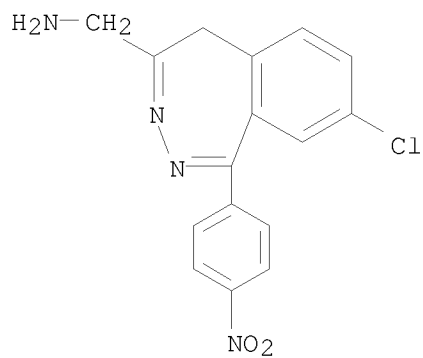
RN 220445-49-8 CAPLUS

CN 1H-Isoindole-1,3(2H)-dione, 2-[[8-chloro-1-(4-nitrophenyl)-5H-2,3-benzodiazepin-4-yl]methyl]- (CA INDEX NAME)

10/567,598



RN 220445-50-1 CAPLUS  
CN 5H-2,3-Benzodiazepine-4-methanamine, 8-chloro-1-(4-nitrophenyl)- (CA  
INDEX NAME)



OS.CITING REF COUNT: 7 THERE ARE 7 CAPLUS RECORDS THAT CITE THIS RECORD  
(7 CITINGS)  
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L22 ANSWER 20 OF 40 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1998:348614 CAPLUS

DOCUMENT NUMBER: 129:90362

ORIGINAL REFERENCE NO.: 129:18471a,18474a

TITLE: Pharmacokinetic study of nerisopam, a novel anxiolytic

drug-proband, and its N-acetyl metabolite in rats

AUTHOR(S): Ary, Kornelia; Rona, Kalman; Renczes, Gabor; Gachalyi, Bela; Grezal, Gyula; Klebovich, Imre; Riesz, Tamas

CORPORATE SOURCE: Department of First Medicine, Division of Clinical Pharmacology, Haynal Imre University of Health Sciences, Budapest, H-1389, Hung.

SOURCE: Pharmacy and Pharmacology Communications (1998), 4(4), 225-228

CODEN: PPCOFN; ISSN: 1460-8081

PUBLISHER: Royal Pharmaceutical Society of Great Britain

DOCUMENT TYPE: Journal

LANGUAGE: English

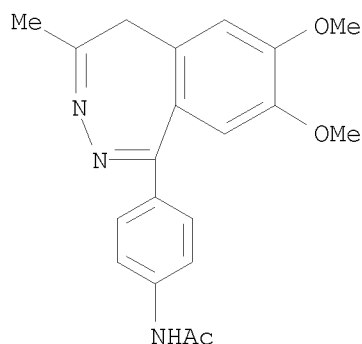
AB The pharmacokinetics of nerisopam and its N-acetyl metabolite were examined in parallel by means of a validated solid phase extraction high-performance liquid chromatog. method. Nerisopam was absorbed rapidly and could be measured in plasma for about 8 h. It could be described by a two-compartment open model in rats. The peak plasma concentration of the N-acetyl metabolite was reached rapidly a little later than the parent compound and could be measured for about 12 h. The pharmacokinetics of N-acetyl metabolite could be described by a one-compartment open model. The fast appearance of the metabolite and the higher C<sub>max</sub> and AUC<sub>0-∞</sub> values than nerisopam suggest an intensive first-pass metabolism. The increase in the AUC<sub>∞</sub>-dose ratio with increase in dose suggests that the elimination of the metabolite is concentration-dependent.

IT 177034-98-9

RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)  
(pharmacokinetics of nerisopam and its N-acetyl metabolite in rats)

RN 177034-98-9 CAPLUS

CN Acetamide, N-[4-(7,8-dimethoxy-4-methyl-5H-2,3-benzodiazepin-1-yl)phenyl]-  
(CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD  
(1 CITINGS)

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L22 ANSWER 21 OF 40 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1998:263102 CAPLUS

DOCUMENT NUMBER: 129:12241

ORIGINAL REFERENCE NO.: 129:2503a,2506a

TITLE: Determination of girisopam (2,3-benzodiazepine compound) and its four metabolites in human and rat plasma by gradient RP-HPLC method

AUTHOR(S): Urmos, Ivan; Klebovich, Imre; Nemes, Katalin Balogh

CORPORATE SOURCE: Department of Pharmacokinetics, EGIS Pharmaceuticals Ltd., Budapest, H-1475, Hung.

SOURCE: Journal of Liquid Chromatography & Related Technologies (1998), 21(6), 803-818  
CODEN: JLCTFC; ISSN: 1082-6076

PUBLISHER: Marcel Dekker, Inc.

DOCUMENT TYPE: Journal

LANGUAGE: English

AB A gradient RP-HPLC bioanal. method has been developed for the human pharmacokinetic studies of girisopam, the new 2,3-benzodiazepine compound with anxiolytic effect that has no myorelaxant and anticonvulsive side effects. The compound is an analog of tofizopam (Grandaxin, EGIS Pharmaceuticals Ltd., Budapest, Hungary). The method was found to be appropriate for the purposes of human pharmacokinetic studies performed at 25, 50, 100, 200, 325, and 525 mg dose levels. The method allowed the simultaneous determination of girisopam (G) and its four metabolites (4'-hydroxy-G, 7-demethyl-G, 4-hydroxymethyl-G and 4-demethyl-4-oxo-G) identified in previous studies in human plasma. The solutes were separated on Hypersil BDS C18 column and quantified by UV detection at 238 nm. A solid phase extraction (SPE) method using reversed-phase cartridges was developed for sample processing, whereby girisopam and the much more polar metabolites, as well as the internal standard could be extracted in a single step. The

limit

of quantitation (LLOQ) was: 1 ng/mL in the case of Girisopam (G), 4-hydroxymethyl-G, 4-demethyl-4-oxo-G and 4'-hydroxy-G. In the case of 7-demethyl-G, LLOQ amounted to 2 ng/mL. The calibration curves showed good linearity;  $r = 0.9959$ ,  $0.9928$ ,  $0.9954$ , and  $0.9974$  in the concentration

range

of 1-500 ng/mL and  $r = 0.9959$  in the range of 2-500 ng/mL resp. The validation results obtained for all the five solutes indicated that the present method complied with internationally accepted criteria and ensured quant. detns. of appropriate accuracy and reproducibility. After small modification and validation, the developed method was applied for

determination of

girisopam and its metabolites in rat plasma in a toxicol. study (in vivo rat liver micronucleus test) at 600 and 1200 mg/kg dose levels. The LLOQ was 10 ng/mL for girisopam and 50 ng/mL for metabolites in rat plasma. The validation parameters for determination of solutes in rat plasma were internationally acceptable. The linearity was good for all components ( $r \geq 0.992$ ) in the wide calibration range of 10-18000 ng/mL and 50-6000 ng/mL in the case of girisopam and its metabolites resp. The absorption of girisopam was verified by the measuring of girisopam and its metabolite (7-demethyl-G) in the plasma samples of toxicol. study (micronucleus test).

IT 142790-94-1 142839-39-2 142839-40-5

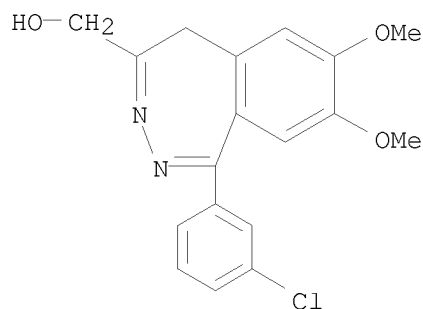
RL: ANT (Analyte); ANST (Analytical study)

(determination of girisopam (2,3-benzodiazepine compound) and its four metabolites in human and rat plasma by gradient RP-HPLC method)

RN 142790-94-1 CAPLUS

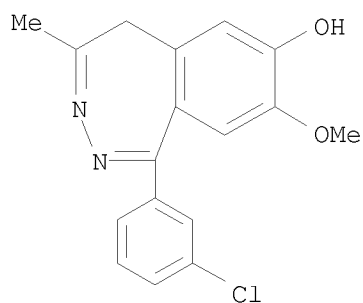
10/567,598

CN 5H-2,3-Benzodiazepine-4-methanol, 1-(3-chlorophenyl)-7,8-dimethoxy- (CA INDEX NAME)



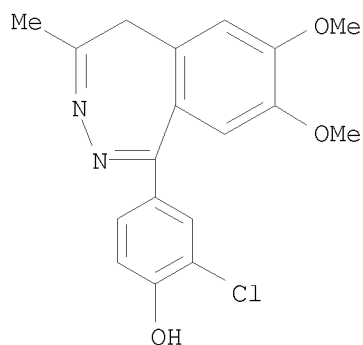
RN 142839-39-2 CAPLUS

CN 5H-2,3-Benzodiazepin-7-ol, 1-(3-chlorophenyl)-8-methoxy-4-methyl- (CA INDEX NAME)



RN 142839-40-5 CAPLUS

CN Phenol, 2-chloro-4-(7,8-dimethoxy-4-methyl-5H-2,3-benzodiazepin-1-yl)- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS

L22 ANSWER 22 OF 40 CAPLUS COPYRIGHT 2010 ACS on STN

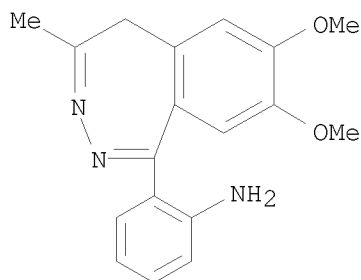
ACCESSION NUMBER: 1998:61237 CAPLUS  
DOCUMENT NUMBER: 128:172196  
ORIGINAL REFERENCE NO.: 128:33853a,33856a  
TITLE: Derivatization reactions for the spectrofluorimetric determination of 1-(amino-substituted-phenyl)-5H-2,3-benzodiazepines  
AUTHOR(S): Kasa, Imre  
CORPORATE SOURCE: Department of Physical Chemistry, Technical University of Budapest, Budapest, H-1521, Hung.  
SOURCE: ACH - Models in Chemistry (1997), 134(2-3), 233-240  
CODEN: ACMCEI; ISSN: 1217-8969  
PUBLISHER: Akademiai Kiado  
DOCUMENT TYPE: Journal  
LANGUAGE: English

AB Fluorescent derivatization reactions of five 1-(amino-substituted-phenyl)-5H-2,3-benzodiazepines were investigated. In the first ("A") reaction the 2,3-benzodiazepines can transform into 2-naphthol derivs. having intensive fluorescence in highly acidic medium by hydrolysis and subsequent aldol condensation in basic medium (with the exception of 1-(2-aminophenyl)-4-methyl-7,8-dimethoxy-5H-2,3-benzodiazepine). In the second ("B") reaction the 2-naphthol derivs. are formed directly in dimethylsulfoxide (DMSO) solution in the presence of alkali-hydroxide by heating. With the use of these reactions sensitive simple and rapid spectrofluorimetric anal. methods were developed for the quant. determination of five 2,3-benzodiazepine derivs.

IT 102771-20-0 203068-01-3  
RL: ANT (Analyte); ANST (Analytical study)  
(determination of benzodiazepines by spectrofluorimetry)

RN 102771-20-0 CAPLUS

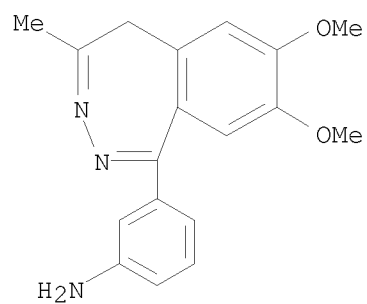
CN Benzenamine, 2-(7,8-dimethoxy-4-methyl-5H-2,3-benzodiazepin-1-yl)- (CA INDEX NAME)



RN 203068-01-3 CAPLUS

CN Benzenamine, 3-(7,8-dimethoxy-4-methyl-5H-2,3-benzodiazepin-1-yl)- (CA INDEX NAME)

10/567,598



REFERENCE COUNT:

16

THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L22 ANSWER 23 OF 40 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1998:38908 CAPLUS

DOCUMENT NUMBER: 128:61530

ORIGINAL REFERENCE NO.: 128:12055a,12058a

TITLE: Preparation of 2,3-benzodiazepine derivatives as noncompetitive AMPA antagonists.

INVENTOR(S): Ling, Istvan; Abraham, Gizella; Berzsenyi, Pal; Tarnawa, Istvan; Solyom, Sandor; Andrasi, Ferenc; Hamori, Tamas; Csuzdi, Emese; Horvath, Katalin; Gal, Melinda; Moravcsik, Imre; Szoelloesy, Marta

PATENT ASSIGNEE(S): Egis Gyogyszergyar Rt, Hung.

SOURCE: Brit. UK Pat. Appl., 53 pp.

CODEN: BAXXDU

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

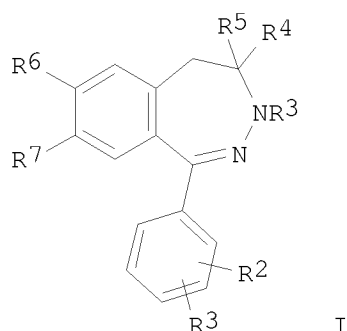
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 2311779	A	19971008	GB 1997-6945	19970404
GB 2311779	B	19990714		
AU 9716362	A	19971009	AU 1997-16362	19970318
ZA 9702746	A	19981009	ZA 1997-2746	19970401
CZ 291520	B6	20030312	CZ 1997-978	19970401
SK 282258	B6	20011203	SK 1997-423	19970402
FR 2747121	A1	19971010	FR 1997-4063	19970403
FR 2747121	B1	19980821		
BE 1010962	A4	19990302	BE 1997-308	19970403
NZ 314517	A	20000327	NZ 1997-314517	19970403
HR 9700186	B1	20020630	HR 1997-186	19970403
AU 9717734	A	19971009	AU 1997-17734	19970404
AU 720745	B2	20000608		
EP 802195	A2	19971022	EP 1997-105591	19970404
EP 802195	A3	19971203		
EP 802195	B1	20031119		
R: AT, CH, DE, LI, NL, SE, SI, LT, LV, FI				
JP 10036356	A	19980210	JP 1997-86800	19970404
JP 3131767	B2	20010205		
ES 2127699	A1	19990416	ES 1997-703	19970404
ES 2127699	B1	20000116		
AT 254608	T	20031215	AT 1997-105591	19970404
PRIORITY APPLN. INFO.:			HU 1996-871	A 19960404
			US 1997-832777	A 19970404

OTHER SOURCE(S): MARPAT 128:61530

GI





AB Title compds. [I; R1, R2 = H, halo, alkyl, alkoxy, NO<sub>2</sub>, CF<sub>3</sub>, NR<sub>8</sub>R<sub>9</sub>; R<sub>8</sub>, R<sub>9</sub> = H, alkyl, COR<sub>10</sub>; R<sub>10</sub>, R<sub>13</sub> = H, (substituted) alkyl aryl, alkoxy, cycloalkyl, alkenyl, cycloalkoxy, NR<sub>11</sub>R<sub>12</sub>; R<sub>11</sub>, R<sub>12</sub> = H, alkyl, cycloalkyl, aryl; R<sub>3</sub> = alkyl, cycloalkyl, COR<sub>13</sub>; R<sub>4</sub>, R<sub>5</sub> = H, alkyl; R<sub>6</sub>, R<sub>7</sub> = H, Cl, Br; with the proviso that if 1 of R<sub>6</sub>, R<sub>7</sub> = H, then the other ≠ H], were prepared Thus, 1-(4-aminophenyl)-7,8-dichloro-4-methyl-3-methylcarbamoyl-4,5-dihydro-3H-2,3-benzodiazepine (preparation given) showed IC<sub>50</sub> = 1.2 μM for antagonizing kainic acid induced retinal spreading depression.

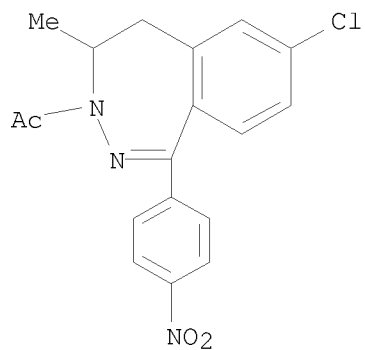
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	200419-12-1P	200419-13-2P	200419-14-3P
	200419-15-4P	200419-16-5P	200419-17-6P
	200419-18-7P	200419-19-8P	200419-20-1P
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	200419-46-1P	200419-48-3P	200419-50-7P
	200419-52-9P	200419-54-1P	200419-55-2P
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	200419-59-6P	200419-60-9P	200419-61-0P
	200419-62-1P	200419-63-2P	

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
(preparation of 2,3-benzodiazepine derivs. as noncompetitive AMPA antagonists)

RN 200419-03-0 CAPLUS

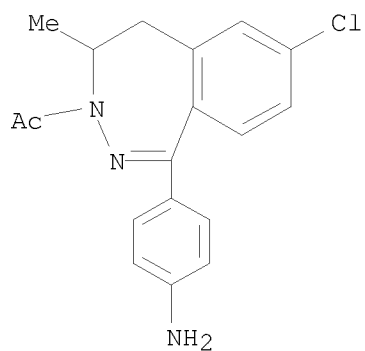
CN Ethanone, 1-[7-chloro-4,5-dihydro-4-methyl-1-(4-nitrophenyl)-3H-2,3-benzodiazepin-3-yl]- (CA INDEX NAME)

10/567,598



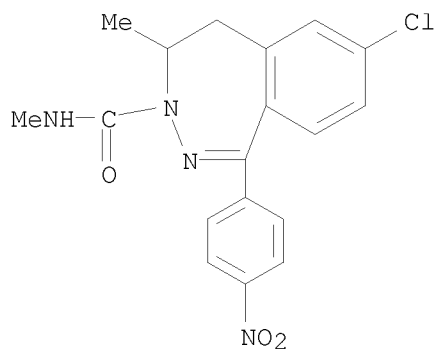
RN 200419-04-1 CAPLUS

CN Ethanone, 1-[1-(4-aminophenyl)-7-chloro-4,5-dihydro-4-methyl-3H-2,3-benzodiazepin-3-yl]- (CA INDEX NAME)



RN 200419-05-2 CAPLUS

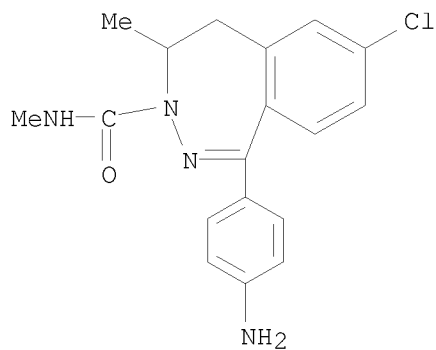
CN 3H-2,3-Benzodiazepine-3-carboxamide, 7-chloro-4,5-dihydro-N,4-dimethyl-1-(4-nitrophenyl)- (CA INDEX NAME)



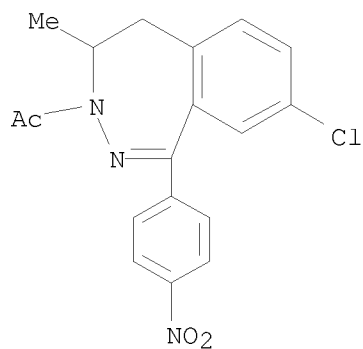
RN 200419-06-3 CAPLUS

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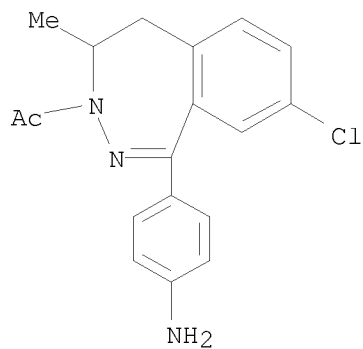
10/567,598



RN 200419-07-4 CAPLUS  
CN Ethanone, 1-[8-chloro-4,5-dihydro-4-methyl-1-(4-nitrophenyl)-3H-2,3-benzodiazepin-3-yl]- (CA INDEX NAME)

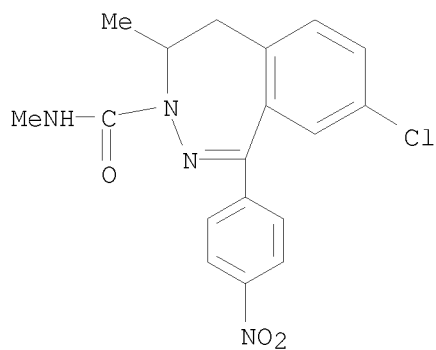


RN 200419-08-5 CAPLUS  
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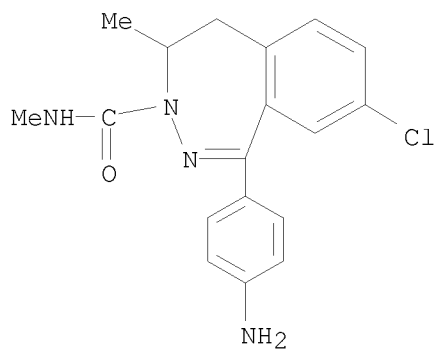


RN 200419-09-6 CAPLUS  
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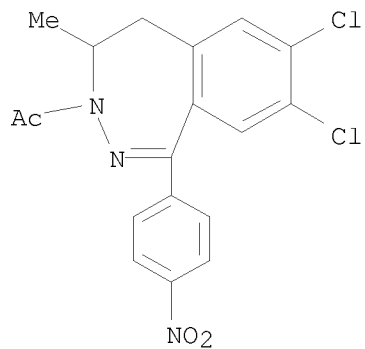
10/567,598



RN 200419-10-9 CAPLUS  
CN 3H-2,3-Benzodiazepine-3-carboxamide,  
1-(4-aminophenyl)-8-chloro-4,5-dihydro-N,4-dimethyl- (CA INDEX NAME)

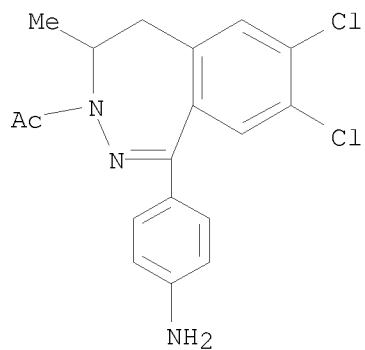


RN 200419-11-0 CAPLUS  
CN Ethanone, 1-[7,8-dichloro-4,5-dihydro-4-methyl-1-(4-nitrophenyl)-3H-2,3-benzodiazepin-3-yl]- (CA INDEX NAME)

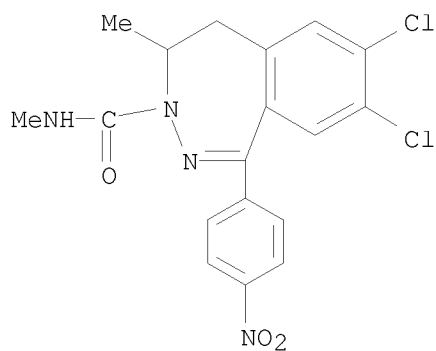


RN 200419-12-1 CAPLUS  
CN Ethanone, 1-[1-(4-aminophenyl)-7,8-dichloro-4,5-dihydro-4-methyl-3H-2,3-benzodiazepin-3-yl]- (CA INDEX NAME)

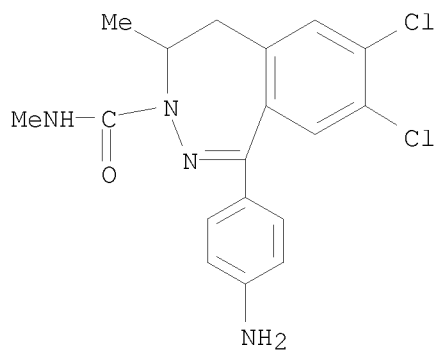
10/567,598



RN 200419-13-2 CAPLUS  
CN 3H-2,3-Benzodiazepine-3-carboxamide,  
7,8-dichloro-4,5-dihydro-N,4-dimethyl-1-(4-nitrophenyl)- (CA INDEX NAME)

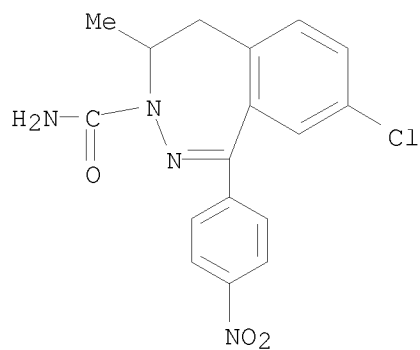


RN 200419-14-3 CAPLUS  
CN 3H-2,3-Benzodiazepine-3-carboxamide,  
1-(4-aminophenyl)-7,8-dichloro-4,5-dihydro-N,4-dimethyl- (CA INDEX NAME)

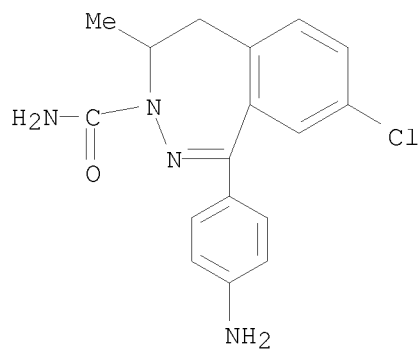


RN 200419-15-4 CAPLUS  
CN 3H-2,3-Benzodiazepine-3-carboxamide,  
8-chloro-4,5-dihydro-4-methyl-1-(4-nitrophenyl)- (CA INDEX NAME)

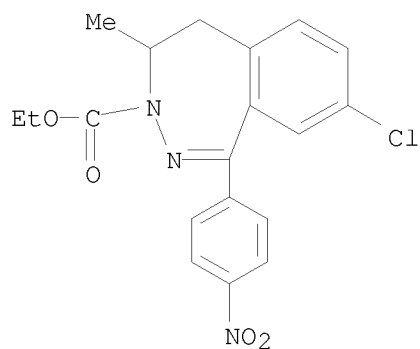
10/567,598



RN 200419-16-5 CAPLUS  
CN 3H-2,3-Benzodiazepine-3-carboxamide,  
1-(4-aminophenyl)-8-chloro-4,5-dihydro-4-methyl- (CA INDEX NAME)



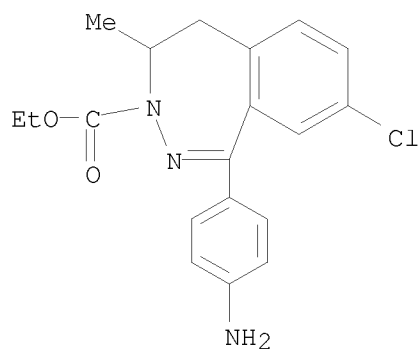
RN 200419-17-6 CAPLUS  
CN 3H-2,3-Benzodiazepine-3-carboxylic acid,  
8-chloro-4,5-dihydro-4-methyl-1-(4-nitrophenyl)-, ethyl ester (CA INDEX NAME)



RN 200419-18-7 CAPLUS  
CN 3H-2,3-Benzodiazepine-3-carboxylic acid,  
1-(4-aminophenyl)-8-chloro-4,5-dihydro-4-methyl-, ethyl ester (CA INDEX NAME)

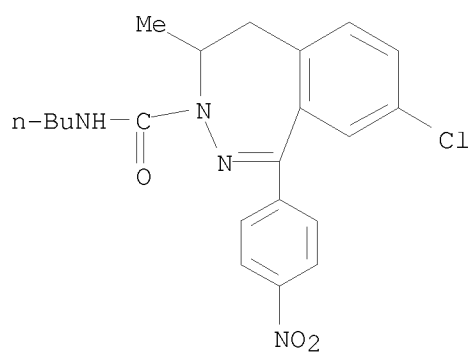
10/567,598

NAME)



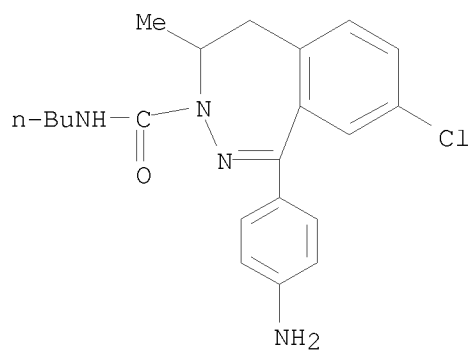
RN 200419-19-8 CAPLUS

CN 3H-2,3-Benzodiazepine-3-carboxamide,  
N-butyl-8-chloro-4,5-dihydro-4-methyl-1-(4-nitrophenyl)- (CA INDEX NAME)



RN 200419-20-1 CAPLUS

CN 3H-2,3-Benzodiazepine-3-carboxamide,  
1-(4-aminophenyl)-N-butyl-8-chloro-4,5-dihydro-4-methyl- (CA INDEX NAME)

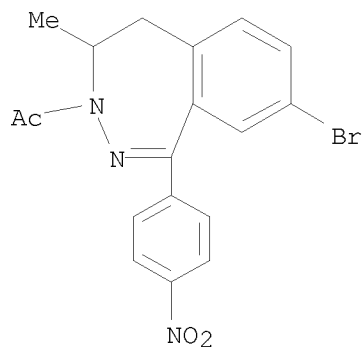


RN 200419-21-2 CAPLUS

CN Ethanone, 1-[8-bromo-4,5-dihydro-4-methyl-1-(4-nitrophenyl)-3H-2,3-

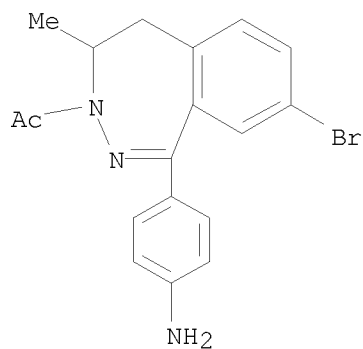
10/567,598

benzodiazepin-3-yl]- (CA INDEX NAME)



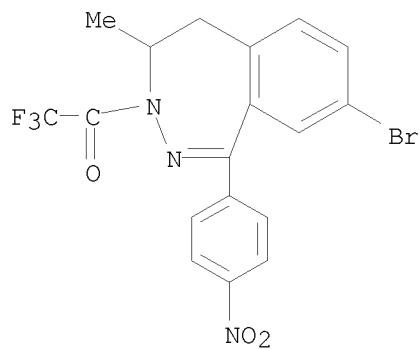
RN 200419-22-3 CAPLUS

CN Ethanone, 1-[1-(4-aminophenyl)-8-bromo-4,5-dihydro-4-methyl-3H-2,3-benzodiazepin-3-yl]- (CA INDEX NAME)



RN 200419-23-4 CAPLUS

CN Ethanone, 1-[8-bromo-4,5-dihydro-4-methyl-1-(4-nitrophenyl)-3H-2,3-benzodiazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)



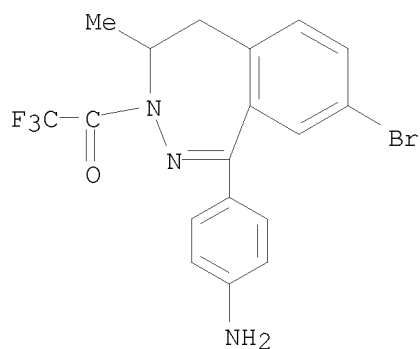
RN 200419-24-5 CAPLUS

CN Ethanone, 1-[1-(4-aminophenyl)-8-bromo-4,5-dihydro-4-methyl-3H-2,3-



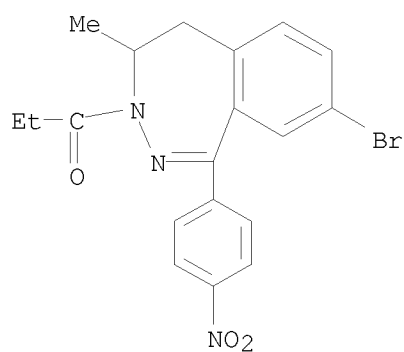
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benzodiazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)



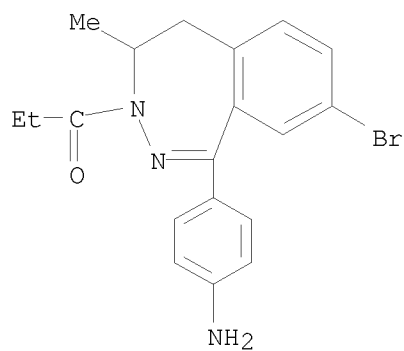
RN 200419-25-6 CAPLUS

CN 1-Propanone, 1-[8-bromo-4,5-dihydro-4-methyl-1-(4-nitrophenyl)-3H-2,3-benzodiazepin-3-yl]- (CA INDEX NAME)



RN 200419-26-7 CAPLUS

CN 1-Propanone, 1-[1-(4-aminophenyl)-8-bromo-4,5-dihydro-4-methyl-3H-2,3-benzodiazepin-3-yl]- (CA INDEX NAME)

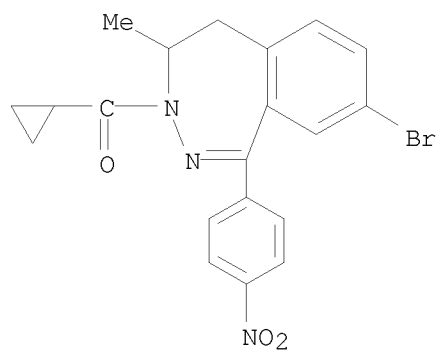


RN 200419-27-8 CAPLUS

CN Methanone, [8-bromo-4,5-dihydro-4-methyl-1-(4-nitrophenyl)-3H-2,3-

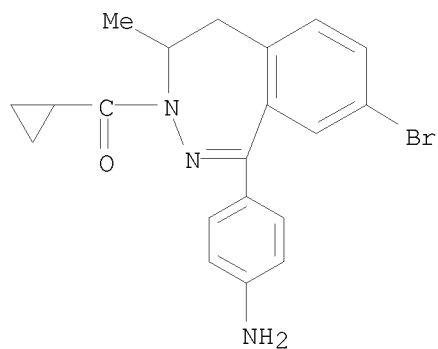
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benzodiazepin-3-yl]cyclopropyl- (CA INDEX NAME)



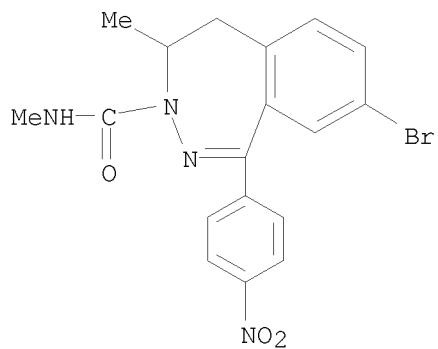
RN 200419-28-9 CAPLUS

CN Methanone, [1-(4-aminophenyl)-8-bromo-4,5-dihydro-4-methyl-3H-2,3-benzodiazepin-3-yl]cyclopropyl- (CA INDEX NAME)



RN 200419-29-0 CAPLUS

CN 3H-2,3-Benzodiazepine-3-carboxamide, 8-bromo-4,5-dihydro-N,4-dimethyl-1-(4-nitrophenyl)- (CA INDEX NAME)

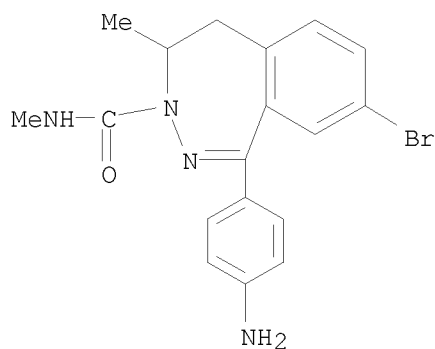


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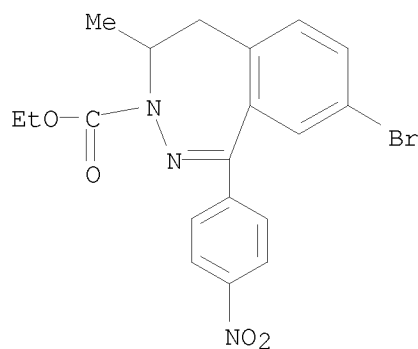
10/567,598

1-(4-aminophenyl)-8-bromo-4,5-dihydro-N,4-dimethyl- (CA INDEX NAME)



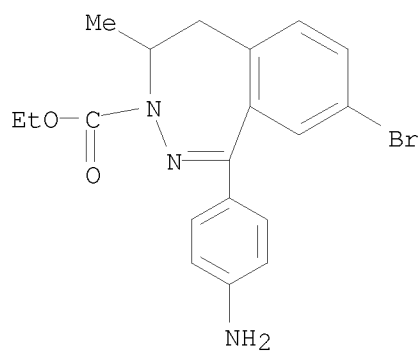
RN 200419-32-5 CAPLUS

CN 3H-2,3-Benzodiazepine-3-carboxylic acid,  
8-bromo-4,5-dihydro-4-methyl-1-(4-nitrophenyl)-, ethyl ester (CA INDEX NAME)



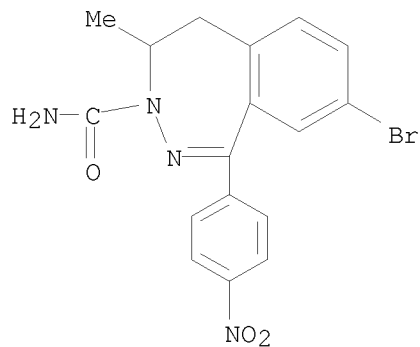
RN 200419-34-7 CAPLUS

CN 3H-2,3-Benzodiazepine-3-carboxylic acid,  
1-(4-aminophenyl)-8-bromo-4,5-dihydro-4-methyl-, ethyl ester (CA INDEX NAME)

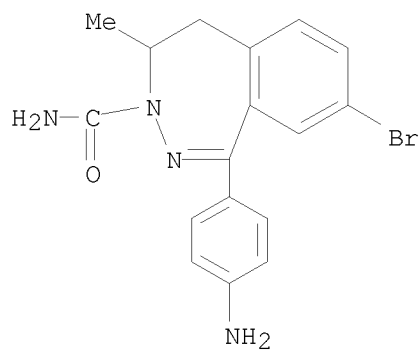


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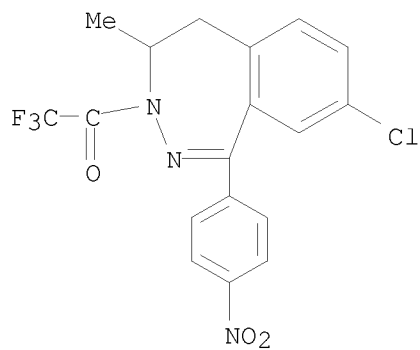
RN 200419-36-9 CAPLUS  
CN 3H-2,3-Benzodiazepine-3-carboxamide,  
8-bromo-4,5-dihydro-4-methyl-1-(4-nitrophenyl)- (CA INDEX NAME)



RN 200419-37-0 CAPLUS  
CN 3H-2,3-Benzodiazepine-3-carboxamide,  
1-(4-aminophenyl)-8-bromo-4,5-dihydro-4-methyl- (CA INDEX NAME)



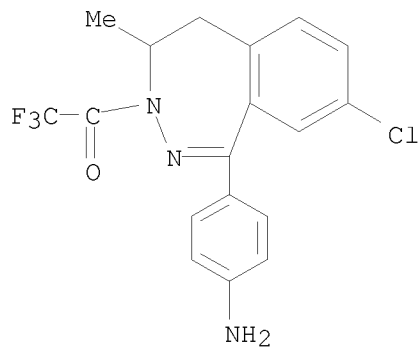
RN 200419-38-1 CAPLUS  
CN Ethanone, 1-[8-chloro-4,5-dihydro-4-methyl-1-(4-nitrophenyl)-3H-2,3-benzodiazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)



10/567,598

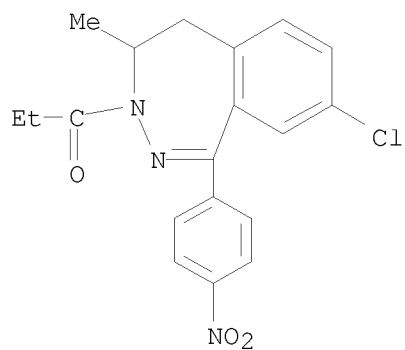
RN 200419-40-5 CAPLUS

CN Ethanone, 1-[1-(4-aminophenyl)-8-chloro-4,5-dihydro-4-methyl-3H-2,3-benzodiazepin-3-yl]-2,2,2-trifluoro- (CA INDEX NAME)



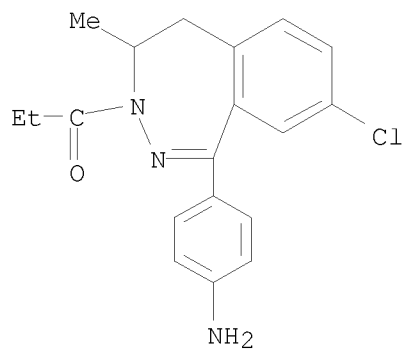
RN 200419-42-7 CAPLUS

CN 1-Propanone, 1-[8-chloro-4,5-dihydro-4-methyl-1-(4-nitrophenyl)-3H-2,3-benzodiazepin-3-yl]- (CA INDEX NAME)



RN 200419-44-9 CAPLUS

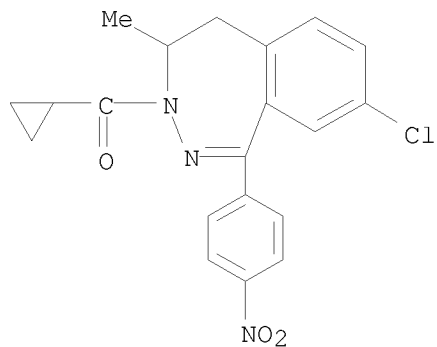
CN 1-Propanone, 1-[1-(4-aminophenyl)-8-chloro-4,5-dihydro-4-methyl-3H-2,3-benzodiazepin-3-yl]- (CA INDEX NAME)



10/567,598

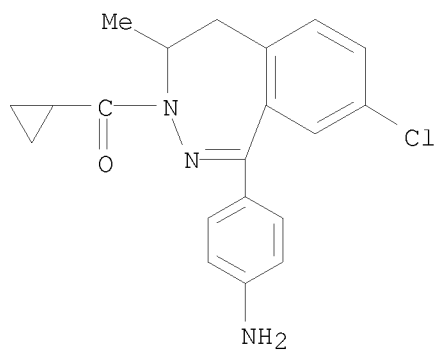
RN 200419-46-1 CAPLUS

CN Methanone, [8-chloro-4,5-dihydro-4-methyl-1-(4-nitrophenyl)-3H-2,3-benzodiazepin-3-yl]cyclopropyl- (CA INDEX NAME)



RN 200419-48-3 CAPLUS

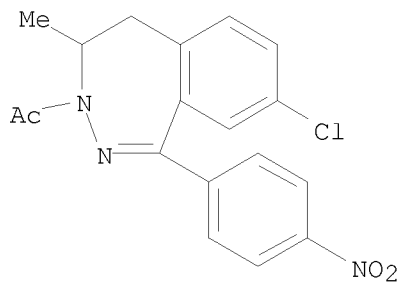
CN Methanone, [1-(4-aminophenyl)-8-chloro-4,5-dihydro-4-methyl-3H-2,3-benzodiazepin-3-yl]cyclopropyl- (CA INDEX NAME)



RN 200419-50-7 CAPLUS

CN Ethanone, 1-[8-chloro-4,5-dihydro-4-methyl-1-(4-nitrophenyl)-3H-2,3-benzodiazepin-3-yl]-, (+)- (CA INDEX NAME)

Rotation (+).

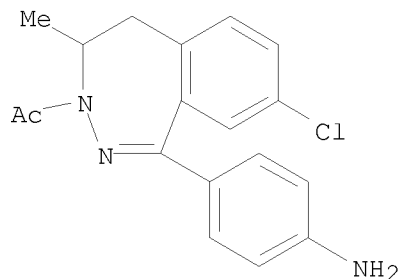


RN 200419-52-9 CAPLUS

10/567,598

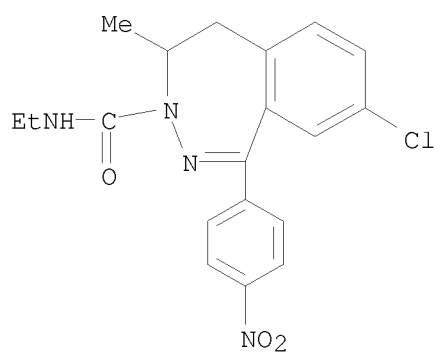
CN Ethanone, 1-[1-(4-aminophenyl)-8-chloro-4,5-dihydro-4-methyl-3H-2,3-benzodiazepin-3-yl]-, (-)- (CA INDEX NAME)

Rotation (-).



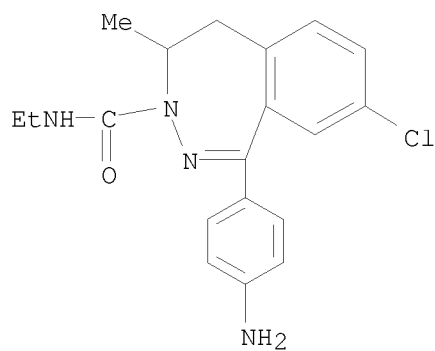
RN 200419-54-1 CAPLUS

CN 3H-2,3-Benzodiazepine-3-carboxamide, 8-chloro-N-ethyl-4,5-dihydro-4-methyl-1-(4-nitrophenyl)- (CA INDEX NAME)



RN 200419-55-2 CAPLUS

CN 3H-2,3-Benzodiazepine-3-carboxamide, 1-(4-aminophenyl)-8-chloro-N-ethyl-4,5-dihydro-4-methyl- (CA INDEX NAME)

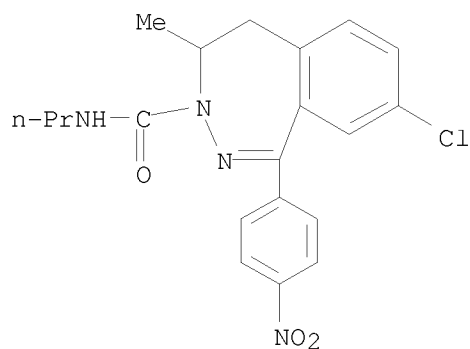


RN 200419-56-3 CAPLUS

CN 3H-2,3-Benzodiazepine-3-carboxamide,

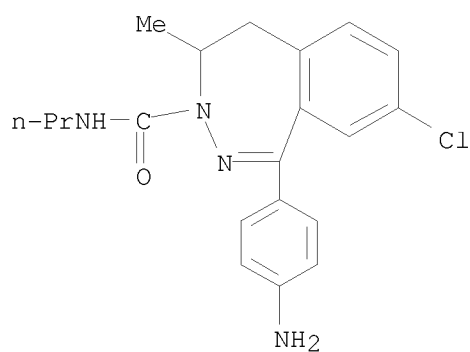
10/567,598

8-chloro-4,5-dihydro-4-methyl-1-(4-nitrophenyl)-N-propyl- (CA INDEX NAME)



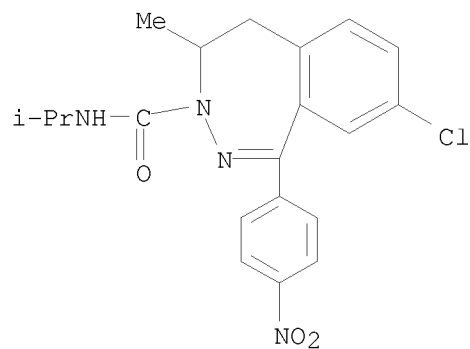
RN 200419-57-4 CAPLUS

CN 3H-2,3-Benzodiazepine-3-carboxamide,  
1-(4-aminophenyl)-8-chloro-4,5-dihydro-4-methyl-N-propyl- (CA INDEX NAME)



RN 200419-58-5 CAPLUS

CN 3H-2,3-Benzodiazepine-3-carboxamide,  
8-chloro-4,5-dihydro-4-methyl-N-(1-methylethyl)-1-(4-nitrophenyl)- (CA  
INDEX NAME)

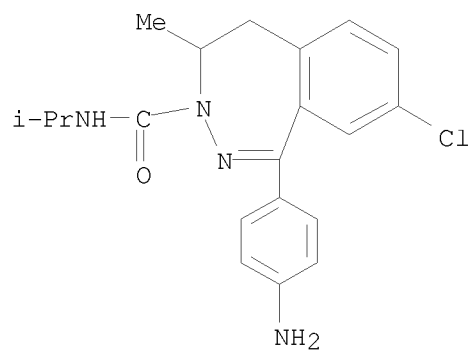


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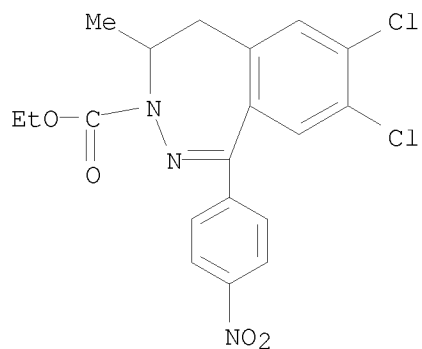


10/567,598

CN 3H-2,3-Benzodiazepine-3-carboxamide,  
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INDEX NAME)

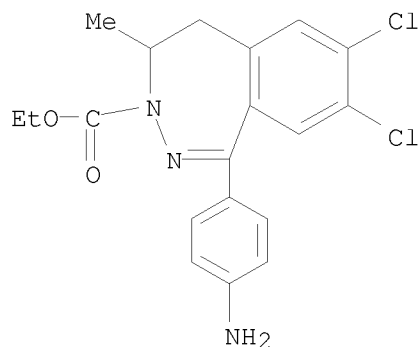


RN 200419-60-9 CAPLUS  
CN 3H-2,3-Benzodiazepine-3-carboxylic acid,  
7,8-dichloro-4,5-dihydro-4-methyl-1-(4-nitrophenyl)-, ethyl ester (CA  
INDEX NAME)



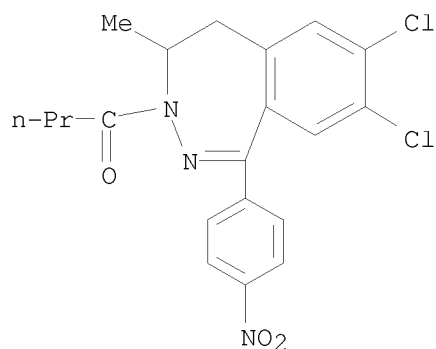
RN 200419-61-0 CAPLUS  
CN 3H-2,3-Benzodiazepine-3-carboxylic acid,  
1-(4-aminophenyl)-7,8-dichloro-4,5-dihydro-4-methyl-, ethyl ester (CA  
INDEX NAME)

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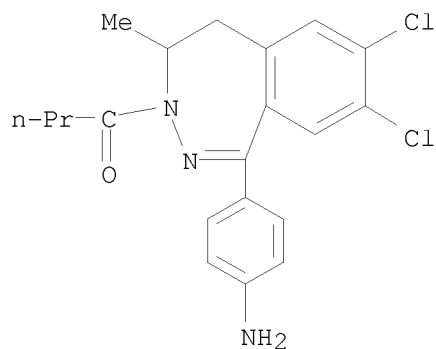
RN 200419-62-1 CAPLUS

CN 1-Butanone, 1-[7,8-dichloro-4,5-dihydro-4-methyl-1-(4-nitrophenyl)-3H-2,3-benzodiazepin-3-yl]- (CA INDEX NAME)



RN 200419-63-2 CAPLUS

CN 1-Butanone, 1-[1-(4-aminophenyl)-7,8-dichloro-4,5-dihydro-4-methyl-3H-2,3-benzodiazepin-3-yl]- (CA INDEX NAME)



IT 200419-67-6P 200419-71-2P 200419-73-4P

200419-84-7P 200419-87-0P

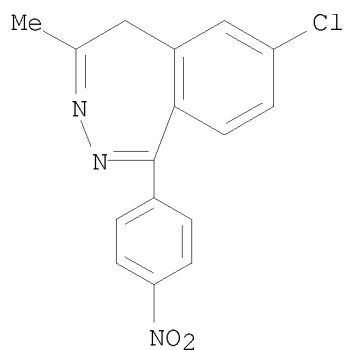
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

10/567,598

(preparation of 2,3-benzodiazepine derivs. as noncompetitive AMPA antagonists)

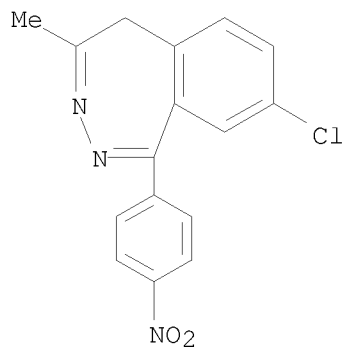
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CN 5H-2,3-Benzodiazepine, 7-chloro-4-methyl-1-(4-nitrophenyl)- (CA INDEX NAME)



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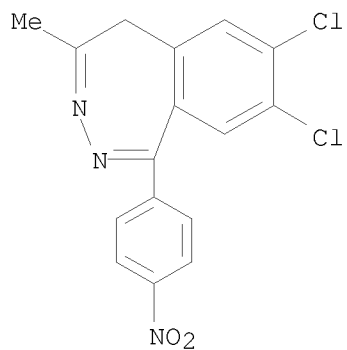
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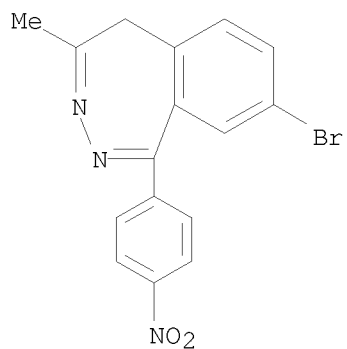
RN 200419-73-4 CAPLUS

CN 5H-2,3-Benzodiazepine, 7,8-dichloro-4-methyl-1-(4-nitrophenyl)- (CA INDEX NAME)

10/567,598

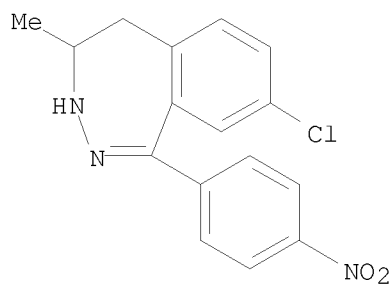


RN 200419-84-7 CAPLUS  
CN 5H-2,3-Benzodiazepine, 8-bromo-4-methyl-1-(4-nitrophenyl)- (CA INDEX NAME)



RN 200419-87-0 CAPLUS  
CN 3H-2,3-Benzodiazepine, 8-chloro-4,5-dihydro-4-methyl-1-(4-nitrophenyl)-, (+)- (CA INDEX NAME)

Rotation (+).



OS.CITING REF COUNT: 9 THERE ARE 9 CAPLUS RECORDS THAT CITE THIS RECORD (10 CITINGS)

L22 ANSWER 24 OF 40 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1997:533626 CAPLUS

DOCUMENT NUMBER: 127:205596

ORIGINAL REFERENCE NO.: 127:39971a,39974a

TITLE: 2,3-benzodiazepine derivatives and their use as  
AMPA-receptor inhibitorsINVENTOR(S): Ling, Istvan; Abraham, Gizella; Solyom, Sandor;  
Hamori, Tamas; Tarnawa, Istvan; Berzsenyi, Pal;  
Andrasi, Ferenc; Csuzdi, Emese; Szollosy, Marta;  
Simay, Antal; Pallagi, Istvan; Horvath, Katalin

PATENT ASSIGNEE(S): Schering A.-G., Germany

SOURCE: PCT Int. Appl., 30 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

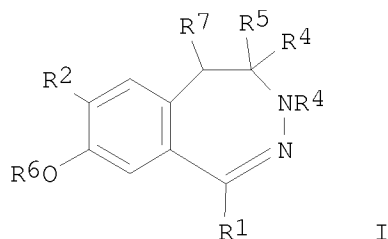
PATENT INFORMATION:

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RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
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CA 2244777	A1	19970807	CA 1997-2244777	19970129
CA 2244777	C	20061107		
AU 9722859	A	19970822	AU 1997-22859	19970129
EP 888313	A1	19990107	EP 1997-915277	19970129
EP 888313	B1	20020417		
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HU 9901473	A2	19990928	HU 1999-1473	19970129
HU 9901473	A3	20010730		
JP 2000505793	T	20000516	JP 1997-527247	19970129
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ZA 9700850	A	19970804	ZA 1997-850	19970131
US 6200970	B1	20010313	US 1998-125008	19981105
PRIORITY APPLN. INFO.:			DE 1996-19604920	A 19960201
			WO 1997-DE225	W 19970129

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 127:205596; MARPAT 127:205596

GI



AB Title compds. I [R1 = (un)substituted Ph; R2 = H, halogen; R3 = H, acyl, alkyl, cycloalkyl; R4 = (un)substituted alkyl; R5, R7 = H; R3R5, R5R7 = bond; R4R5 = O; R6 = alkyl] were prepared Owing to their non-competitive inhibiting of the AMPA receptors, these compds. can be used as medicaments for treating diseases of the central nervous system. Thus, I [R1 = 4-H2NC6H4, R2, R3, R7 = H, R4R5 = O, R6 = Me] was prepared from 3,4-Br(MeO)C6H3CH2CO2Me by reduction to the alc., reaction with 4-O2NC6H4CHO, Jones oxidation of the resulting isochroman, cyclization with N2H4, and reduction of the nitro group.

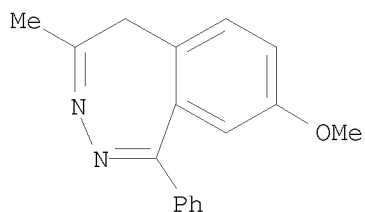
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RL: PRPH (Prophetic)

(2,3-benzodiazepine derivatives and their use as AMPA-receptor inhibitors)

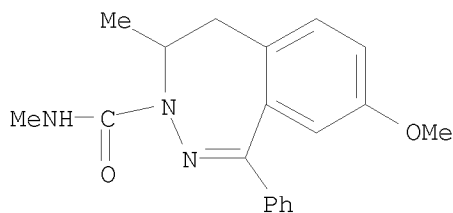
RN 1230970-99-6 CAPLUS

CN 5H-2,3-Benzodiazepine, 8-methoxy-4-methyl-1-phenyl- (CA INDEX NAME)



RN 1230971-00-2 CAPLUS

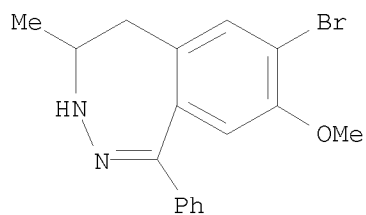
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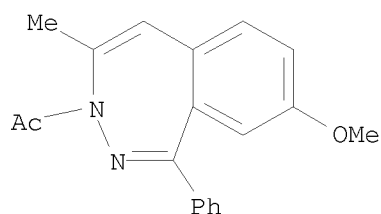
10/567,598

CN 3H-2,3-Benzodiazepine, 7-bromo-4,5-dihydro-8-methoxy-4-methyl-1-phenyl-  
(CA INDEX NAME)



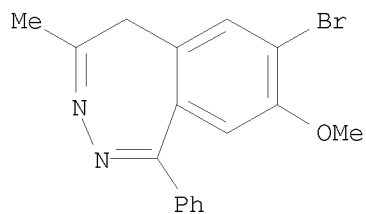
RN 1230971-03-5 CAPLUS

CN Ethanone, 1-(8-methoxy-4-methyl-1-phenyl-3H-2,3-benzodiazepin-3-yl)- (CA INDEX NAME)



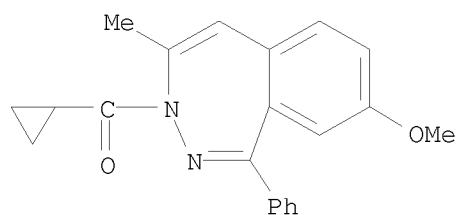
RN 1230971-05-7 CAPLUS

CN 5H-2,3-Benzodiazepine, 7-bromo-8-methoxy-4-methyl-1-phenyl- (CA INDEX NAME)



RN 1230971-06-8 CAPLUS

CN Methanone, cyclopropyl (8-methoxy-4-methyl-1-phenyl-3H-2,3-benzodiazepin-3-yl)- (CA INDEX NAME)

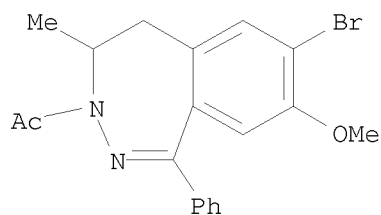


RN 1230971-07-9 CAPLUS

CN Ethanone, 1-(7-bromo-4,5-dihydro-8-methoxy-4-methyl-1-phenyl-3H-2,3-

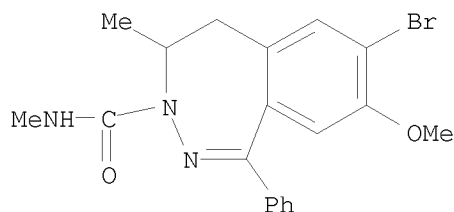
10/567,598

benzodiazepin-3-yl)- (CA INDEX NAME)



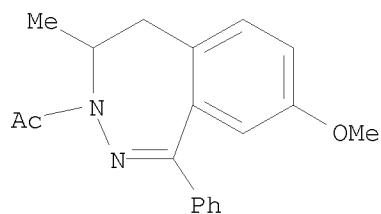
RN 1230971-08-0 CAPLUS

CN 3H-2,3-Benzodiazepine-3-carboxamide,  
7-bromo-4,5-dihydro-8-methoxy-N,4-dimethyl-1-phenyl- (CA INDEX NAME)



RN 1230971-09-1 CAPLUS

CN Ethanone, 1-(4,5-dihydro-8-methoxy-4-methyl-1-phenyl-3H-2,3-benzodiazepin-3-yl)- (CA INDEX NAME)



IT 194671-81-3P 194671-84-6P 194671-86-8P

194671-90-4P 194672-01-0P 194672-05-4P

194672-07-6P 194672-11-2P 194672-15-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

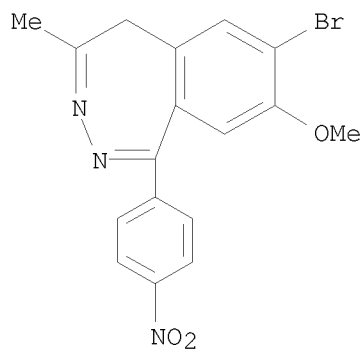
(preparation of 2,3-benzodiazepines as AMPA receptor inhibitors)

RN 194671-81-3 CAPLUS

CN 5H-2,3-Benzodiazepine, 7-bromo-8-methoxy-4-methyl-1-(4-nitrophenyl)- (CA INDEX NAME)

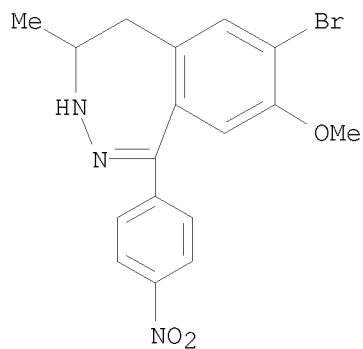


10/567,598



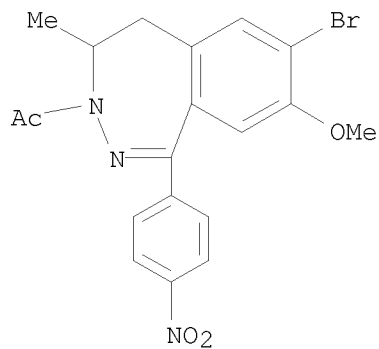
RN 194671-84-6 CAPLUS

CN 3H-2,3-Benzodiazepine, 7-bromo-4,5-dihydro-8-methoxy-4-methyl-1-(4-nitrophenyl)- (CA INDEX NAME)



RN 194671-86-8 CAPLUS

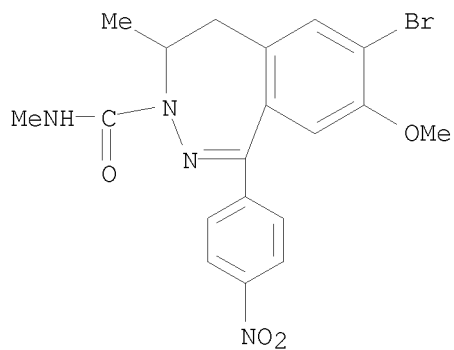
CN Ethanone, 1-[7-bromo-4,5-dihydro-8-methoxy-4-methyl-1-(4-nitrophenyl)-3H-2,3-benzodiazepin-3-yl]- (CA INDEX NAME)



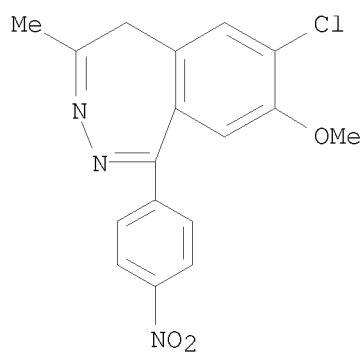
RN 194671-90-4 CAPLUS

CN 3H-2,3-Benzodiazepine-3-carboxamide, 7-bromo-4,5-dihydro-8-methoxy-N,4-dimethyl-1-(4-nitrophenyl)- (CA INDEX NAME)

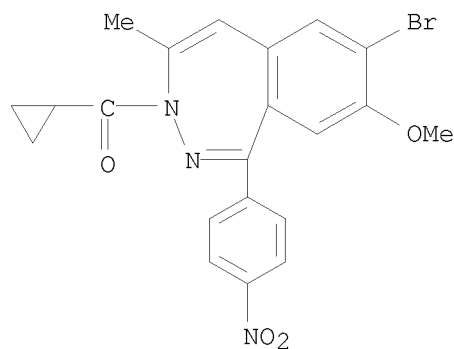
10/567,598



RN 194672-01-0 CAPLUS  
CN 5H-2,3-Benzodiazepine, 7-chloro-8-methoxy-4-methyl-1-(4-nitrophenyl)- (CA INDEX NAME)

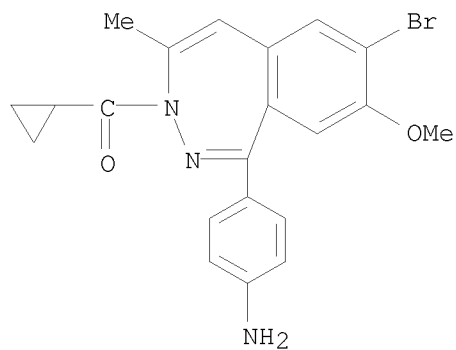


RN 194672-05-4 CAPLUS  
CN Methanone, [7-bromo-8-methoxy-4-methyl-1-(4-nitrophenyl)-3H-2,3-benzodiazepin-3-yl]cyclopropyl- (CA INDEX NAME)



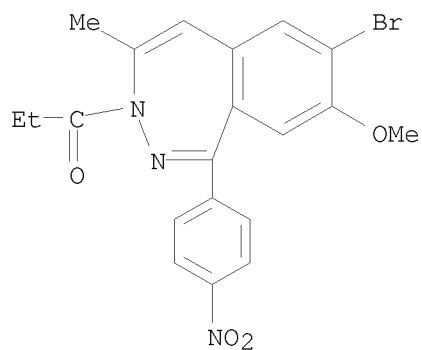
RN 194672-07-6 CAPLUS  
CN Methanone, [1-(4-aminophenyl)-7-bromo-8-methoxy-4-methyl-3H-2,3-benzodiazepin-3-yl]cyclopropyl- (CA INDEX NAME)

10/567,598



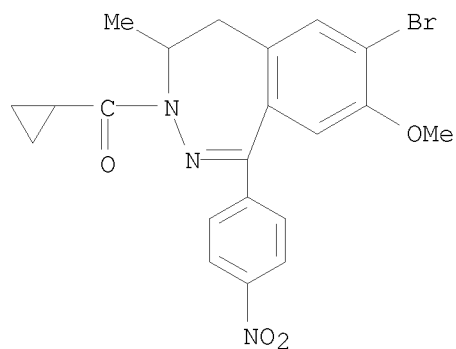
RN 194672-11-2 CAPLUS

CN 1-Propanone, 1-[7-bromo-8-methoxy-4-methyl-1-(4-nitrophenyl)-3H-2,3-benzodiazepin-3-yl]- (CA INDEX NAME)



RN 194672-15-6 CAPLUS

CN Methanone, [7-bromo-4,5-dihydro-8-methoxy-4-methyl-1-(4-nitrophenyl)-3H-2,3-benzodiazepin-3-yl]cyclopropyl- (CA INDEX NAME)



IT	194671-83-5P	194671-88-0P	194671-92-6P
	194672-03-2P	194672-09-8P	194672-13-4P
	194672-16-7P	194672-18-9P	194672-19-0P

10/567,598

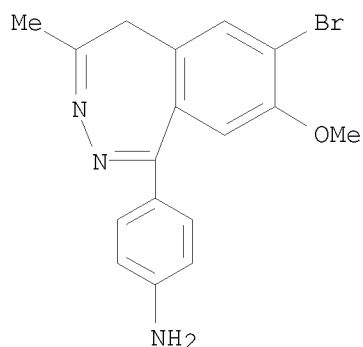
194672-20-3P

RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 2,3-benzodiazepines as AMPA receptor inhibitors)

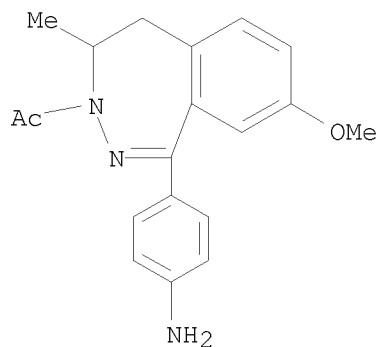
RN 194671-83-5 CAPLUS

CN Benzenamine, 4-(7-bromo-8-methoxy-4-methyl-5H-2,3-benzodiazepin-1-yl)-  
(CA INDEX NAME)



RN 194671-88-0 CAPLUS

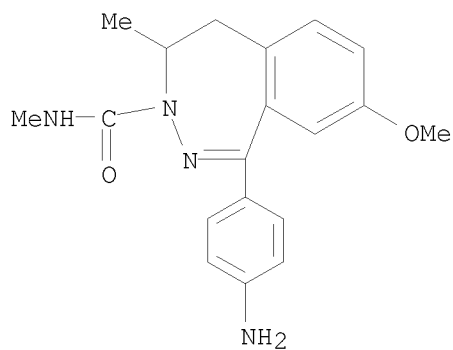
CN Ethanone, 1-[1-(4-aminophenyl)-4,5-dihydro-8-methoxy-4-methyl-3H-2,3-benzodiazepin-3-yl]- (CA INDEX NAME)



RN 194671-92-6 CAPLUS

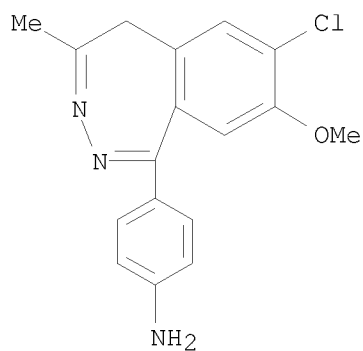
CN 3H-2,3-Benzodiazepine-3-carboxamide,  
1-(4-aminophenyl)-4,5-dihydro-8-methoxy-N,4-dimethyl- (CA INDEX NAME)

10/567,598



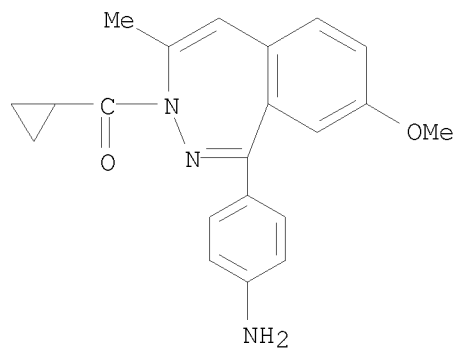
RN 194672-03-2 CAPLUS

CN Benzenamine, 4-(7-chloro-8-methoxy-4-methyl-5H-2,3-benzodiazepin-1-yl)-  
(CA INDEX NAME)



RN 194672-09-8 CAPLUS

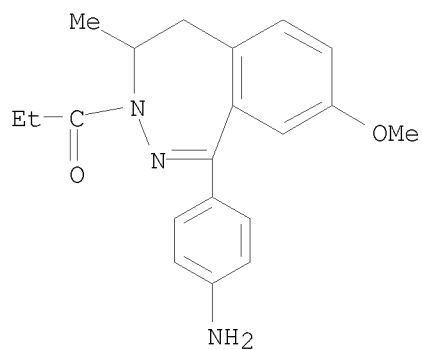
CN Methanone, [1-(4-aminophenyl)-8-methoxy-4-methyl-3H-2,3-benzodiazepin-3-yl]cyclopropyl- (CA INDEX NAME)



RN 194672-13-4 CAPLUS

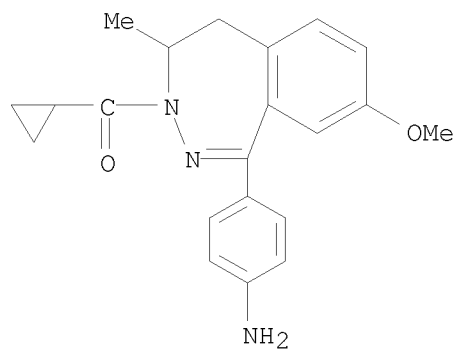
CN 1-Propanone, 1-[1-(4-aminophenyl)-4,5-dihydro-8-methoxy-4-methyl-3H-2,3-benzodiazepin-3-yl]- (CA INDEX NAME)

10/567,598



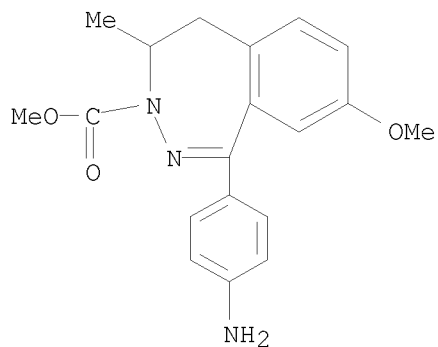
RN 194672-16-7 CAPLUS

CN Methanone, [1-(4-aminophenyl)-4,5-dihydro-8-methoxy-4-methyl-3H-2,3-benzodiazepin-3-yl]cyclopropyl- (CA INDEX NAME)



RN 194672-18-9 CAPLUS

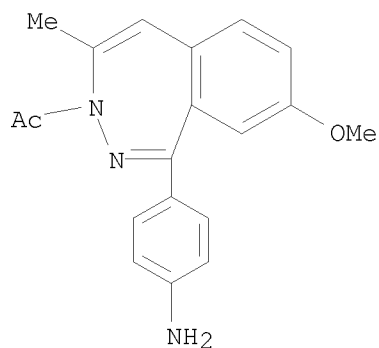
CN 3H-2,3-Benzodiazepine-3-carboxylic acid, 1-(4-aminophenyl)-4,5-dihydro-8-methoxy-4-methyl-, methyl ester (CA INDEX NAME)



RN 194672-19-0 CAPLUS

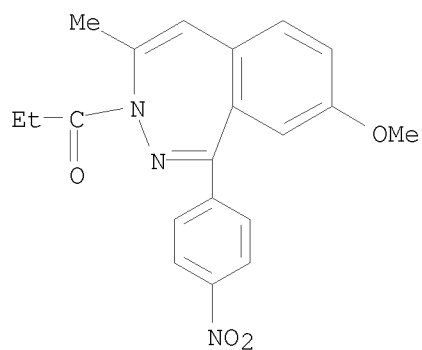
CN Ethanone, 1-[1-(4-aminophenyl)-8-methoxy-4-methyl-3H-2,3-benzodiazepin-3-yl]- (CA INDEX NAME)

10/567,598



RN 194672-20-3 CAPLUS

CN 1-Propanone, 1-[8-methoxy-4-methyl-1-(4-nitrophenyl)-3H-2,3-benzodiazepin-3-yl]- (CA INDEX NAME)



OS.CITING REF COUNT:	14	THERE ARE 14 CAPLUS RECORDS THAT CITE THIS RECORD (16 CITINGS)
REFERENCE COUNT:	4	THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L22 ANSWER 25 OF 40 CAPLUS COPYRIGHT 2010 ACS on STN

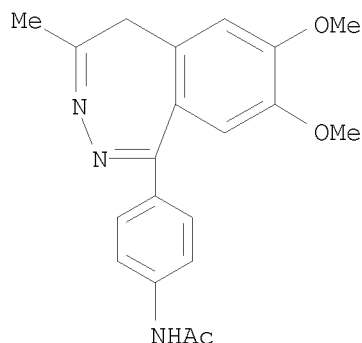
ACCESSION NUMBER: 1997:518908 CAPLUS  
 DOCUMENT NUMBER: 127:171050  
 ORIGINAL REFERENCE NO.: 127:32953a,32956a  
 TITLE: Human pharmacokinetic study of nerisopam and its N-acetyl metabolite  
 AUTHOR(S): Rona, Kalman; Ary, Kornelia; Renczes, Gabor; Gachalyi, Bela; Grezal, Gyula; Drabant, Sandor; Csorgo, Margit; Klebovich, Imre  
 CORPORATE SOURCE: I. Belgyogyaszati Klinika, klinkai Farmakologiai Reszleg, Haynal Imre Egeszsegtudomanyi Egyetem, Budapest, Hung.  
 SOURCE: Acta Pharmaceutica Hungarica (1997), 67(2-3), 65-71  
 CODEN: APHGAO; ISSN: 0001-6659  
 PUBLISHER: Ifjusagi Lap- es Konyvkiado Vallalat  
 DOCUMENT TYPE: Journal  
 LANGUAGE: Hungarian

AB It was established during the human phase I study of nerisopam, a new anxiolytic drug, that nerisopam (EGIS-6775) shows 2-compartment behavior, while its N-acetyl metabolite (EGIS-7649) shows 1-compartment pharmacokinetic behavior. Acetylation of nerisopam is polymorphic, so that volunteers belonging to slow or fast acetylating group show significantly different plasma concns. Observed pharmacokinetic differences are primarily manifested in the absorption phase, and not in the elimination one. Accordingly, slow acetylators have higher nerisopam levels, while fast acetylators possess higher metabolite levels. Elimination phase is practically parallel for both compds. At the same time, significant differences are found in the AUC and Cmax values. Nerisopam is rapidly absorbed, but the N-acetyl metabolite appeared especially rapidly in the blood. Our consideration is that nerisopam undergoes significant "first-pass" metabolism, the extent of which is different between the two acetylator phenotypes.

IT 177034-98-9, Egis-7649  
 RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)  
 (human pharmacokinetic study of nerisopam and its N-acetyl metabolite)

RN 177034-98-9 CAPLUS

CN Acetamide, N-[4-(7,8-dimethoxy-4-methyl-5H-2,3-benzodiazepin-1-yl)phenyl]-  
 (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD  
 (1 CITINGS)



L22 ANSWER 26 OF 40 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1997:518899 CAPLUS

DOCUMENT NUMBER: 127:171049

ORIGINAL REFERENCE NO.: 127:32953a,32956a

TITLE: Pharmacokinetic study of nerisopam and its N-acetyl metabolite in rats

AUTHOR(S): Ary, Kornelia; Rona, Kalman; Renczes, Gabor; Gachalyi,

Bela; Riesz, Tamas; Grezal, Gyula; Es Klebovich, Imre

CORPORATE SOURCE: I. Belgyogyszati Klinika, Klinikai Farmakol. Reszleg,

Haynal Imre Egeszsegtudomanyi Egyetem, Budapest, Hung.

SOURCE: Acta Pharmaceutica Hungarica (1997), 67(2-3), 59-63

CODEN: APHGAO; ISSN: 0001-6659

PUBLISHER: Ifjusagi Lap- es Konyvkiado Vallalat

DOCUMENT TYPE: Journal

LANGUAGE: Hungarian

AB Three doses of nerisopam were administered to rats during a pharmacokinetic study of nerisopam and plasma concns. of nerisopam and its N-acetyl metabolite were determined in parallel by means of a validated SPE-HPLC method developed by the authors. The pharmacokinetics of nerisopam could be described by a two-compartment open model in rats; it was absorbed rapidly and could be measured in plasma for about 8 h. The peak plasma concentration of the N-acetyl metabolite was reached rapidly a little

bit later than that of the parent compound, similarly to the human plasma, and it could be measured for about 12 h. The pharmacokinetics of the N-acetyl metabolite could be described by a one-compartment open model. The fast appearance of the metabolite and the C<sub>max</sub> and AUC<sub>0-∞</sub> values higher than those of nerisopam refer to an intensive "first-pass" metabolism. The AUC-dose curves indicate that supposingly the mechanism transforming the N-acetyl metabolites are not as fast as the acetylation.

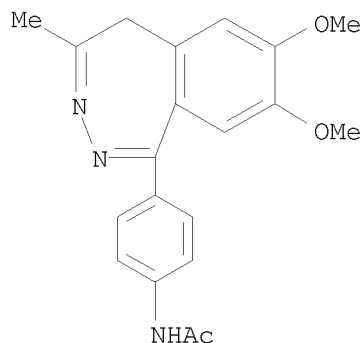
IT 177034-98-9, EGIS-7649

RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)

(pharmacokinetic study of nerisopam and its N-acetyl metabolite in rats)

RN 177034-98-9 CAPLUS

CN Acetamide, N-[4-(7,8-dimethoxy-4-methyl-5H-2,3-benzodiazepin-1-yl)phenyl]- (CA INDEX NAME)



L22 ANSWER 27 OF 40 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1996:251577 CAPLUS

DOCUMENT NUMBER: 125:216

ORIGINAL REFERENCE NO.: 125:31a

TITLE: Simultaneous determination of nerisopam, a novel anxiolytic agent showing polymorphic metabolism, and its N-acetyl metabolite from human plasma by a validated high-performance liquid chromatographic method

AUTHOR(S): Rona, K.; Ary, K.; Gachalyi, B.; Klebovich, I.; Tomori, E.

CORPORATE SOURCE: Department of I. Medicine, Haynal Imre University of Medical Sciences,, Budapest, 1389, Hung.

SOURCE: Journal of Chromatography, B: Biomedical Applications (1996), 678(1), 63-72  
CODEN: JCBBEP; ISSN: 0378-4347

PUBLISHER: Elsevier

DOCUMENT TYPE: Journal

LANGUAGE: English

AB A sensitive reversed-phase high-performance liquid chromatog. method with UV absorbance detection has been developed to simultaneously determine the concns. of nerisopam (EGIS-6775) and its N-acetyl metabolite (EGIS-7649) from human plasma. The separation of the investigated compds. and internal standard was

achieved on a Nucleosil 7 C18 column with 2 mM heptanesulfonic acid containing 0.04 M phosphoric acid-acetonitrile-methanol (70:25:5, volume/volume), pH 2.7 mobile phase. The detection was performed at 385 nm. The compds. were isolated from plasma by Bakerbond C18 solid-phase extraction. The limit of quantitation was 10 ng/mL plasma for each compound investigated. The assay has been validated with respect to accuracy, precision and system suitability. All validated parameters were within the necessary limits. On the basis of the sensitivity, linearity and validation parameters, the developed anal. method was suitable for the determination of nerisopam and its N-acetyl metabolite from human plasma and for application in pharmacokinetic studies and human drug monitoring. The pharmacokinetic parameters obtained from twelve human volunteers are reported. It was found that nerisopam acetylation is polymorphic: the volunteers with fast or slow acetylator phenotypes produced significantly different plasma concns. In slow acetylator phenotypes the concentration of nerisopam was considerably higher in plasma, while the level of its acetyl metabolite was higher in plasma of fast acetylators.

IT 177034-98-9, EGIS 7649

RL: ANT (Analyte); BPR (Biological process); BSU (Biological study, unclassified); MFM (Metabolic formation); ANST (Analytical study); BIOL (Biological study); FORM (Formation, nonpreparative); PROC (Process)

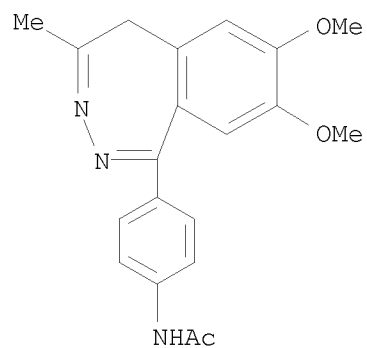
(simultaneous determination of anxiolytic nerisopam showing polymorphic metabolism

and its N-acetyl metabolite from human plasma by a validated high-performance liquid chromatog. method in relation to pharmacokinetics in humans)

RN 177034-98-9 CAPLUS

CN Acetamide, N-[4-(7,8-dimethoxy-4-methyl-5H-2,3-benzodiazepin-1-yl)phenyl]-  
(CA INDEX NAME)

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OS.CITING REF COUNT:

5

THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD  
(5 CITINGS)

L22 ANSWER 28 OF 40 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1992:551024 CAPLUS  
 DOCUMENT NUMBER: 117:151024  
 ORIGINAL REFERENCE NO.: 117:26169a,26172a  
 TITLE: Preparation of  
 1-(3-chlorophenyl)-4-hydroxymethyl-7,8-dimethoxy-5H-  
 2,3-benzodiazepine as a CNS agent  
 INVENTOR(S): Andrasi, Ferenc; Botka, Peter; Goldschmidt, Katalin;  
 Hamori, Tamas; Horvath, Gyula; Korosi, Jenő;  
 Moravcsik, Imre; Ruzs, Marta; Tomori, Eva; Zolyomi,  
 Gabor  
 PATENT ASSIGNEE(S): Egis Gyogyszergyar, Hung.  
 SOURCE: Brit. UK Pat. Appl., 19 pp.  
 CODEN: BAXXDU  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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GB 2248838	A	19920422	GB 1991-22090	19911017
GB 2248838	B	19940406		
HU 59381	A2	19920528	HU 1990-6469	19901017
HU 207055	B	19930301		
IL 99637	A	19960618	IL 1991-99637	19911002
DK 9101748	A	19920418	DK 1991-1748	19911016
NO 9104056	A	19920421	NO 1991-4056	19911016
RU 2058982	C1	19960427	RU 1991-5010047	19911016
CZ 282249	B6	19970611	CZ 1991-3141	19911016
CA 2053622	A1	19920418	CA 1991-2053622	19911017
FI 9104918	A	19920418	FI 1991-4918	19911017
SE 9103022	A	19920418	SE 1991-3022	19911017
SE 506380	C2	19971208		
FR 2668148	A1	19920424	FR 1991-12807	19911017
FR 2668148	B1	19930730		
AU 9185922	A	19920430	AU 1991-85922	19911017
AU 648111	B2	19940414		
CN 1060838	A	19920506	CN 1991-109753	19911017
CN 1033582	C	19961218		
DE 4134402	A1	19920514	DE 1991-4134402	19911017
NL 9101741	A	19920518	NL 1991-1741	19911017
JP 04282374	A	19921007	JP 1991-298535	19911017
BE 1004995	A3	19930316	BE 1991-952	19911017
US 5204343	A	19930420	US 1991-776771	19911017
ES 2036137	A1	19930501	ES 1991-2303	19911017
ES 2036137	B1	19940301		
CH 682400	A5	19930915	CH 1991-3051	19911017
ZA 9108273	A	19941004	ZA 1991-8273	19911017
AT 399506	B	19950526	AT 1991-2071	19911017
PL 167108	B1	19950731	PL 1991-292091	19911017

PRIORITY APPLN. INFO.: HU 1990-6469 A 19901017

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

AB The title compound (I), a CNS agent useful as an anxiolytic, was prepared  
 Thus, racemic 3-(3,4-dimethoxyphenyl)propane-1,2-diol was cyclocondensed  
 with 3-ClC<sub>6</sub>H<sub>4</sub>CHO to give 1-(3-chlorophenyl)-3-hydroxymethyl-6,7-  
 dimethoxyisochroman. This was acetylated by Ac<sub>2</sub>O then oxidized by Jones

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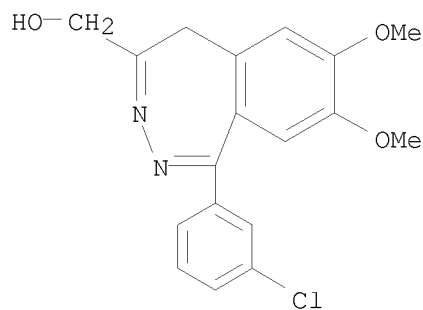
reagent to give 2-(3-acetoxyacetyl)-3'-chloro-4,5-dimethoxybenzophenone. The latter was cyclocondensed with H<sub>2</sub>NNH<sub>2</sub> to give I. I at 50 mg/kg i.p. in rats gave an increase in number of tolerated shocks from  $5.7 \pm 0.6$  to  $13.8 \pm 3.7$  in the "lick-conflict" test, demonstrating the anxiolytic effect of I. I had LD<sub>50</sub> of 1309 mg/kg i.p. and  $\geq 2000$  mg/kg orally in mice. Tablets containing I were prepared

IT 142790-94-1P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of, as CNS agent)

RN 142790-94-1 CAPLUS

CN 5H-2,3-Benzodiazepine-4-methanol, 1-(3-chlorophenyl)-7,8-dimethoxy- (CA INDEX NAME)



OS.CITING REF COUNT: 3

THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD  
(3 CITINGS)

L22 ANSWER 29 OF 40 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1992:482866 CAPLUS

DOCUMENT NUMBER: 117:82866

ORIGINAL REFERENCE NO.: 117:14227a,14230a

TITLE: Pharmacokinetic and metabolism studies on girisopam by

chromatographic and spectrometric methods in humans

AUTHOR(S): Tomori, E.; Horvath, G.; Patfalusi, M.; Meszaros, S.;  
Vereczkey, L.

CORPORATE SOURCE: Inst. Drug Res., Budapest, H-1325, Hung.

SOURCE: Journal of Chromatography, Biomedical Applications  
(1992), 578(1), 91-101

CODEN: JCBADL; ISSN: 0378-4347

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Girisopam possesses a selective anxiolytic action without muscle relaxant and anticonvulsive activity. After a 100-mg oral dose of <sup>14</sup>C-labeled girisopam to seven male subjects, the mean recovery of <sup>14</sup>C radioactivity was 51% in urine and 33% in feces. A high-performance liquid chromatog. method has been developed for studying girisopam in single-dose pharmacokinetic studies. The serum extract was chromatographed on a normal-phase column using a mobile phase of hexane-ethanol-diethyl ether (66:9:25, volume/volume) and UV detection at 235 nm. The recovery was 60% and the detection limit was 3 ng/mL, using 1 mL of serum. After a 20-min delay, girisopam is rapidly absorbed. After reaching a mean serum level of 178 ng/mL at a mean time of 2.0 h, the serum concentration of girisopam decreased with a mean elimination half-time of 22.2 h. The metabolites were separated by high-performance liquid chromatog., radio thin-layer chromatog. and gas chromatog. Their structures were determined by liquid chromatog.-mass spectrometry, mass spectrometry and gas chromatog.-mass spectrometry. Their chemical structures were confirmed by comparison with synthesized reference compds. The major urinary metabolites were 7-demethylgirisopam (I), 4'-hydroxygirisopam (II) and 4-hydroxymethyl-4-demethylgirisopam (III), which were conjugated, and 4-carboxy-4-demethylgirisopam (V), a compound with an open-chain structure (VII) and traces of 4-demethyl-4-oxogirisopam (VIII) and 4-hydroxymethyl-4-demethylgirisopam (III), which were not conjugated. The metabolic profile in the serum consisted predominantly of the glucuronides of I, II and III. The non-conjugated metabolites were the metabolite with the open-chain structure (VII), III and V. Besides the parent compound, the feces sample contained conjugates of I and II.

IT 142790-94-1 142839-39-2 142839-40-5

142839-41-6 142839-42-7 142839-46-1

142839-47-2 142839-48-3 142839-49-4

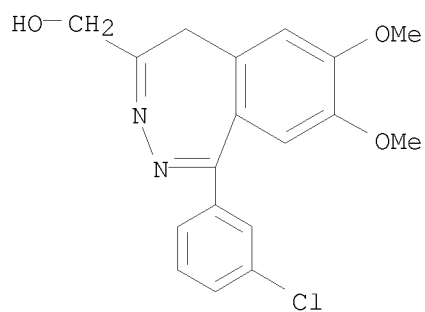
RL: PRP (Properties)

(characterization of, as girisopam metabolite, in humans)

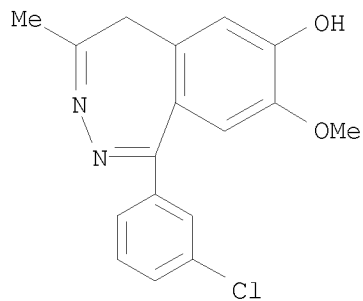
RN 142790-94-1 CAPLUS

CN 5H-2,3-Benzodiazepine-4-methanol, 1-(3-chlorophenyl)-7,8-dimethoxy- (CA  
INDEX NAME)

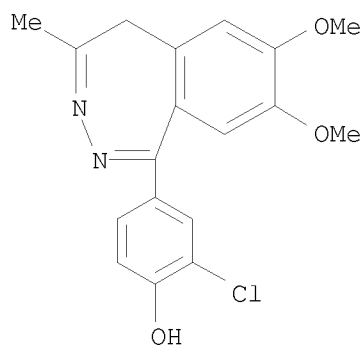
10/567,598



RN 142839-39-2 CAPLUS  
CN 5H-2,3-Benzodiazepin-7-ol, 1-(3-chlorophenyl)-8-methoxy-4-methyl- (CA INDEX NAME)

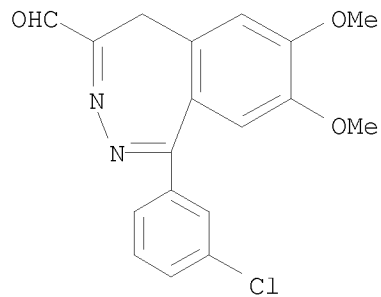


RN 142839-40-5 CAPLUS  
CN Phenol, 2-chloro-4-(7,8-dimethoxy-4-methyl-5H-2,3-benzodiazepin-1-yl)- (CA INDEX NAME)



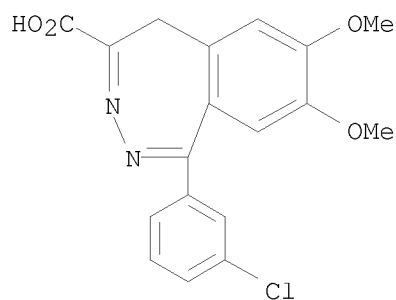
RN 142839-41-6 CAPLUS  
CN 5H-2,3-Benzodiazepine-4-carboxaldehyde, 1-(3-chlorophenyl)-7,8-dimethoxy- (CA INDEX NAME)

10/567,598



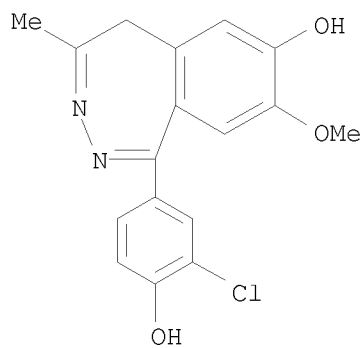
RN 142839-42-7 CAPLUS

CN 5H-2,3-Benzodiazepine-4-carboxylic acid, 1-(3-chlorophenyl)-7,8-dimethoxy-  
(CA INDEX NAME)



RN 142839-46-1 CAPLUS

CN 5H-2,3-Benzodiazepin-7-ol, 1-(3-chloro-4-hydroxyphenyl)-8-methoxy-4-methyl-  
(CA INDEX NAME)



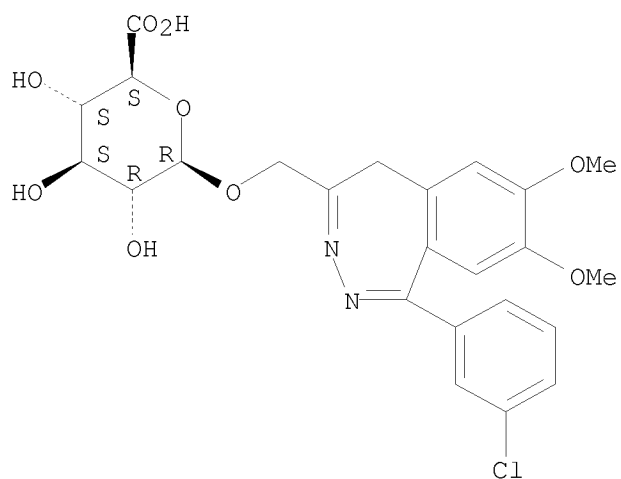
RN 142839-47-2 CAPLUS

CN  $\beta$ -D-Glucopyranosiduronic acid,  
[1-(3-chlorophenyl)-7,8-dimethoxy-5H-2,3-benzodiazepin-4-yl]methyl (CA  
INDEX NAME)

Absolute stereochemistry.

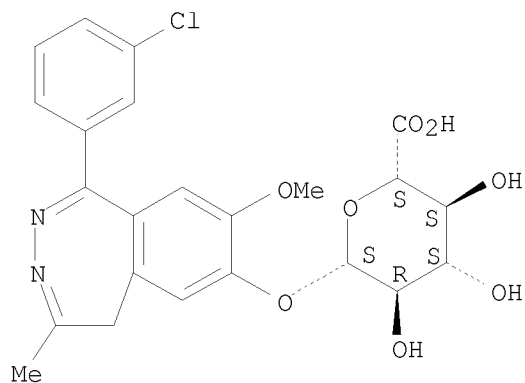


10/567,598



RN 142839-48-3 CAPLUS  
CN  $\beta$ -D-Glucopyranosiduronic acid,  
1-(3-chlorophenyl)-8-methoxy-4-methyl-5H-2,3-benzodiazepin-7-yl (CA INDEX  
NAME)

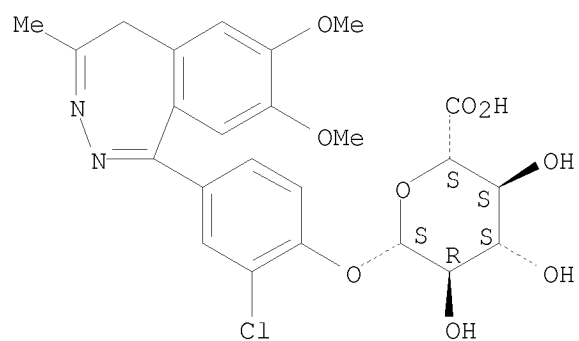
Absolute stereochemistry.



RN 142839-49-4 CAPLUS  
CN  $\beta$ -D-Glucopyranosiduronic acid,  
2-chloro-4-(7,8-dimethoxy-4-methyl-5H-2,3-benzodiazepin-1-yl)phenyl (CA  
INDEX NAME)

Absolute stereochemistry.

10/567,598



OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD  
(3 CITINGS)

L22 ANSWER 30 OF 40 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1986:533915 CAPLUS

DOCUMENT NUMBER: 105:133915

ORIGINAL REFERENCE NO.: 105:21617a, 21620a

TITLE: 5H-2,3-benzodiazepines

INVENTOR(S): Lang, Tibor; Korösi, Jenö; Andrasi, Ferenc; Hamori, Tamas; Zolyomi, Gabor; Elekes, Istvan; Botka, Peter; Sineger, Eleonora; Goldschmidt, Katalin; et al.

PATENT ASSIGNEE(S): EGIS Gyógyszergyár, Hung.

SOURCE: Fr. Demande, 25 pp.

CODEN: FRXXBL

DOCUMENT TYPE: Patent

LANGUAGE: French

FAMILY ACC. NUM. COUNT: 1

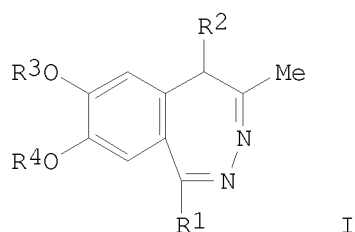
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2566774	A1	19860103	FR 1985-9793	19850627
FR 2566774	B1	19890317		
HU 37925	A2	19860328	HU 1984-2479	19840627
HU 191702	B	19870330		

PRIORITY APPLN. INFO.: HU 1984-2479 A 19840627

OTHER SOURCE(S): MARPAT 105:133915

GI



AB Title compds. I (R1 = Ph, furyl, naphthyl, thienyl, halo-, hydroxy-, or alkylphenyl, etc.; R2 = H, alkyl; R3 and R4 are alkyl or R3R4 = CH2) were prepared as antiaggressive agents. A 2-acetylbenzophenone derivative was treated with N2H4 to give I (R1 = 3-ClC6H4, R2 = H, R3 = R4 = Me). In mice, selected I showed antiaggressive activity with ED50 of 16-50 mg/kg orally.

IT	75113-85-8P	75114-07-7P	75114-10-2P
	75114-27-1P	82230-54-4P	82230-56-6P
	82230-58-8P	82230-59-9P	82230-60-2P
	82230-61-3P	88145-29-3P	102771-13-1P
	102771-33-5P	104277-81-8P	104277-82-9P
	104277-83-0P	104277-85-2P	104277-86-3P
	104277-87-4P	104277-88-5P	104277-89-6P
	104277-90-9P	104277-91-0P	104277-92-1P
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	104278-01-5P	104278-04-8P	104278-05-9P
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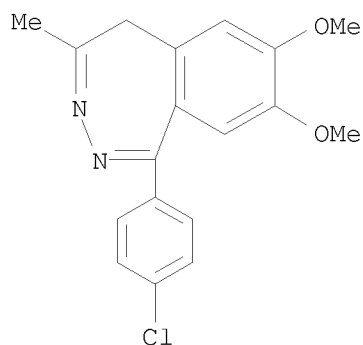
10/567,598

104278-14-0P	104278-16-2P	104278-17-3P
104278-18-4P	104278-20-8P	104278-21-9P
104278-23-1P	104278-24-2P	104278-27-5P
104299-62-9P	104299-63-0P	104299-64-1P
104328-12-3P	104328-13-4P	

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of, as antiaggressive agent)

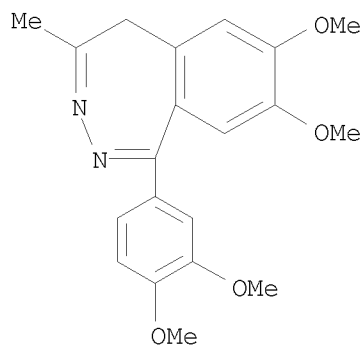
RN 75113-85-8 CAPLUS

CN 5H-2,3-Benzodiazepine, 1-(4-chlorophenyl)-7,8-dimethoxy-4-methyl- (CA INDEX NAME)



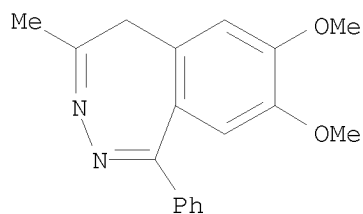
RN 75114-07-7 CAPLUS

CN 5H-2,3-Benzodiazepine, 1-(3,4-dimethoxyphenyl)-7,8-dimethoxy-4-methyl- (CA INDEX NAME)



RN 75114-10-2 CAPLUS

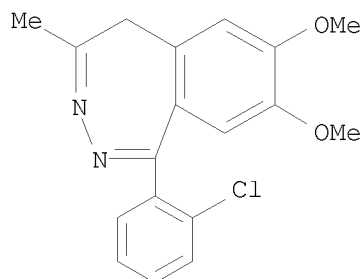
CN 5H-2,3-Benzodiazepine, 7,8-dimethoxy-4-methyl-1-phenyl- (CA INDEX NAME)



10/567,598

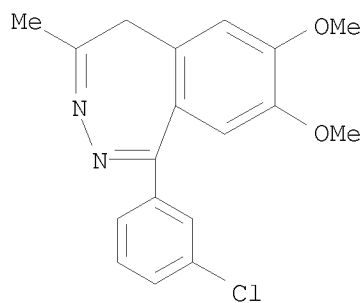
RN 75114-27-1 CAPLUS

CN 5H-2,3-Benzodiazepine, 1-(2-chlorophenyl)-7,8-dimethoxy-4-methyl- (CA INDEX NAME)



RN 82230-54-4 CAPLUS

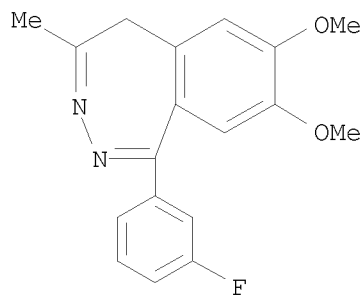
CN 5H-2,3-Benzodiazepine, 1-(3-chlorophenyl)-7,8-dimethoxy-4-methyl-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

RN 82230-56-6 CAPLUS

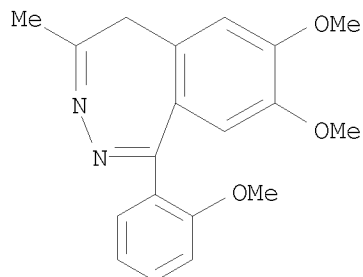
CN 5H-2,3-Benzodiazepine, 1-(3-fluorophenyl)-7,8-dimethoxy-4-methyl- (CA INDEX NAME)



10/567,598

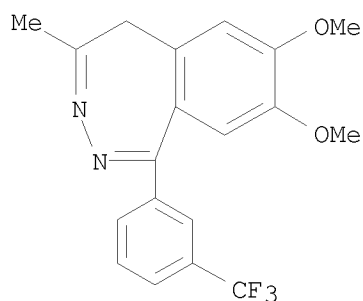
RN 82230-58-8 CAPLUS

CN 5H-2,3-Benzodiazepine, 7,8-dimethoxy-1-(2-methoxyphenyl)-4-methyl- (CA INDEX NAME)



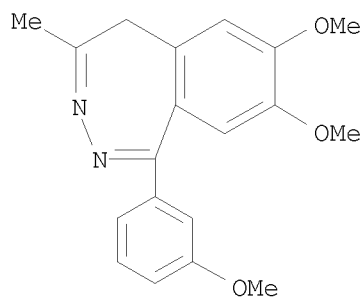
RN 82230-59-9 CAPLUS

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RN 82230-60-2 CAPLUS

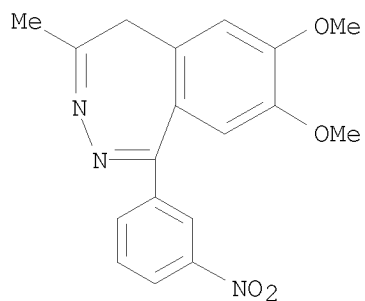
CN 5H-2,3-Benzodiazepine, 7,8-dimethoxy-1-(3-methoxyphenyl)-4-methyl- (CA INDEX NAME)



RN 82230-61-3 CAPLUS

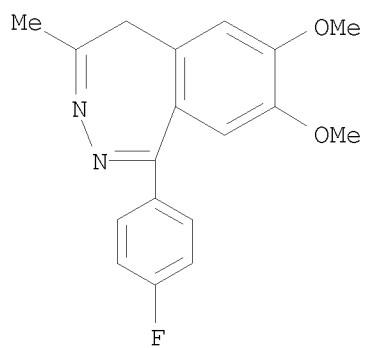
CN 5H-2,3-Benzodiazepine, 7,8-dimethoxy-4-methyl-1-(3-nitrophenyl)- (CA INDEX NAME)

10/567,598



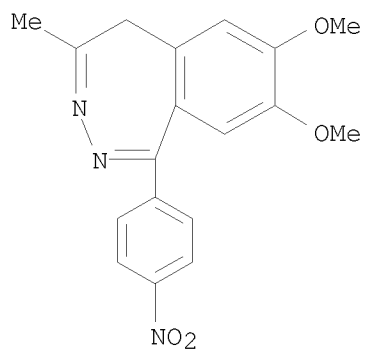
RN 88145-29-3 CAPLUS

CN 5H-2,3-Benzodiazepine, 1-(4-fluorophenyl)-7,8-dimethoxy-4-methyl- (CA INDEX NAME)



RN 102771-13-1 CAPLUS

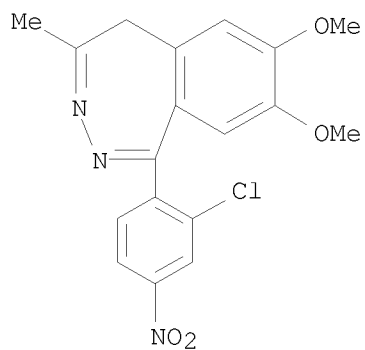
CN 5H-2,3-Benzodiazepine, 7,8-dimethoxy-4-methyl-1-(4-nitrophenyl)- (CA INDEX NAME)



RN 102771-33-5 CAPLUS

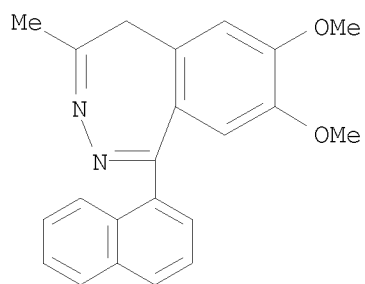
CN 5H-2,3-Benzodiazepine, 1-(2-chloro-4-nitrophenyl)-7,8-dimethoxy-4-methyl- (CA INDEX NAME)

10/567,598



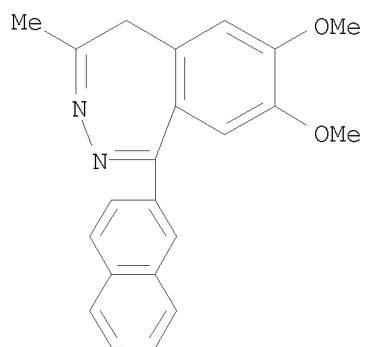
RN 104277-81-8 CAPLUS

CN 5H-2,3-Benzodiazepine, 7,8-dimethoxy-4-methyl-1-(1-naphthalenyl)- (CA INDEX NAME)



RN 104277-82-9 CAPLUS

CN 5H-2,3-Benzodiazepine, 7,8-dimethoxy-4-methyl-1-(2-naphthalenyl)- (CA INDEX NAME)

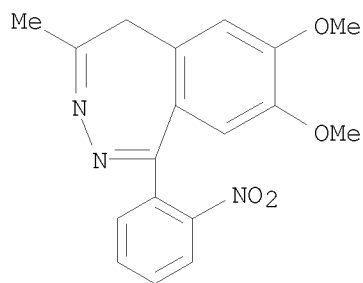


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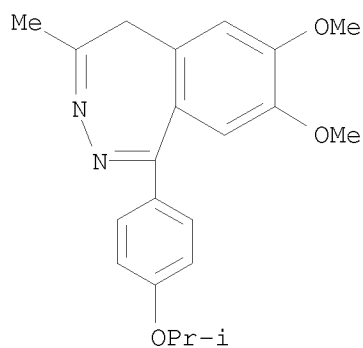


10/567,598



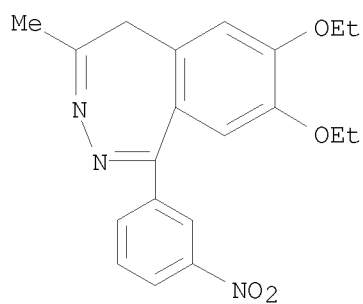
RN 104277-85-2 CAPLUS

CN 5H-2,3-Benzodiazepine, 7,8-dimethoxy-4-methyl-1-[4-(1-methylethoxy)phenyl]-  
(CA INDEX NAME)



RN 104277-86-3 CAPLUS

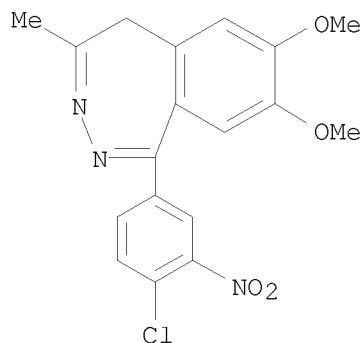
CN 5H-2,3-Benzodiazepine, 7,8-diethoxy-4-methyl-1-(3-nitrophenyl)- (CA INDEX  
NAME)



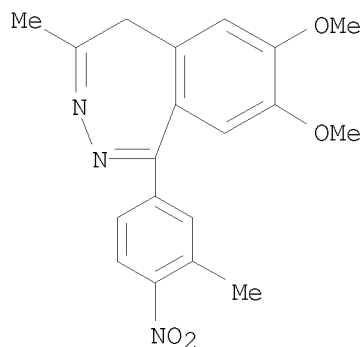
RN 104277-87-4 CAPLUS

CN 5H-2,3-Benzodiazepine, 1-(4-chloro-3-nitrophenyl)-7,8-dimethoxy-4-methyl-  
(CA INDEX NAME)

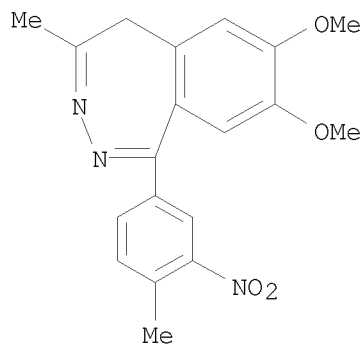
10/567,598



RN 104277-88-5 CAPLUS  
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(CA INDEX NAME)

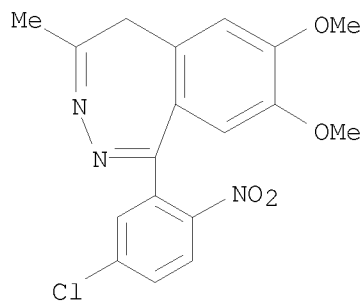


RN 104277-89-6 CAPLUS  
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(CA INDEX NAME)



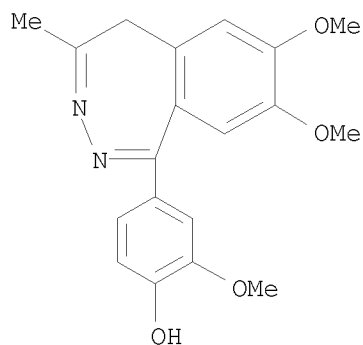
RN 104277-90-9 CAPLUS  
CN 5H-2,3-Benzodiazepine, 1-(5-chloro-2-nitrophenyl)-7,8-dimethoxy-4-methyl-  
(CA INDEX NAME)

10/567,598



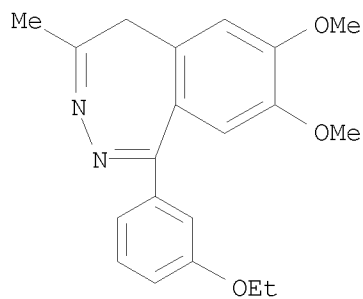
RN 104277-91-0 CAPLUS

CN Phenol, 4-(7,8-dimethoxy-4-methyl-5H-2,3-benzodiazepin-1-yl)-2-methoxy-  
(CA INDEX NAME)



RN 104277-92-1 CAPLUS

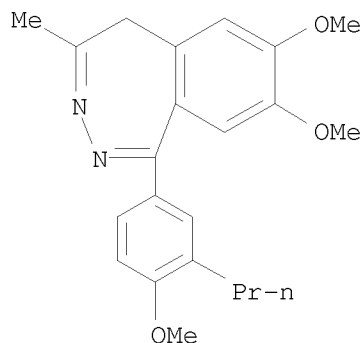
CN 5H-2,3-Benzodiazepine, 1-(3-ethoxyphenyl)-7,8-dimethoxy-4-methyl- (CA  
INDEX NAME)



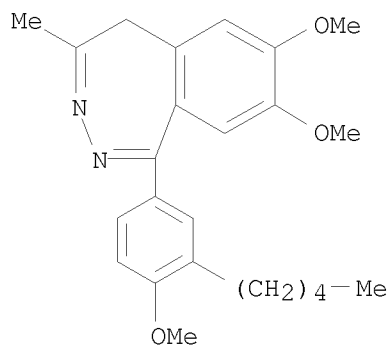
RN 104277-93-2 CAPLUS

CN 5H-2,3-Benzodiazepine, 7,8-dimethoxy-1-(4-methoxy-3-propylphenyl)-4-methyl-  
(CA INDEX NAME)

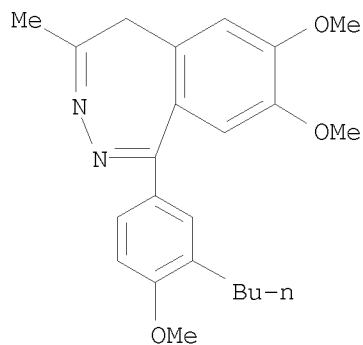
10/567,598



RN 104277-94-3 CAPLUS  
CN 5H-2,3-Benzodiazepine, 7,8-dimethoxy-1-(4-methoxy-3-pentylphenyl)-4-methyl-  
(CA INDEX NAME)

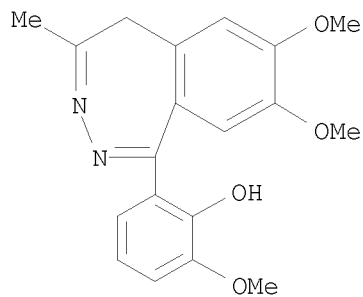


RN 104277-95-4 CAPLUS  
CN 5H-2,3-Benzodiazepine, 1-(3-butyl-4-methoxyphenyl)-7,8-dimethoxy-4-methyl-  
(CA INDEX NAME)



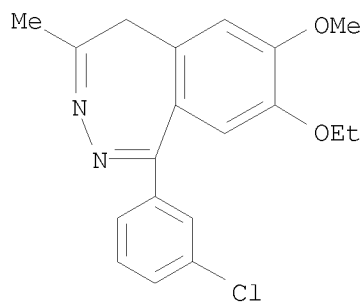
RN 104277-97-6 CAPLUS  
CN Phenol, 2-(7,8-dimethoxy-4-methyl-5H-2,3-benzodiazepin-1-yl)-6-methoxy-  
(CA INDEX NAME)

10/567,598



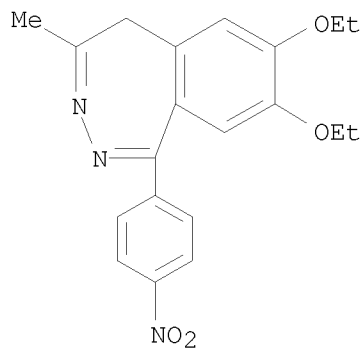
RN 104277-99-8 CAPLUS

CN 5H-2,3-Benzodiazepine, 1-(3-chlorophenyl)-8-ethoxy-7-methoxy-4-methyl-  
(CA INDEX NAME)



RN 104278-00-4 CAPLUS

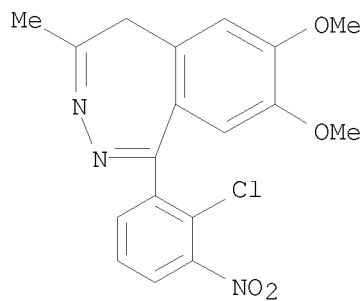
CN 5H-2,3-Benzodiazepine, 7,8-diethoxy-4-methyl-1-(4-nitrophenyl)- (CA INDEX  
NAME)



RN 104278-01-5 CAPLUS

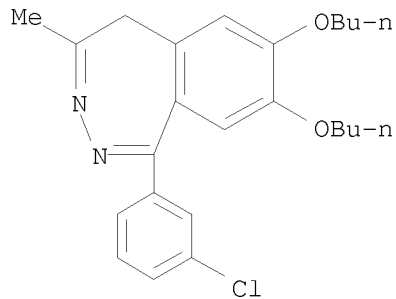
CN 5H-2,3-Benzodiazepine, 1-(2-chloro-3-nitrophenyl)-7,8-dimethoxy-4-methyl-  
(CA INDEX NAME)

10/567,598



RN 104278-04-8 CAPLUS

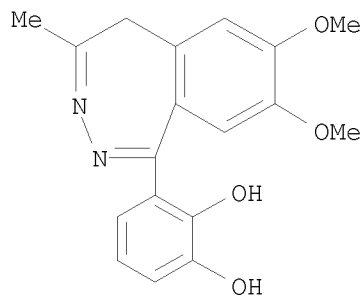
CN 5H-2,3-Benzodiazepine, 7,8-dibutoxy-1-(3-chlorophenyl)-4-methyl-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

RN 104278-05-9 CAPLUS

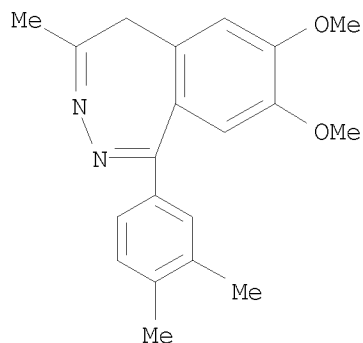
CN 1,2-Benzenediol, 3-(7,8-dimethoxy-4-methyl-5H-2,3-benzodiazepin-1-yl)- (CA INDEX NAME)



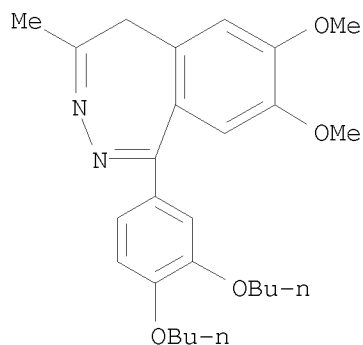
RN 104278-06-0 CAPLUS

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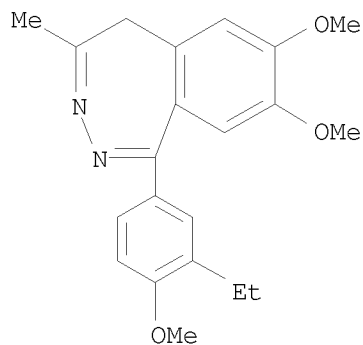
10/567,598



RN 104278-07-1 CAPLUS  
CN 5H-2,3-Benzodiazepine, 1-(3,4-dibutoxyphenyl)-7,8-dimethoxy-4-methyl- (CA INDEX NAME)

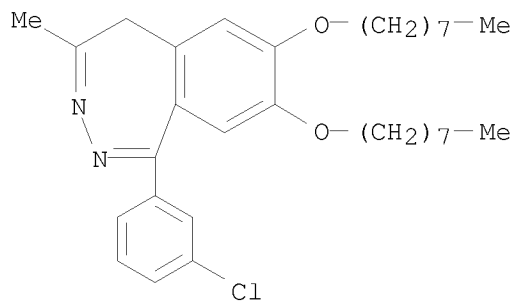


RN 104278-08-2 CAPLUS  
CN 5H-2,3-Benzodiazepine, 1-(3-ethyl-4-methoxyphenyl)-7,8-dimethoxy-4-methyl- (CA INDEX NAME)



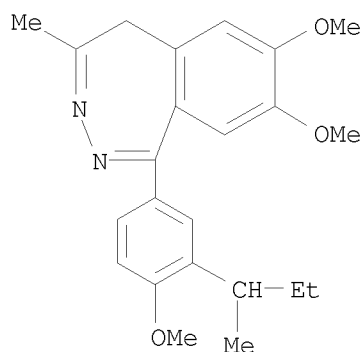
RN 104278-09-3 CAPLUS  
CN 5H-2,3-Benzodiazepine, 1-(3-chlorophenyl)-4-methyl-7,8-bis(octyloxy)- (CA INDEX NAME)

10/567,598



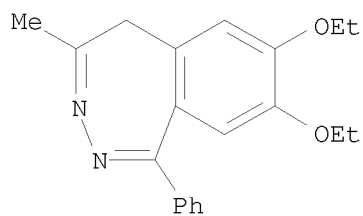
RN 104278-10-6 CAPLUS

CN 5H-2,3-Benzodiazepine, 7,8-dimethoxy-1-[4-methoxy-3-(1-methylpropyl)phenyl]-4-methyl- (CA INDEX NAME)



RN 104278-13-9 CAPLUS

CN 5H-2,3-Benzodiazepine, 7,8-diethoxy-4-methyl-1-phenyl- (CA INDEX NAME)

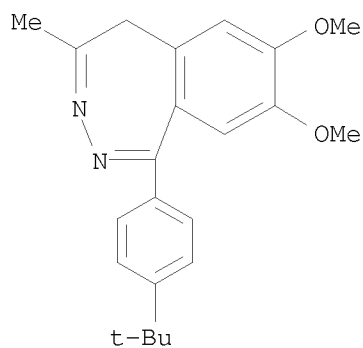


RN 104278-14-0 CAPLUS

CN 5H-2,3-Benzodiazepine, 1-[4-(1,1-dimethylethyl)phenyl]-7,8-dimethoxy-4-methyl- (CA INDEX NAME)

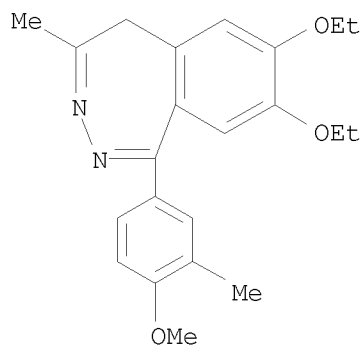


10/567,598



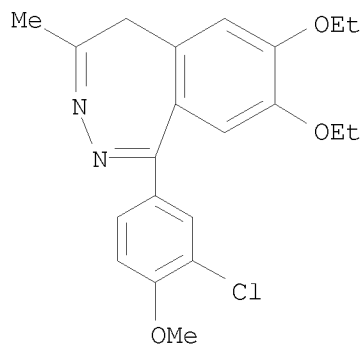
RN 104278-16-2 CAPLUS

CN 5H-2,3-Benzodiazepine, 7,8-diethoxy-1-(4-methoxy-3-methylphenyl)-4-methyl-  
(CA INDEX NAME)



RN 104278-17-3 CAPLUS

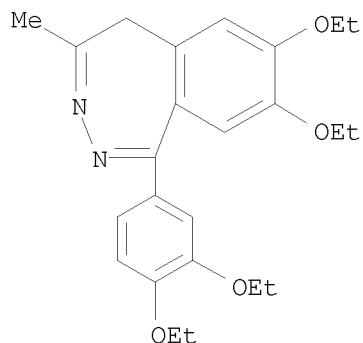
CN 5H-2,3-Benzodiazepine, 1-(3-chloro-4-methoxyphenyl)-7,8-diethoxy-4-methyl-  
(CA INDEX NAME)



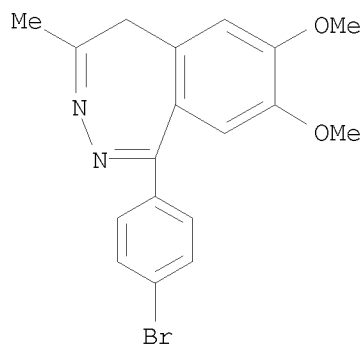
RN 104278-18-4 CAPLUS

CN 5H-2,3-Benzodiazepine, 1-(3,4-diethoxyphenyl)-7,8-diethoxy-4-methyl- (CA  
INDEX NAME)

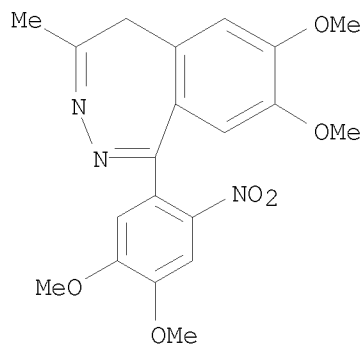
10/567,598



RN 104278-20-8 CAPLUS  
CN 5H-2,3-Benzodiazepine, 1-(4-bromophenyl)-7,8-dimethoxy-4-methyl- (CA INDEX NAME)

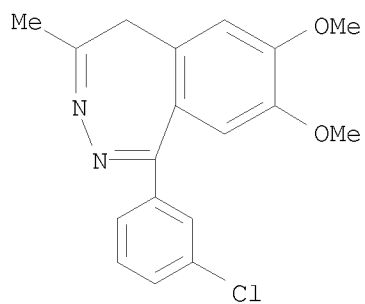


RN 104278-21-9 CAPLUS  
CN 5H-2,3-Benzodiazepine, 1-(4,5-dimethoxy-2-nitrophenyl)-7,8-dimethoxy-4-methyl- (CA INDEX NAME)



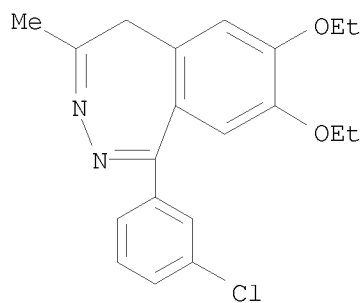
RN 104278-23-1 CAPLUS  
CN 5H-2,3-Benzodiazepine, 1-(3-chlorophenyl)-7,8-dimethoxy-4-methyl-, hydrobromide (1:1) (CA INDEX NAME)

10/567,598



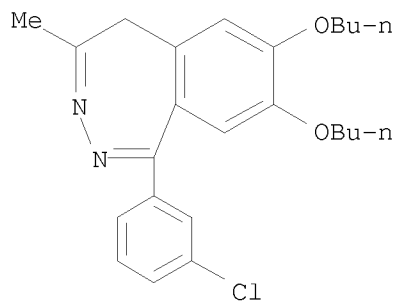
● HBr

RN 104278-24-2 CAPLUS  
CN 5H-2,3-Benzodiazepine, 1-(3-chlorophenyl)-7,8-diethoxy-4-methyl-,  
hydrochloride (1:1) (CA INDEX NAME)



● HCl

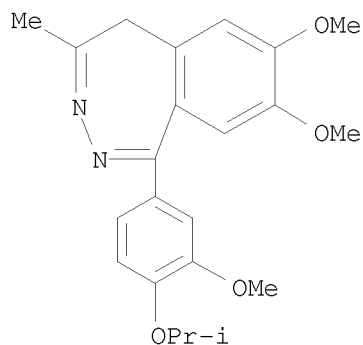
RN 104278-27-5 CAPLUS  
CN 5H-2,3-Benzodiazepine, 7,8-dibutoxy-1-(3-chlorophenyl)-4-methyl- (CA  
INDEX NAME)



10/567,598

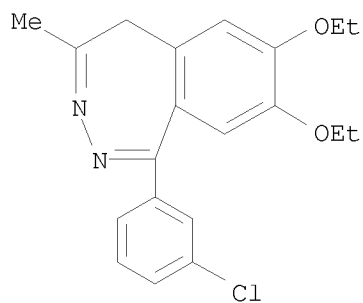
RN 104299-62-9 CAPLUS

CN 5H-2,3-Benzodiazepine, 7,8-dimethoxy-1-[3-methoxy-4-(1-methylethoxy)phenyl]-4-methyl- (CA INDEX NAME)



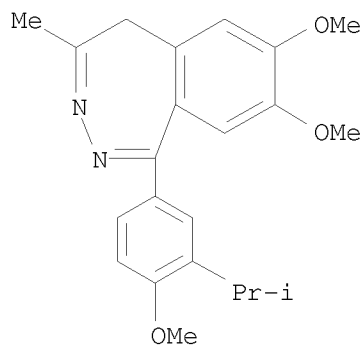
RN 104299-63-0 CAPLUS

CN 5H-2,3-Benzodiazepine, 1-(3-chlorophenyl)-7,8-diethoxy-4-methyl- (CA INDEX NAME)



RN 104299-64-1 CAPLUS

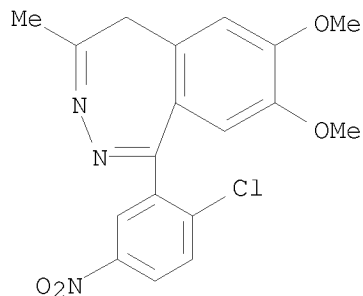
CN 5H-2,3-Benzodiazepine, 7,8-dimethoxy-1-[4-methoxy-3-(1-methylethyl)phenyl]-4-methyl- (CA INDEX NAME)



10/567,598

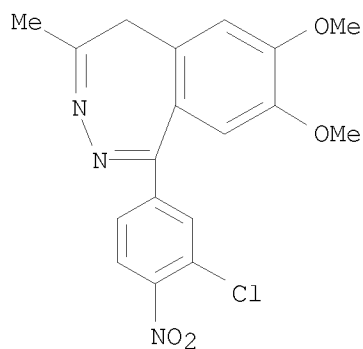
RN 104328-12-3 CAPLUS

CN 5H-2,3-Benzodiazepine, 1-(2-chloro-5-nitrophenyl)-7,8-dimethoxy-4-methyl-  
(CA INDEX NAME)



RN 104328-13-4 CAPLUS

CN 5H-2,3-Benzodiazepine, 1-(3-chloro-4-nitrophenyl)-7,8-dimethoxy-4-methyl-  
(CA INDEX NAME)



OS.CITING REF COUNT:	5	THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (6 CITINGS)
REFERENCE COUNT:	1	THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L22 ANSWER 31 OF 40 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1986:460584 CAPLUS

DOCUMENT NUMBER: 105:60584

ORIGINAL REFERENCE NO.: 105:9907a,9910a

TITLE: Derivatives of 2,3-benzodiazepine

AUTHOR(S): Gatta, F.; Piazza, D.; Del Giudice, M. R.; Massotti, M.

CORPORATE SOURCE: Lab. Chim. Farm., Ist. Super. Sanita, Rome, Italy

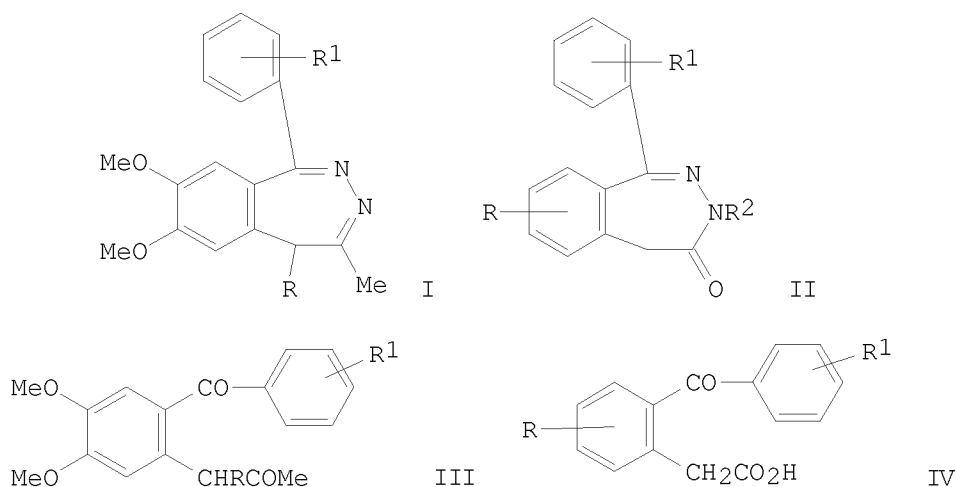
SOURCE: Farmaco, Edizione Scientifica (1985), 40(12), 942-55  
CODEN: FRPSAX; ISSN: 0430-0920

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 105:60584

GI



AB 2,3-Benzodiazepines [I, R = H, Me or Et, R<sub>1</sub> = H, Cl, F or 3,4-(MeO)<sub>2</sub>] or II [R = 7-MeO or 7,8-(MeO)<sub>2</sub>, R<sub>1</sub> = H, MeO, or Cl, R<sub>2</sub> = H or Me] were prepared by condensation of ketones (III or IV) with hydrazines.

1-Aryl-6,7-dimethoxyisochromans, obtained by condensation of 3,4-dimethoxyphenylalkanols with aromatic aldehydes, were oxidized by CrO<sub>3</sub> to give III. Reduction of I with NaBH<sub>4</sub> gave the corresponding 3,4-dihydro derivs. while refluxing in Ac<sub>2</sub>O gave 3-acetyl-1-aryl-7,8-dimethoxy-4-methyl-3H-2,3-benzodiazepines. The compds. were evaluated with respect to their ability to bind to benzodiazepine receptors by displacement of specific 3H-diazepam binding. Only II (R = 7-MeO, R<sub>1</sub> = 3-MeO, R<sub>2</sub> = H) showed an affinity for the receptors similar to other know benzodiazepines. Other compds. exhibited lower inhibitory concns.

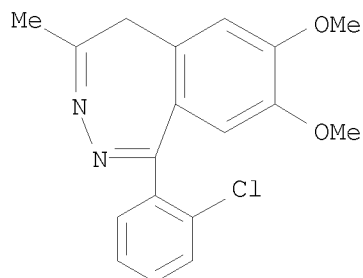
IT 75114-27-1P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)  
(preparation and biol. activity of)

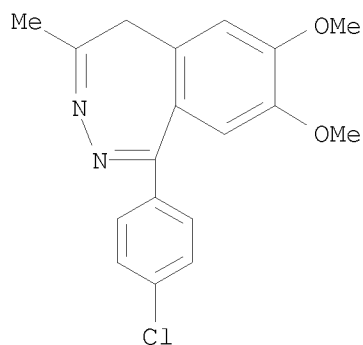
RN 75114-27-1 CAPLUS

CN 5H-2,3-Benzodiazepine, 1-(2-chlorophenyl)-7,8-dimethoxy-4-methyl- (CA INDEX NAME)

10/567,598

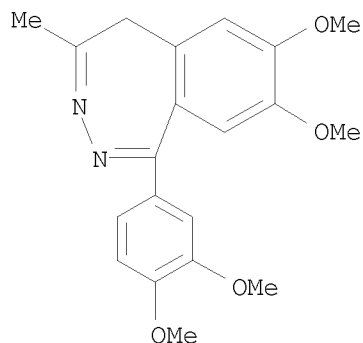


IT 75113-85-8P 75114-07-7P 75114-10-2P  
84351-27-9P 88145-29-3P 102719-54-0P  
102719-60-8P 102719-61-9P 102719-62-0P  
102727-89-9P 102727-90-2P 102727-91-3P  
102727-95-7P 102727-96-8P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)  
RN 75113-85-8 CAPLUS  
CN 5H-2,3-Benzodiazepine, 1-(4-chlorophenyl)-7,8-dimethoxy-4-methyl- (CA  
INDEX NAME)

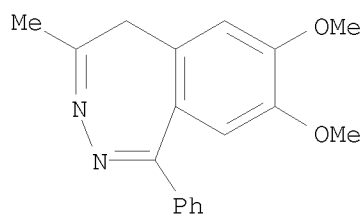


RN 75114-07-7 CAPLUS  
CN 5H-2,3-Benzodiazepine, 1-(3,4-dimethoxyphenyl)-7,8-dimethoxy-4-methyl-  
(CA INDEX NAME)

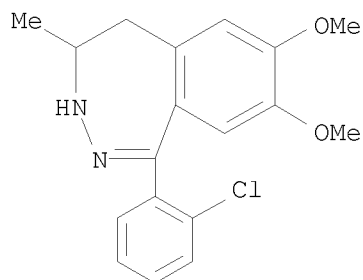
10/567,598



RN 75114-10-2 CAPLUS  
CN 5H-2,3-Benzodiazepine, 7,8-dimethoxy-4-methyl-1-phenyl- (CA INDEX NAME)



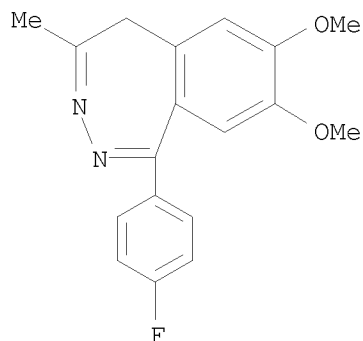
RN 84351-27-9 CAPLUS  
CN 3H-2,3-Benzodiazepine, 1-(2-chlorophenyl)-4,5-dihydro-7,8-dimethoxy-4-methyl- (CA INDEX NAME)



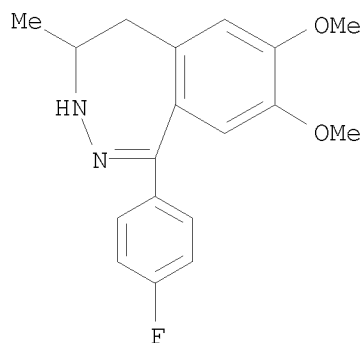
RN 88145-29-3 CAPLUS  
CN 5H-2,3-Benzodiazepine, 1-(4-fluorophenyl)-7,8-dimethoxy-4-methyl- (CA INDEX NAME)



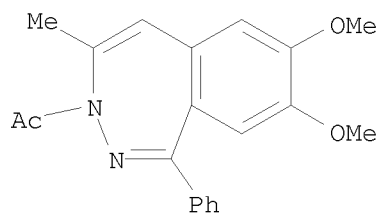
10/567,598



RN 102719-54-0 CAPLUS  
CN 3H-2,3-Benzodiazepine, 1-(4-fluorophenyl)-4,5-dihydro-7,8-dimethoxy-4-methyl- (CA INDEX NAME)

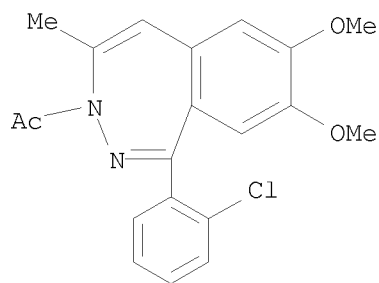


RN 102719-60-8 CAPLUS  
CN Ethanone, 1-(7,8-dimethoxy-4-methyl-1-phenyl-3H-2,3-benzodiazepin-3-yl)- (CA INDEX NAME)



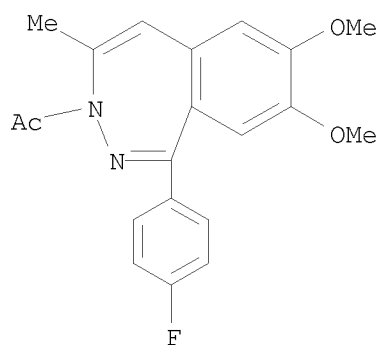
RN 102719-61-9 CAPLUS  
CN Ethanone, 1-[1-(2-chlorophenyl)-7,8-dimethoxy-4-methyl-3H-2,3-benzodiazepin-3-yl]- (CA INDEX NAME)

10/567,598



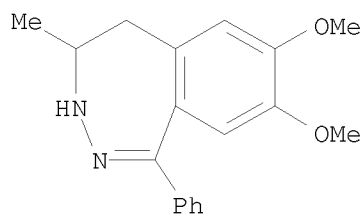
RN 102719-62-0 CAPLUS

CN Ethanone, 1-[1-(4-fluorophenyl)-7,8-dimethoxy-4-methyl-3H-2,3-benzodiazepin-3-yl]- (CA INDEX NAME)



RN 102727-89-9 CAPLUS

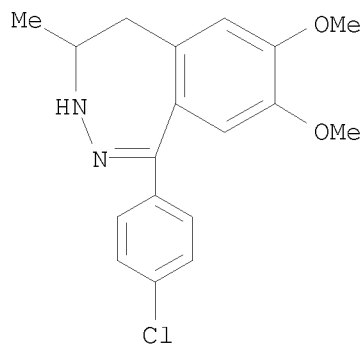
CN 3H-2,3-Benzodiazepine, 4,5-dihydro-7,8-dimethoxy-4-methyl-1-phenyl- (CA INDEX NAME)



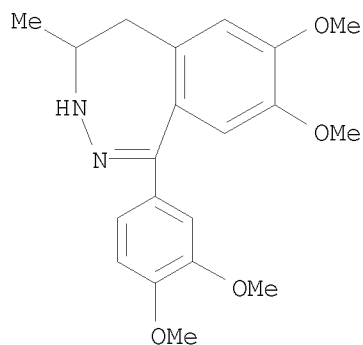
RN 102727-90-2 CAPLUS

CN 3H-2,3-Benzodiazepine, 1-(4-chlorophenyl)-4,5-dihydro-7,8-dimethoxy-4-methyl- (CA INDEX NAME)

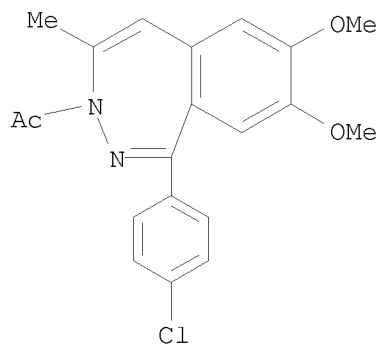
10/567,598



RN 102727-91-3 CAPLUS  
CN 3H-2,3-Benzodiazepine, 1-(3,4-dimethoxyphenyl)-4,5-dihydro-7,8-dimethoxy-4-methyl- (CA INDEX NAME)

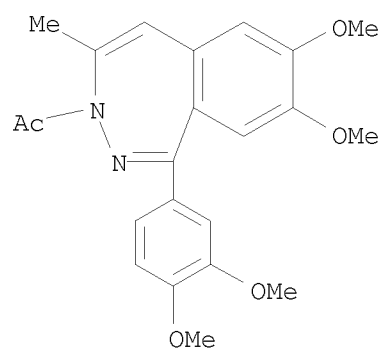


RN 102727-95-7 CAPLUS  
CN Ethanone, 1-[1-(4-chlorophenyl)-7,8-dimethoxy-4-methyl-3H-2,3-benzodiazepin-3-yl]- (CA INDEX NAME)



RN 102727-96-8 CAPLUS  
CN Ethanone, 1-[1-(3,4-dimethoxyphenyl)-7,8-dimethoxy-4-methyl-3H-2,3-benzodiazepin-3-yl]- (CA INDEX NAME)

10/567,598



OS.CITING REF COUNT: 10 THERE ARE 10 CAPLUS RECORDS THAT CITE THIS  
RECORD (11 CITINGS)

L22 ANSWER 32 OF 40 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1986:400639 CAPLUS

DOCUMENT NUMBER: 105:639

ORIGINAL REFERENCE NO.: 105:121a

TITLE: 1-(Aminophenyl)-4-methyl-5H-2,3-benzodiazepinedervatives, their preparation, and drugs containing them

INVENTOR(S): Lang, Tibor; Korosi, Jenő; Andrasi, Ferenc; Botka, Peter; Hamori, Tamas; Berzsenyi, Pal; Goldschmidt, Katalin; Zolyomi, Gabor; Elekes, Istvan; Lang, Zsuzsanna

PATENT ASSIGNEE(S): EGYT Gyógyszervegyészeti Gyar, Hung.

SOURCE: Ger. Offen., 33 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

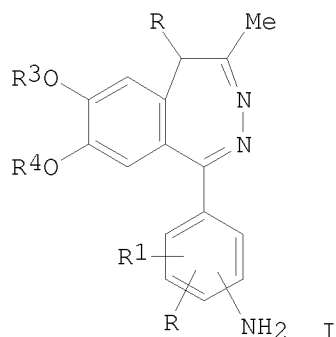
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3527117	A1	19860130	DE 1985-3527117	19850729
DE 3527117	C2	19960808		
HU 38324	A2	19860528	HU 1984-2882	19840727
HU 191698	B	19870330		
CH 667090	A5	19880915	CH 1985-3011	19850711
BE 902953	A1	19860122	BE 1985-11304	19850722
AT 8502201	A	19910115	AT 1985-2201	19850725
AT 393123	B	19910826		
DK 8503406	A	19860128	DK 1985-3406	19850726
DK 158727	B	19900709		
DK 158727	C	19901210		
FI 8502906	A	19860128	FI 1985-2906	19850726
FI 84821	B	19911015		
FI 84821	C	19920127		
NO 8502973	A	19860128	NO 1985-2973	19850726
NO 162115	B	19890731		
NO 162115	C	19891108		
SE 8503613	A	19860128	SE 1985-3613	19850726
SE 465777	B	19911028		
SE 465777	C	19920220		
GB 2162184	A	19860129	GB 1985-18971	19850726
GB 2162184	B	19871231		
FR 2568252	A1	19860131	FR 1985-11444	19850726
FR 2568252	B1	19870320		
NL 8502141	A	19860217	NL 1985-2141	19850726
JP 61043174	A	19860301	JP 1985-164192	19850726
JP 04013347	B	19920309		
DD 236527	A5	19860611	DD 1985-279022	19850726
US 4614740	A	19860930	US 1985-759169	19850726
CS 251795	B2	19870813	CS 1985-5526	19850726
PL 145089	B1	19880831	PL 1985-254701	19850726
CA 1277660	C	19901211	CA 1985-487625	19850726
			HU 1984-2882	A 19840727

PRIORITY APPLN. INFO.:

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): MARPAT 105:639

GI



AB The title compds. I (R, R1 = H, C1, C1-4 alkyl or alkoxy; R2 = H, C1-4 alkyl; R3, R4 = C1-4 alkyl, or R3R4 = CH2) and their salts show anti-aggressive, anxiolytic, narcosis-potentiating, and hypnotic activity on the central nervous system and inhibit psychomotor hyperactivity. I (R3R4 = CH2) also showed muscle relaxant and spasmolytic activity. For example, 1-(4'-aminophenyl)-4-methyl-7,8-dimethoxy-5H-2,3-benzodiazepine was prepared by reduction of the corresponding nitro compound with H2 and a

Pd/C

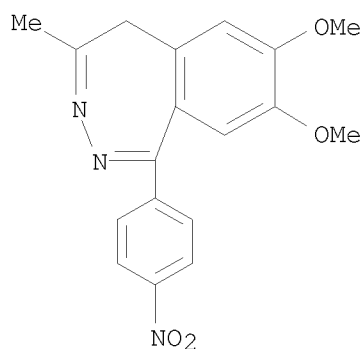
catalyst.

IT 102771-13-1 102771-33-5

RL: RCT (Reactant); RACT (Reactant or reagent)  
(hydrogenation of)

RN 102771-13-1 CAPLUS

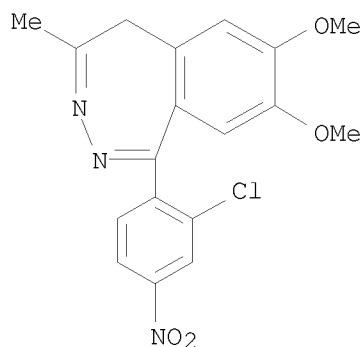
CN 5H-2,3-Benzodiazepine, 7,8-dimethoxy-4-methyl-1-(4-nitrophenyl)- (CA INDEX NAME)



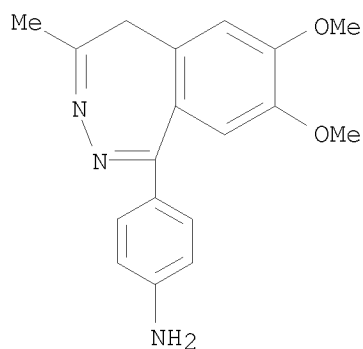
RN 102771-33-5 CAPLUS

CN 5H-2,3-Benzodiazepine, 1-(2-chloro-4-nitrophenyl)-7,8-dimethoxy-4-methyl- (CA INDEX NAME)

10/567,598



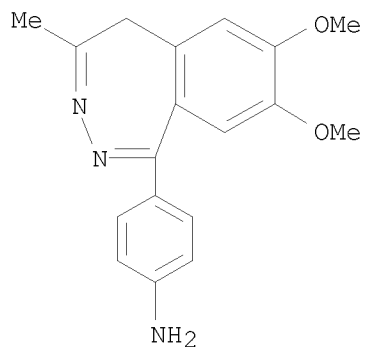
IT 102771-14-2P 102771-15-3P 102771-16-4P  
102771-17-5P 102771-18-6P 102771-19-7P  
102771-20-0P 102771-21-1P 102771-22-2P  
102771-24-4P 102771-28-8P 102771-29-9P  
102771-32-4P 102771-34-6P 102771-35-7P  
102771-36-8P 102771-37-9P 102771-38-0P  
RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of and aggression and anxiety inhibition by)  
RN 102771-14-2 CAPLUS  
CN Benzenamine, 4-(7,8-dimethoxy-4-methyl-5H-2,3-benzodiazepin-1-yl)-,  
hydrochloride (1:1) (CA INDEX NAME)



● HCl

RN 102771-15-3 CAPLUS  
CN Benzenamine, 4-(7,8-dimethoxy-4-methyl-5H-2,3-benzodiazepin-1-yl)-,  
hydrochloride (1:2) (CA INDEX NAME)

10/567,598

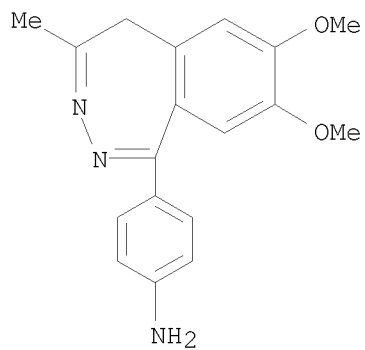


●2 HCl

RN 102771-16-4 CAPLUS  
CN Benzenamine, 4-(7,8-dimethoxy-4-methyl-5H-2,3-benzodiazepin-1-yl)-,  
sulfate (1:1) (CA INDEX NAME)

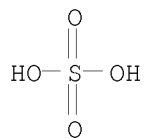
CM 1

CRN 102771-12-0  
CMF C18 H19 N3 O2



CM 2

CRN 7664-93-9  
CMF H2 O4 S

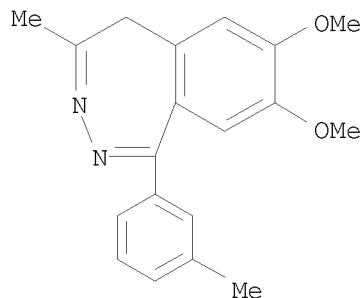




10/567,598

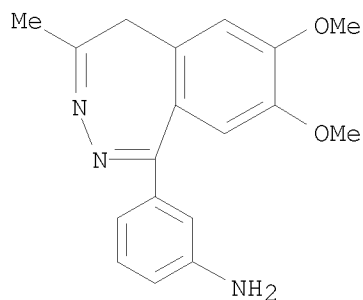
RN 102771-17-5 CAPLUS

CN 5H-2,3-Benzodiazepine, 7,8-dimethoxy-4-methyl-1-(3-methylphenyl)- (CA INDEX NAME)



RN 102771-18-6 CAPLUS

CN Benzenamine, 3-(7,8-dimethoxy-4-methyl-5H-2,3-benzodiazepin-1-yl)-, hydrochloride (1:1) (CA INDEX NAME)

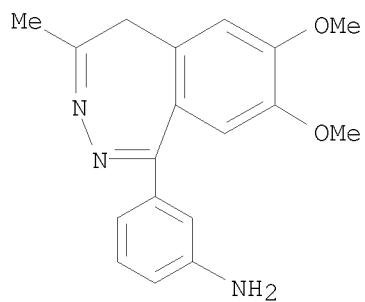


● HCl

RN 102771-19-7 CAPLUS

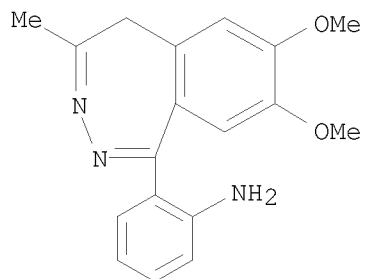
CN Benzenamine, 3-(7,8-dimethoxy-4-methyl-5H-2,3-benzodiazepin-1-yl)-, hydrochloride (1:2) (CA INDEX NAME)

10/567,598

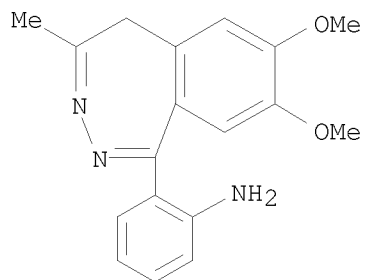


●2 HCl

RN 102771-20-0 CAPLUS  
CN Benzenamine, 2-(7,8-dimethoxy-4-methyl-5H-2,3-benzodiazepin-1-yl)- (CA INDEX NAME)



RN 102771-21-1 CAPLUS  
CN Benzenamine, 2-(7,8-dimethoxy-4-methyl-5H-2,3-benzodiazepin-1-yl)-, hydrochloride (1:2) (CA INDEX NAME)

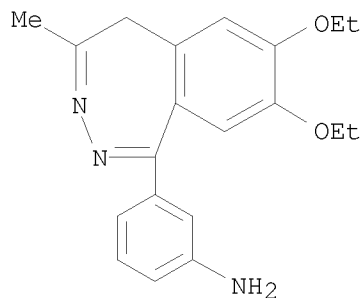


●2 HCl

RN 102771-22-2 CAPLUS

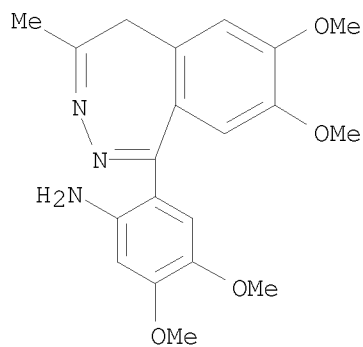
10/567,598

CN Benzenamine, 3-(7,8-diethoxy-4-methyl-5H-2,3-benzodiazepin-1-yl)- (CA INDEX NAME)



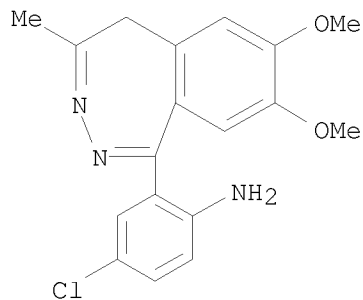
RN 102771-24-4 CAPLUS

CN Benzenamine, 2-(7,8-dimethoxy-4-methyl-5H-2,3-benzodiazepin-1-yl)-4,5-dimethoxy- (CA INDEX NAME)



RN 102771-28-8 CAPLUS

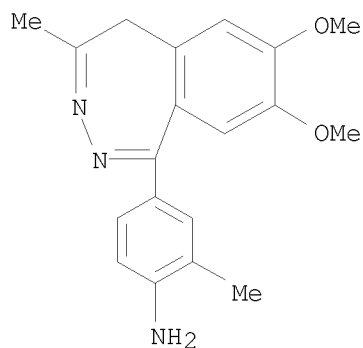
CN Benzenamine, 4-chloro-2-(7,8-dimethoxy-4-methyl-5H-2,3-benzodiazepin-1-yl)- (CA INDEX NAME)



RN 102771-29-9 CAPLUS

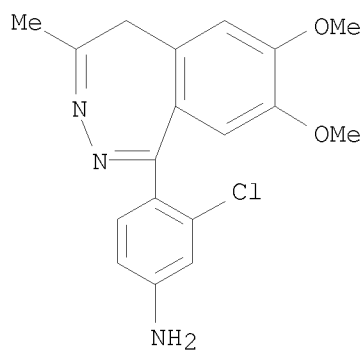
CN Benzenamine, 4-(7,8-dimethoxy-4-methyl-5H-2,3-benzodiazepin-1-yl)-2-methyl- (CA INDEX NAME)

10/567,598



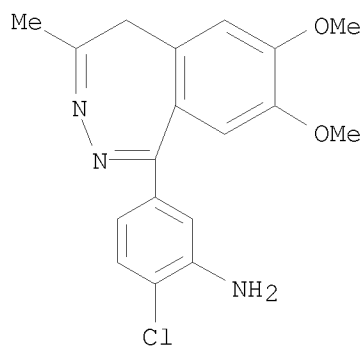
RN 102771-32-4 CAPLUS

CN Benzenamine, 3-chloro-4-(7,8-dimethoxy-4-methyl-5H-2,3-benzodiazepin-1-yl)-  
(CA INDEX NAME)



RN 102771-34-6 CAPLUS

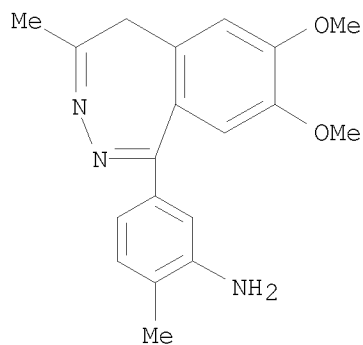
CN Benzenamine, 2-chloro-5-(7,8-dimethoxy-4-methyl-5H-2,3-benzodiazepin-1-yl)-  
(CA INDEX NAME)



RN 102771-35-7 CAPLUS

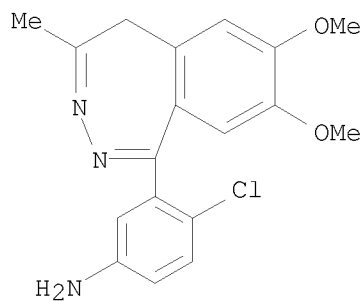
CN Benzenamine, 5-(7,8-dimethoxy-4-methyl-5H-2,3-benzodiazepin-1-yl)-2-methyl-  
(CA INDEX NAME)

10/567,598



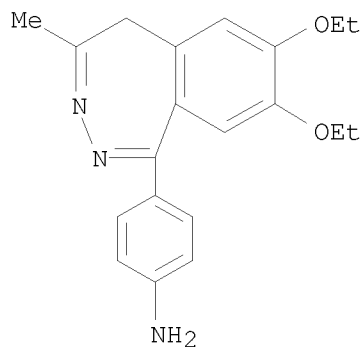
RN 102771-36-8 CAPLUS

CN Benzenamine, 4-chloro-3-(7,8-dimethoxy-4-methyl-5H-2,3-benzodiazepin-1-yl)-  
(CA INDEX NAME)



RN 102771-37-9 CAPLUS

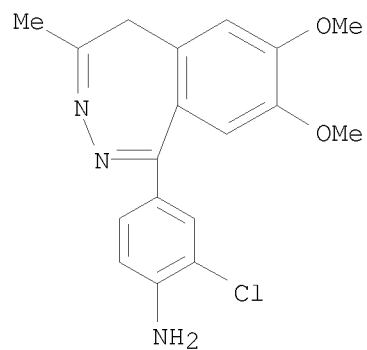
CN Benzenamine, 4-(7,8-diethoxy-4-methyl-5H-2,3-benzodiazepin-1-yl)- (CA  
INDEX NAME)



RN 102771-38-0 CAPLUS

CN Benzenamine, 2-chloro-4-(7,8-dimethoxy-4-methyl-5H-2,3-benzodiazepin-1-yl)-  
(CA INDEX NAME)

10/567,598



OS.CITING REF COUNT:	15	THERE ARE 15 CAPLUS RECORDS THAT CITE THIS RECORD (18 CITINGS)
REFERENCE COUNT:	3	THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L22 ANSWER 33 OF 40 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1985:24603 CAPLUS

DOCUMENT NUMBER: 102:24603

ORIGINAL REFERENCE NO.: 102:4063a,4066a

TITLE: A convenient preparation of  $^{14}\text{C}$ -labeled  
5H-2,3-benzodiazepines

AUTHOR(S): Zolyomi, G.; Lang, T.; Korosi, J.; Hamori, T.

CORPORATE SOURCE: Inst. Drug Res., Budapest, H-1325, Hung.

SOURCE: Journal of Labelled Compounds and Radiopharmaceuticals  
(1984), 21(8), 751-7

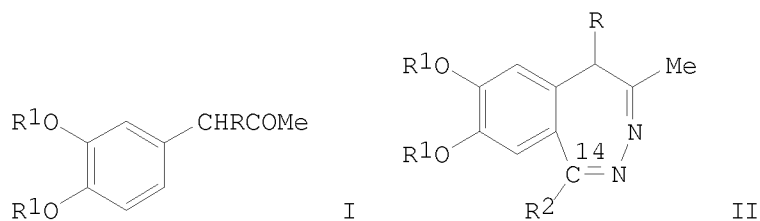
CODEN: JLCRD4; ISSN: 0362-4803

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 102:24603

GI

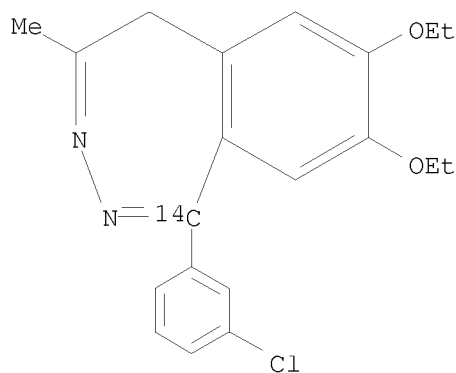


AB Phenylacetones I ( $\text{R} = \text{Et}$ ,  $\text{R}_1 = \text{Me}$ ;  $\text{R} = \text{H}$ ,  $\text{R}_1 = \text{Me}$ ,  $\text{Et}$ ) were acylated with  $\text{HO}^{14}\text{C}_2$  [ $\text{R}_2 = \text{C}_6\text{H}_3(\text{OMe})_{2-3,4}$ ;  $\text{C}_6\text{H}_4\text{Cl}_{1-3}$ ] and treated with  $\text{H}_2\text{NNH}_2$  to give benzodiazepines II in 10.5-23.0% chemical yield, with activities of 0.73-0.74 GBq/mmol. II [ $\text{R} = \text{Et}$ ,  $\text{R}_1 = \text{Me}$ ,  $\text{R}_2 = \text{C}_6\text{H}_3(\text{OMe})_{2-3,4}$ ] is  $^{14}\text{C}$ -labeled tofisopam.

IT 93635-49-5P 93635-51-9P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

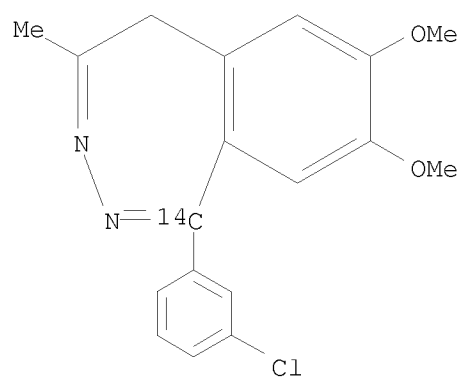
RN 93635-49-5 CAPLUS

CN 5H-2,3-Benzodiazepine-1- $^{14}\text{C}$ , 1-(3-chlorophenyl)-7,8-diethoxy-4-methyl-  
(9CI) (CA INDEX NAME)

RN 93635-51-9 CAPLUS

10/567,598

CN 5H-2,3-Benzodiazepine-1-<sup>14</sup>C, 1-(3-chlorophenyl)-7,8-dimethoxy-4-methyl-  
(9CI) (CA INDEX NAME)





L22 ANSWER 34 OF 40 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1984:209765 CAPLUS

DOCUMENT NUMBER: 100:209765

ORIGINAL REFERENCE NO.: 100:31855a,31858a

TITLE: Heterocyclic compounds, VI. Formation of isomers in the acylation of compounds with a 1-aryl-4-methyl-5H-2,3-benzodiazepine skeleton

AUTHOR(S): Korosi, Jeno; Lang, Tibor; Sohar, Pal; Neszmelyi, Andras; Horvath, Gyula; Zolyomi, Gabor

CORPORATE SOURCE: Inst. Res. Med. Prep., Budapest, H-1325, Hung.

SOURCE: Chemische Berichte (1984), 117(4), 1476-86

CODEN: CHBEAM; ISSN: 0009-2940

DOCUMENT TYPE: Journal

LANGUAGE: German

OTHER SOURCE(S): CASREACT 100:209765

GI

\* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT \*

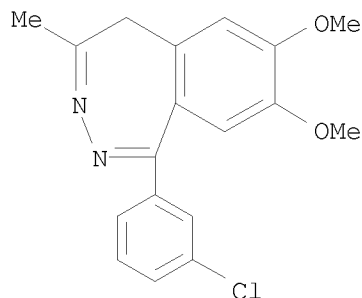
AB Acetylating benzodiazepine I with hot Ac<sub>2</sub>O gave 1:1 proportions of isomers II (R = Me) and III (R = Me). Acetylating I in pyridine gave exclusively II (R = Me) whereas I.HCl in hot Ac<sub>2</sub>O gave only III (R = Me). II and III (R = Me) could not be mutually converted into one another. III (R = Me) was prepared from II (R = Me) via quaternary salt IV (R<sub>1</sub> = Ac). Although III (R = Me) was resistant to aqueous hydrolysis, II (R = Me) was cleaved to benzene derivative V (R = Me). II, III, and V (R = Et) were prepared with (EtCO)<sub>2</sub>O and III (R = Ph) from IV (R<sub>1</sub> = H) and Bz<sub>2</sub>O. I-13C and III-13C (R = Me) were prepared for 13C NMR assignments. Analogs of II and III (R = Me) were also prepared

IT 90140-69-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and acetylation of)

RN 90140-69-5 CAPLUS

CN 5H-2,3-Benzodiazepine, 1-(3-chlorophenyl)-7,8-dimethoxy-4-methyl-, hydrochloride (1:?) (CA INDEX NAME)



●x HCl

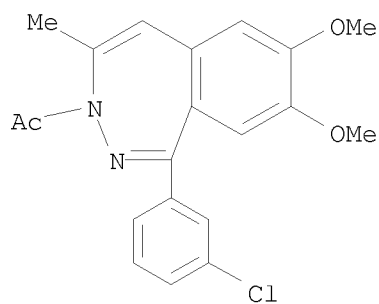
10/567,598

IT 90140-68-4P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

RN 90140-68-4 CAPLUS

CN Ethanone, 1-[1-(3-chlorophenyl)-7,8-dimethoxy-4-methyl-3H-2,3-benzodiazepin-3-yl]- (CA INDEX NAME)



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD  
(1 CITINGS)

L22 ANSWER 35 OF 40 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1984:45307 CAPLUS

DOCUMENT NUMBER: 100:45307

ORIGINAL REFERENCE NO.: 100:6839a,6842a

TITLE: 1-(4'-Fluorophenyl)-4-methyl-7,8-dimethoxybenzodiazepine

INVENTOR(S): Beck, Ivan; Csondes, Janos; Rakoczi, Jozsef; Petocz, Lujza E.; Kosoczky, Ibolya; Grasser, Katalin

PATENT ASSIGNEE(S): EGYT Gyogyszervegyeszeti Gyar, Hung.

SOURCE: Hung. Teljes, 12 pp.

CODEN: HUXXB

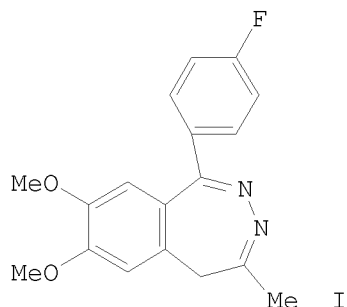
DOCUMENT TYPE: Patent

LANGUAGE: Hungarian

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
-----	----	-----	-----	-----
HU 25078	A2	19830530	HU 1978-EE2599	19781102
HU 184079	B	19840628		
PRIORITY APPLN. INFO.:			HU 1978-EE2599	19781102
OTHER SOURCE(S):	CASREACT	100:45307		
GI				



AB 1-(4'-Fluorophenyl)-4-methyl-7,8-dimethoxy-5H-2,3-benzodiazepine (I) [88145-29-3] is a tranquilizer and an antidepressant. The tranquilizing effect on mice (inhibition of motility and of amphetamine action, and potentiation of hexobarbital-induced narcosis) was comparable to that of tofisopam, and the antidepressant effect to that of amitriptyline. Thus, I was prepared by the reaction of 3,4-dimethoxybenzyl Me ketone [776-99-8] with 4-fluorobenzyl chloride [352-11-4], in the presence of the anhydrous AlCl<sub>3</sub>, conversion of the 1-(4'-fluorophenyl)-3-methyl-6,7-benzopyrilium salt formed into 2-(4'-fluorobenzoyl)-4,5-dimethoxybenzyl Me ketone, and reaction of the latter with H<sub>2</sub>NNH<sub>2</sub>·HCL in the presence of Et<sub>3</sub>N, to give I.

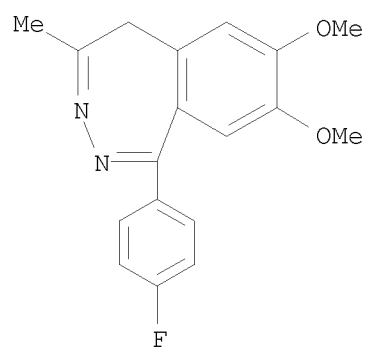
IT 88145-29-3P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation and antidepressant and tranquilizing activity of)

RN 88145-29-3 CAPLUS

CN 5H-2,3-Benzodiazepine, 1-(4-fluorophenyl)-7,8-dimethoxy-4-methyl- (CA INDEX NAME)

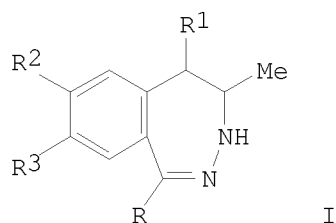
10/567,598



L22 ANSWER 36 OF 40 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1983:53947 CAPLUS  
 DOCUMENT NUMBER: 98:53947  
 ORIGINAL REFERENCE NO.: 98:8305a,8308a  
 TITLE: 3,4-Dihydro-5H-2,3-benzodiazepine derivatives  
 INVENTOR(S): Korosi, Jeno; Lang, Tibor; Andrasi, Ferenc; Szekely, Jozsef; Hamori, Tamas; Balogh, Tibor; Ila, Lajos; Goldschmidt, Katalin; Sineger, Eleonora; Moravcsik, Imre  
 PATENT ASSIGNEE(S): E. Gy. T. Gyogyszervegyeszeti Gyar, Hung.  
 SOURCE: Belg., 24 pp.  
 CODEN: BEXXAL  
 DOCUMENT TYPE: Patent  
 LANGUAGE: French  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
-----	----	-----	-----	-----
BE 892395	A1	19820908	BE 1982-10448	19820308
HU 27685	A2	19831028	HU 1981-620	19810312
HU 186760	B	19850930		
IL 65076	A	19841231	IL 1982-65076	19820222
US 4423044	A	19831227	US 1982-352346	19820225
FR 2501686	A1	19820917	FR 1982-3989	19820310
FR 2501686	B1	19850301		
DK 8201068	A	19820913	DK 1982-1068	19820311
FI 8200839	A	19820913	FI 1982-839	19820311
NO 8200798	A	19820913	NO 1982-798	19820311
SE 8201537	A	19820913	SE 1982-1537	19820311
AU 8281308	A	19820916	AU 1982-81308	19820311
AU 550388	B2	19860320		
JP 57159772	A	19821001	JP 1982-38847	19820311
NL 8201005	A	19821001	NL 1982-1005	19820311
GB 2097387	A	19821103	GB 1982-7168	19820311
GB 2097387	B	19840919		
CA 1178584	A1	19841127	CA 1982-398115	19820311
CH 648553	A5	19850329	CH 1982-1490	19820311
AT 8200969	A	19861015	AT 1982-969	19820311
AT 383120	B	19870525		
DE 3209100	A1	19821028	DE 1982-3209100	19820312
DD 204698	A5	19831207	DD 1982-238112	19820312
CS 224646	B2	19840116	CS 1982-1739	19820312
SU 1151206	A3	19850415	SU 1982-3404160	19820312
PRIORITY APPLN. INFO.:			HU 1981-620	A 19810312
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE			IN LSUS DISPLAY FORMAT	
OTHER SOURCE(S):	MARPAT 98:53947			
GI				



AB Benzodiazepines I (R = Ph, substituted Ph; R1 = H, alkyl; R2,R3 = H, alkoxy, cycloalkoxy, PhCH2O) were prepared by borohydride reduction of 3,4-didehydro derivs. of I. Thus, I (R = 3-ClC6H4, R1 = Me, R2 = R3 = OMe, II) was obtained in 85.5% yield by NaBH4 reduction of its 3,4-didehydro derivative. At 25 mg/kg orally in mice II had 3.63 times the central nervous system depressant activity of tofisopam.

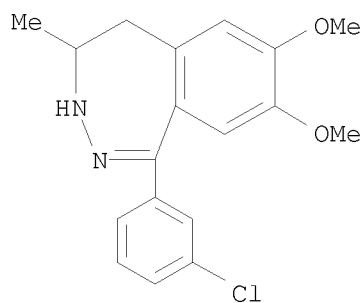
IT 84351-14-4P 84351-21-3P 84351-27-9P  
84351-29-1P 84351-30-4P

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation and central nervous system depressant activity of)

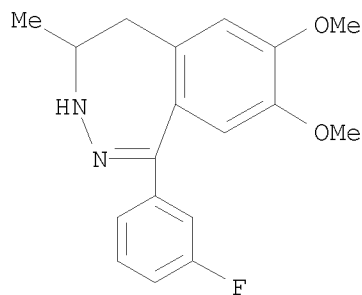
RN 84351-14-4 CAPLUS

CN 3H-2,3-Benzodiazepine, 1-(3-chlorophenyl)-4,5-dihydro-7,8-dimethoxy-4-methyl- (CA INDEX NAME)



RN 84351-21-3 CAPLUS

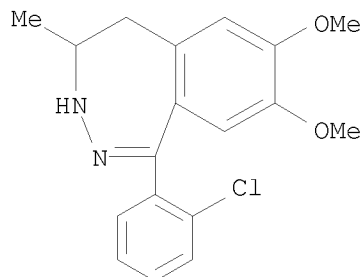
CN 3H-2,3-Benzodiazepine, 1-(3-fluorophenyl)-4,5-dihydro-7,8-dimethoxy-4-methyl- (CA INDEX NAME)



10/567,598

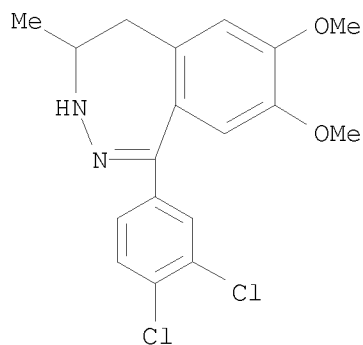
RN 84351-27-9 CAPLUS

CN 3H-2,3-Benzodiazepine, 1-(2-chlorophenyl)-4,5-dihydro-7,8-dimethoxy-4-methyl- (CA INDEX NAME)



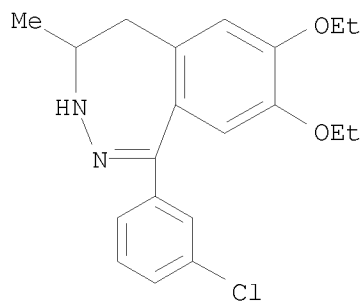
RN 84351-29-1 CAPLUS

CN 3H-2,3-Benzodiazepine, 1-(3,4-dichlorophenyl)-4,5-dihydro-7,8-dimethoxy-4-methyl- (CA INDEX NAME)



RN 84351-30-4 CAPLUS

CN 3H-2,3-Benzodiazepine, 1-(3-chlorophenyl)-7,8-diethoxy-4,5-dihydro-4-methyl- (CA INDEX NAME)



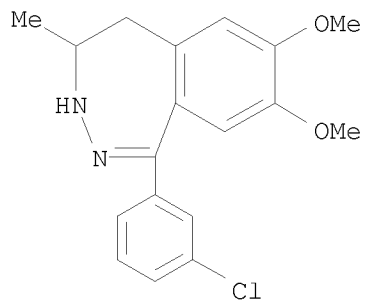
IT 84351-15-5P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

10/567,598

RN 84351-15-5 CAPLUS

CN 3H-2,3-Benzodiazepine, 1-(3-chlorophenyl)-4,5-dihydro-7,8-dimethoxy-4-methyl-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

OS.CITING REF COUNT:

4

THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD  
(4 CITINGS)



L22 ANSWER 37 OF 40 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1982:423830 CAPLUS

DOCUMENT NUMBER: 97:23830

ORIGINAL REFERENCE NO.: 97:4177a, 4180a

TITLE: 5H-2,3-Benzodiazepine derivatives

INVENTOR(S): Korosi, Jeno; Lang, Tibor; Szekely, Jozsef; Andrasi, Ferenc; Zolyomi, Gabor; Borsi, Jozsef; Goldschmidt, Katali; Hamori, Tamas; Szabo, Gabriella; et al.

PATENT ASSIGNEE(S): Hung.

SOURCE: U.S., 7 pp. Cont.-in-part of U.S. Ser. No. 86,047, abandoned.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

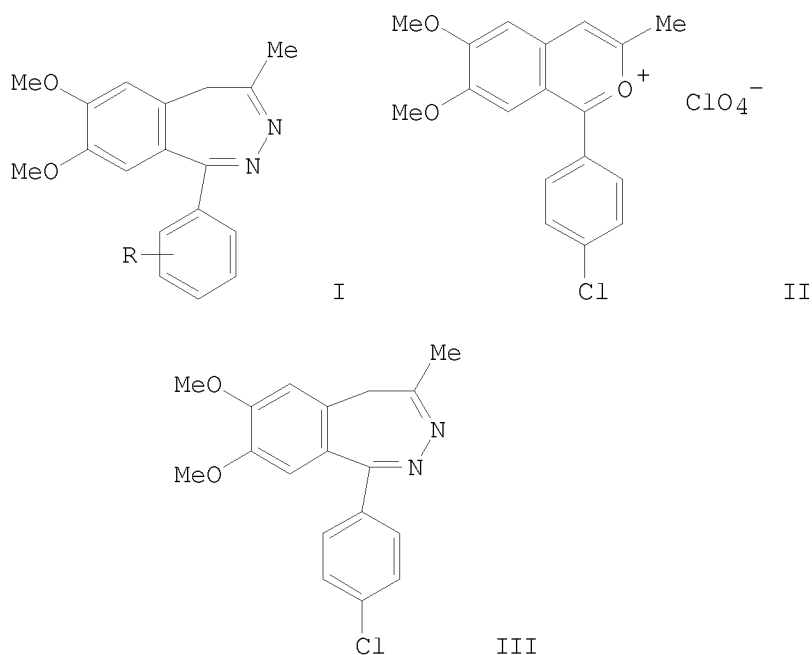
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4322346	A	19820330	US 1980-191811	19800926
HU 21372	A2	19811128	HU 1978-GO1426	19781019
HU 179018	B	19820828		

PRIORITY APPLN. INFO.:	HU 1978-GO1426	A	19781019
	US 1979-86047	A2	19791018

OTHER SOURCE(S): MARPAT 97:23830

GI

AB Benzodiazepines I (R = halogen, CF<sub>3</sub>) were prepared Thus, treatment of

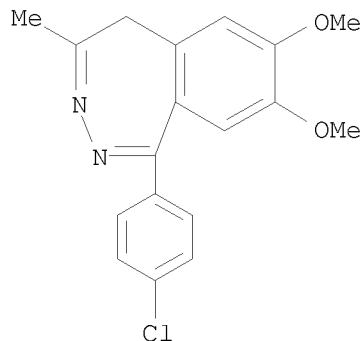
pyrylium salt II with N<sub>2</sub>H<sub>4</sub> gave 72.5% III. I (R = 3-Cl) had a tranquilizer activity ED<sub>50</sub> in the mouse fighting test of 16 mg/kg orally.

IT 75113-85-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)  
(preparation and alkylation of)

RN 75113-85-8 CAPLUS

CN 5H-2,3-Benzodiazepine, 1-(4-chlorophenyl)-7,8-dimethoxy-4-methyl- (CA INDEX NAME)

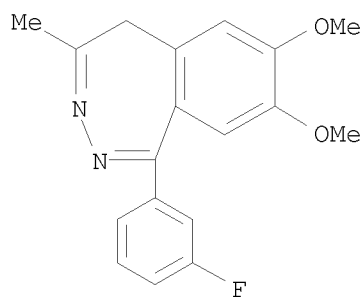


IT 82230-56-6P 82230-58-8P 82230-59-9P  
82230-60-2P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation and tranquilizing activity of)

RN 82230-56-6 CAPLUS

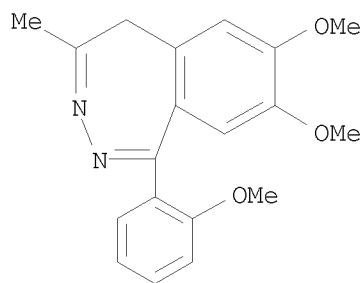
CN 5H-2,3-Benzodiazepine, 1-(3-fluorophenyl)-7,8-dimethoxy-4-methyl- (CA INDEX NAME)



RN 82230-58-8 CAPLUS

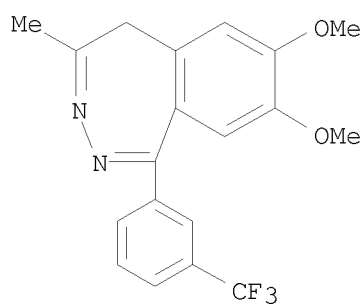
CN 5H-2,3-Benzodiazepine, 7,8-dimethoxy-1-(2-methoxyphenyl)-4-methyl- (CA INDEX NAME)

10/567,598



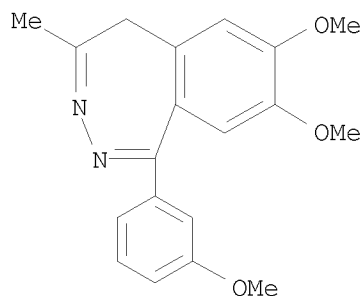
RN 82230-59-9 CAPLUS

CN 5H-2,3-Benzodiazepine, 7,8-dimethoxy-4-methyl-1-[3-(trifluoromethyl)phenyl]- (CA INDEX NAME)



RN 82230-60-2 CAPLUS

CN 5H-2,3-Benzodiazepine, 7,8-dimethoxy-1-(3-methoxyphenyl)-4-methyl- (CA INDEX NAME)



IT 75114-07-7P 75114-10-2P 75114-14-6P

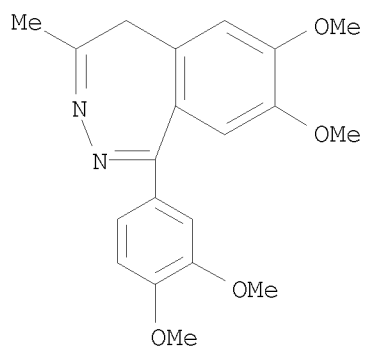
82230-54-4P 82230-61-3P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

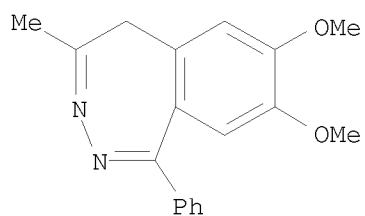
RN 75114-07-7 CAPLUS

CN 5H-2,3-Benzodiazepine, 1-(3,4-dimethoxyphenyl)-7,8-dimethoxy-4-methyl-  
(CA INDEX NAME)

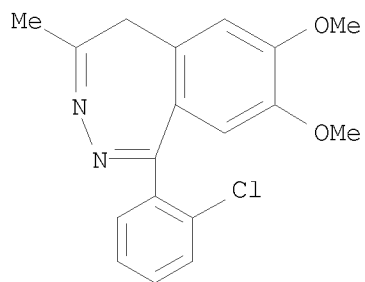
10/567,598



RN 75114-10-2 CAPLUS  
CN 5H-2,3-Benzodiazepine, 7,8-dimethoxy-4-methyl-1-phenyl- (CA INDEX NAME)



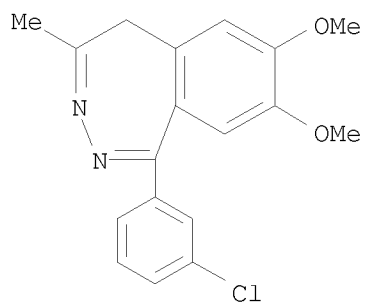
RN 75114-14-6 CAPLUS  
CN 5H-2,3-Benzodiazepine, 1-(2-chlorophenyl)-7,8-dimethoxy-4-methyl-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

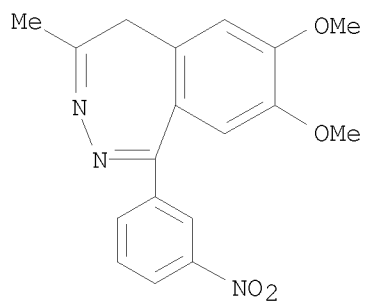
RN 82230-54-4 CAPLUS  
CN 5H-2,3-Benzodiazepine, 1-(3-chlorophenyl)-7,8-dimethoxy-4-methyl-, hydrochloride (1:1) (CA INDEX NAME)

10/567,598



● HCl

RN 82230-61-3 CAPLUS  
CN 5H-2,3-Benzodiazepine, 7,8-dimethoxy-4-methyl-1-(3-nitrophenyl)- (CA  
INDEX NAME)

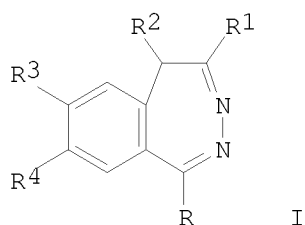


OS.CITING REF COUNT: 8 THERE ARE 8 CAPLUS RECORDS THAT CITE THIS RECORD  
(8 CITINGS)  
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS  
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L22 ANSWER 38 OF 40 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1981:103443 CAPLUS  
 DOCUMENT NUMBER: 94:103443  
 ORIGINAL REFERENCE NO.: 94:16891a,16894a  
 TITLE: Benzodiazepines  
 INVENTOR(S): Korosi, Jeno; Lang, Tibor; Szekely, Jozsef; Anrasi, Ferenc; Zolyomi, Gabor; Borsy, Jozsef; Goldschmidt, Katalin; Hamori, Tames; Szabo, Gabriella; et al.  
 PATENT ASSIGNEE(S): E. Gy. T. Gyogyszervegyeszeti Gyar, Hung.  
 SOURCE: Brit. UK Pat. Appl., 14 pp.  
 CODEN: BAXXDU  
 DOCUMENT TYPE: Patent  
 LANGUAGE: English  
 FAMILY ACC. NUM. COUNT: 1  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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GB 2034706	A	19800611	GB 1979-36185	19791018
GB 2034706	B	19820804		
PRIORITY APPLN. INFO.: GI			HU 1978-G1426	A 19781019



AB Benzodiazepines I (R = H, C1-5 alkyl, dialkylaminoalkyl, NH<sub>2</sub>, alkylamino, dialkylamino, styryl, optionally substituted aralkyl or aryl, heterocyclyl containing 1-2 N, O, and/or S atoms; R<sub>1</sub> = H, C1-4 alkyl, CH<sub>2</sub>OH, CHO, CO<sub>2</sub>H, alkoxy carbonyl, heterocyclyl; R<sub>2</sub> = H, C1-4 alkyl, dialkylaminoalkyl, alkylamino, dialkylamino, aryl; R<sub>3</sub>, R<sub>4</sub> = H, halo, NO<sub>2</sub>, NH<sub>2</sub>, acyloxy, C1-3 alkyl, C1-5 alkoxy, dialkylaminoalkoxy, aralkoxy or R<sub>3</sub>R<sub>4</sub> = methylenedioxy or carbonic acid residue) were prepared I have significant effects on the central nervous system, decreasing spontaneous motor activity and potentiating the effect of narcotics (assessed in mice). E.g., I (R = C<sub>6</sub>H<sub>4</sub>Cl-4, R<sub>1</sub> = Me, R<sub>2</sub> = H, R<sub>3</sub> = R<sub>4</sub> = OMe) was prepared by cyclocondensation of 1-(4-chlorophenyl)-3-methyl-6,7-dimethoxy-2-benzopyrylium perchlorate with N<sub>2</sub>H<sub>4</sub>.H<sub>2</sub>O (MeOH, reflux).

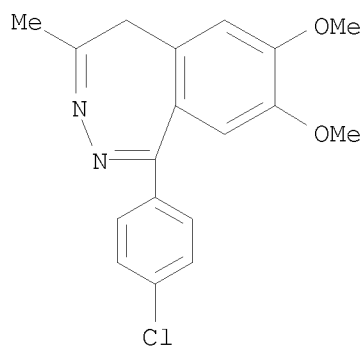
IT 75113-85-8P 75113-89-2P 75113-91-6P  
 75114-07-7P 75114-10-2P 75114-12-4P  
 75114-13-5P 75114-14-6P 75114-16-8P  
 75114-26-0P 75114-27-1P 75114-28-2P

RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of, as motor activity suppressant and narcotic potentiator)

RN 75113-85-8 CAPLUS

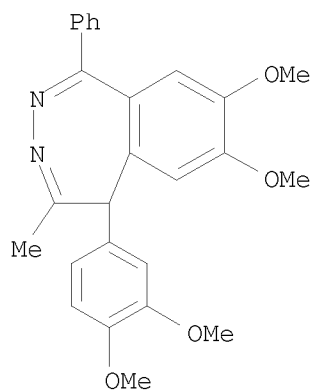
CN 5H-2,3-Benzodiazepine, 1-(4-chlorophenyl)-7,8-dimethoxy-4-methyl- (CA  
 INDEX NAME)

10/567,598



RN 75113-89-2 CAPLUS

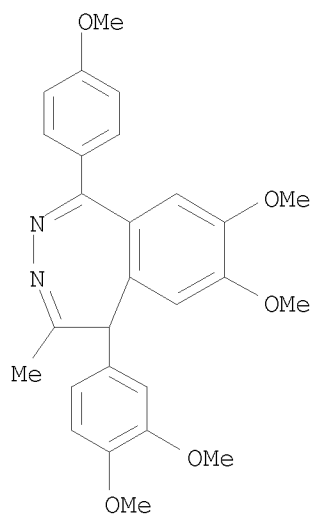
CN 5H-2,3-Benzodiazepine, 5-(3,4-dimethoxyphenyl)-7,8-dimethoxy-4-methyl-1-phenyl- (CA INDEX NAME)



RN 75113-91-6 CAPLUS

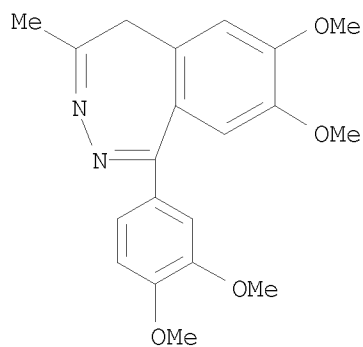
CN 5H-2,3-Benzodiazepine, 5-(3,4-dimethoxyphenyl)-7,8-dimethoxy-1-(4-methoxyphenyl)-4-methyl- (CA INDEX NAME)

10/567,598



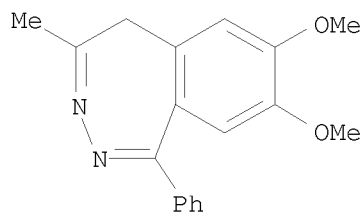
RN 75114-07-7 CAPLUS

CN 5H-2,3-Benzodiazepine, 1-(3,4-dimethoxyphenyl)-7,8-dimethoxy-4-methyl-  
(CA INDEX NAME)



RN 75114-10-2 CAPLUS

CN 5H-2,3-Benzodiazepine, 7,8-dimethoxy-4-methyl-1-phenyl- (CA INDEX NAME)

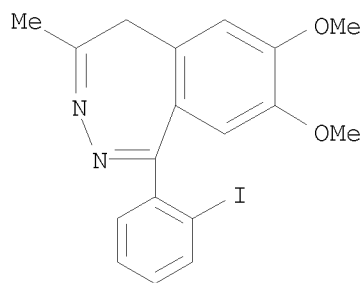


RN 75114-12-4 CAPLUS

CN 5H-2,3-Benzodiazepine, 1-(2-iodophenyl)-7,8-dimethoxy-4-methyl- (CA INDEX  
NAME)

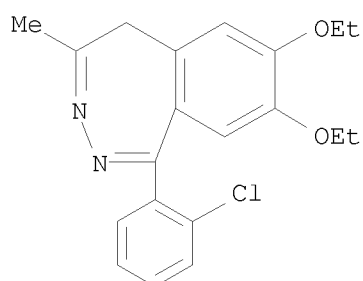


10/567,598



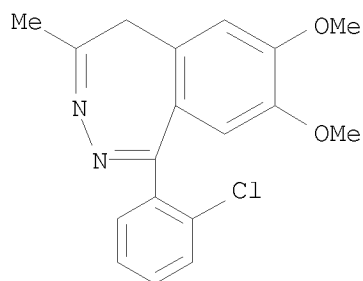
RN 75114-13-5 CAPLUS

CN 5H-2,3-Benzodiazepine, 1-(2-chlorophenyl)-7,8-diethoxy-4-methyl- (CA INDEX NAME)



RN 75114-14-6 CAPLUS

CN 5H-2,3-Benzodiazepine, 1-(2-chlorophenyl)-7,8-dimethoxy-4-methyl-, hydrochloride (1:1) (CA INDEX NAME)

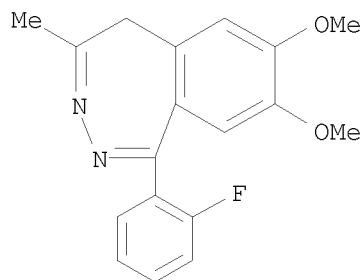


● HCl

RN 75114-16-8 CAPLUS

CN 5H-2,3-Benzodiazepine, 1-(2-fluorophenyl)-7,8-dimethoxy-4-methyl-, hydrochloride (1:1) (CA INDEX NAME)

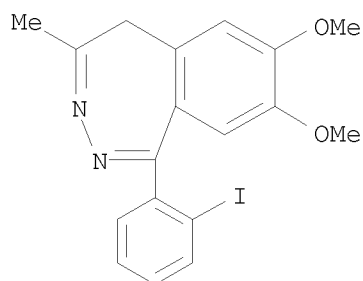
10/567,598



● HCl

RN 75114-26-0 CAPLUS

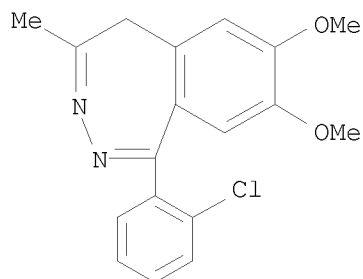
CN 5H-2,3-Benzodiazepine, 1-(2-iodophenyl)-7,8-dimethoxy-4-methyl-,  
hydrochloride (1:1) (CA INDEX NAME)



● HCl

RN 75114-27-1 CAPLUS

CN 5H-2,3-Benzodiazepine, 1-(2-chlorophenyl)-7,8-dimethoxy-4-methyl- (CA  
INDEX NAME)

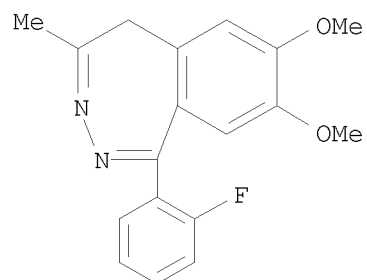


RN 75114-28-2 CAPLUS

CN 5H-2,3-Benzodiazepine, 1-(2-fluorophenyl)-7,8-dimethoxy-4-methyl- (CA

10/567,598

INDEX NAME)

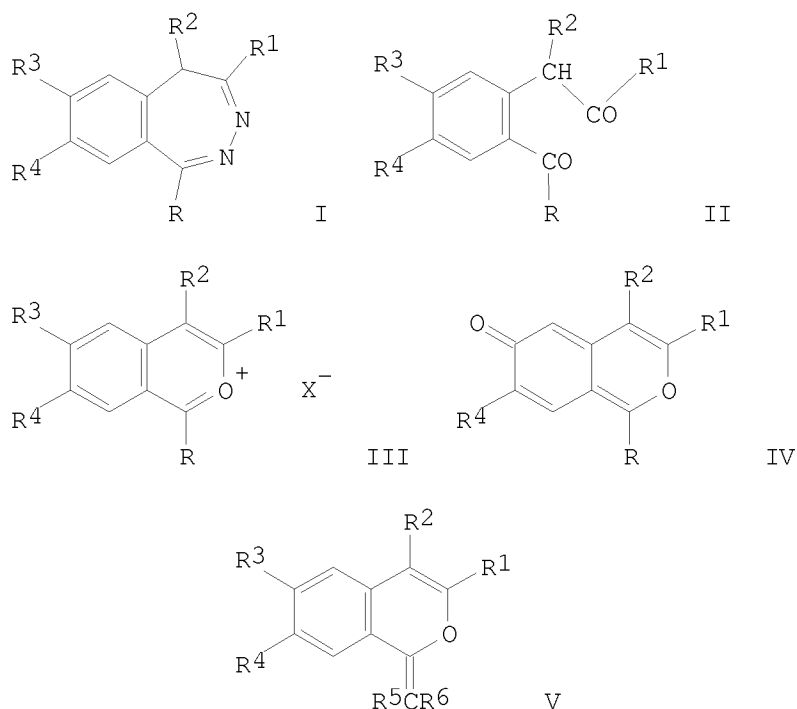


OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD  
(2 CITINGS)

L22 ANSWER 39 OF 40 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1981:65733 CAPLUS  
 DOCUMENT NUMBER: 94:65733  
 ORIGINAL REFERENCE NO.: 94:10721a,10724a  
 TITLE: Benzodiazepines  
 PATENT ASSIGNEE(S): E. Gy. T. Gyogyszervegyeszeti Gyar, Hung.  
 SOURCE: Jpn. Kokai Tokkyo Koho, 15 pp.  
 CODEN: JKXXAF  
 DOCUMENT TYPE: Patent  
 LANGUAGE: Japanese  
 FAMILY ACC. NUM. COUNT: 3  
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 55092377	A	19800712	JP 1979-134718	19791018
JP 63050354	B	19881007		
HU 21372	A2	19811128	HU 1978-GO1426	19781019
HU 179018	B	19820828		
AT 7906472	A	19830615	AT 1979-6472	19791004
AT 373589	B	19840210		
SE 7908481	A	19800420	SE 1979-8481	19791012
SE 439919	B	19850708		
SE 439919	C	19851017		
BE 879404	A1	19800415	BE 1979-9569	19791015
FI 7903209	A	19800420	FI 1979-3209	19791016
FI 66604	B	19840731		
FI 66604	C	19841112		
FR 2439189	A1	19800516	FR 1979-25698	19791016
FR 2439189	B1	19841130		
AU 532079	B2	19830915	AU 1979-51817	19791016
CH 643835	A5	19840629	CH 1979-9292	19791016
CS 236456	B2	19850515	CS 1979-7020	19791016
DD 146596	A5	19810218	DD 1979-216290	19791017
DK 7904401	A	19800420	DK 1979-4401	19791018
DK 155327	B	19890328		
DK 155327	C	19890821		
NL 7907692	A	19800422	NL 1979-7692	19791018
NL 190552	B	19931116		
NL 190552	C	19940418		
NO 7903349	A	19800422	NO 1979-3349	19791018
NO 152048	B	19850415		
NO 152048	C	19850724		
CA 1125749	A1	19820615	CA 1979-337955	19791018
PL 124063	B1	19821231	PL 1979-219034	19791018
SU 1402258	A3	19880607	SU 1979-2832177	19791018
PRIORITY APPLN. INFO.: GI			HU 1978-GO1426	A 19781019



AB 5H-2,3-Benzodiazepines I [R = H, alkyl, (substituted) amino, halo, OH, acyloxy, NO<sub>2</sub>, etc.; R<sub>1</sub> = H, alkyl, CHO, CO<sub>2</sub>N, aryl, aralkoxy; R<sub>2</sub> = H, alkyl, (substituted) amino, aryl; R<sub>3</sub>, R<sub>4</sub> = H, halo, NO<sub>2</sub>, OH, NH<sub>2</sub>, alkoxy, aryl, etc.] were prepared by cyclization of N<sub>2</sub>H<sub>4</sub> with II, III, IV, or V [X = anion, R<sub>5</sub>, R<sub>6</sub> = H, alkyl, halo, OH, (substituted) amino, acyloxy, NO<sub>2</sub>, etc.]. I are enhancers of anesthetics. Thus, heating III (R = 4-ClC<sub>6</sub>H<sub>4</sub>, R<sub>1</sub> = Me, R<sub>2</sub> = H, R<sub>3</sub> = R<sub>4</sub> = MeO, X = ClO<sub>4</sub>) with N<sub>2</sub>H<sub>4</sub> in MeOH to boil gave 72.5% corresponding I.

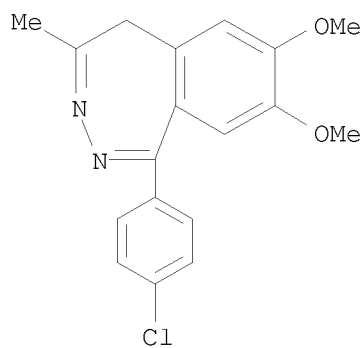
IT 75113-85-8P      75113-89-2P      75113-91-6P  
 75114-07-7P      75114-10-2P      75114-12-4P  
 75114-13-5P      75114-14-6P      75114-16-8P  
 75114-26-0P

RL: SPN (Synthetic preparation); PREP (Preparation)  
 (preparation of)

RN 75113-85-8 CAPLUS

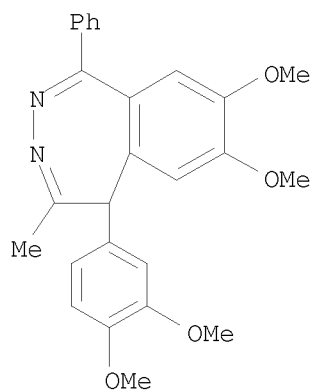
CN 5H-2,3-Benzodiazepine, 1-(4-chlorophenyl)-7,8-dimethoxy-4-methyl- (CA  
 INDEX NAME)

10/567,598



RN 75113-89-2 CAPLUS

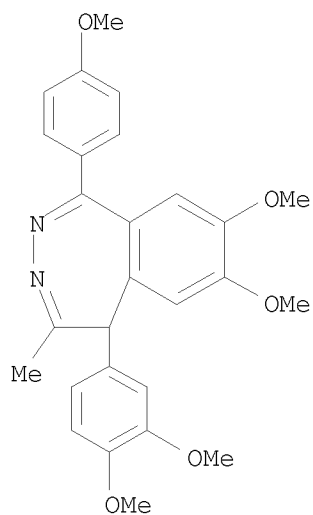
CN 5H-2,3-Benzodiazepine, 5-(3,4-dimethoxyphenyl)-7,8-dimethoxy-4-methyl-1-phenyl- (CA INDEX NAME)



RN 75113-91-6 CAPLUS

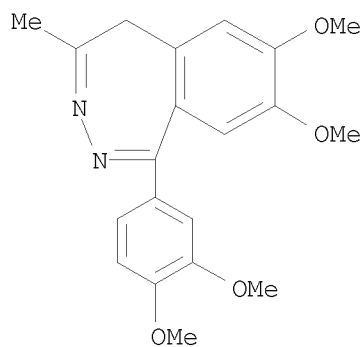
CN 5H-2,3-Benzodiazepine, 5-(3,4-dimethoxyphenyl)-7,8-dimethoxy-1-(4-methoxyphenyl)-4-methyl- (CA INDEX NAME)

10/567,598



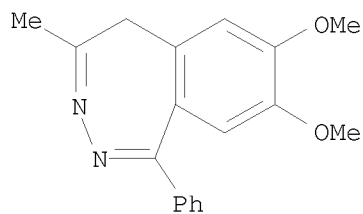
RN 75114-07-7 CAPLUS

CN 5H-2,3-Benzodiazepine, 1-(3,4-dimethoxyphenyl)-7,8-dimethoxy-4-methyl-  
(CA INDEX NAME)



RN 75114-10-2 CAPLUS

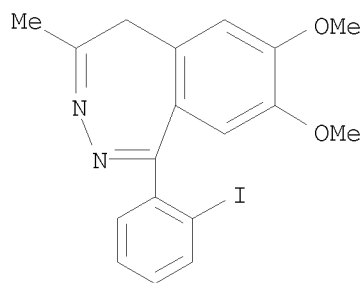
CN 5H-2,3-Benzodiazepine, 7,8-dimethoxy-4-methyl-1-phenyl- (CA INDEX NAME)



RN 75114-12-4 CAPLUS

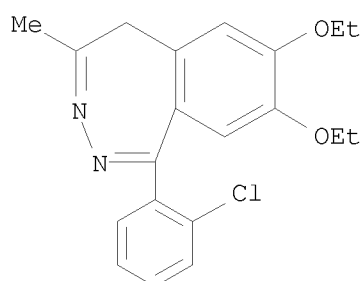
CN 5H-2,3-Benzodiazepine, 1-(2-iodophenyl)-7,8-dimethoxy-4-methyl- (CA INDEX  
NAME)

10/567,598



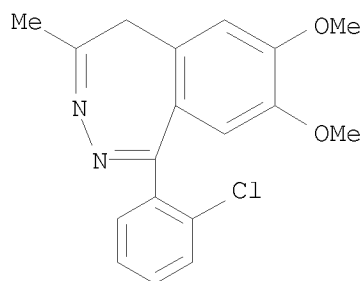
RN 75114-13-5 CAPLUS

CN 5H-2,3-Benzodiazepine, 1-(2-chlorophenyl)-7,8-diethoxy-4-methyl- (CA INDEX NAME)



RN 75114-14-6 CAPLUS

CN 5H-2,3-Benzodiazepine, 1-(2-chlorophenyl)-7,8-dimethoxy-4-methyl-, hydrochloride (1:1) (CA INDEX NAME)



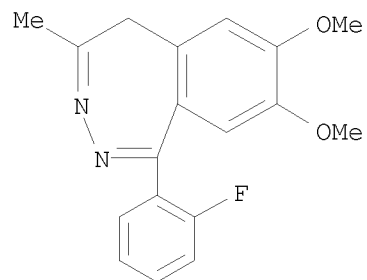
● HCl

RN 75114-16-8 CAPLUS

CN 5H-2,3-Benzodiazepine, 1-(2-fluorophenyl)-7,8-dimethoxy-4-methyl-, hydrochloride (1:1) (CA INDEX NAME)



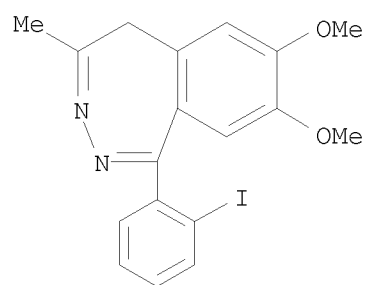
10/567,598



● HCl

RN 75114-26-0 CAPLUS

CN 5H-2,3-Benzodiazepine, 1-(2-iodophenyl)-7,8-dimethoxy-4-methyl-,  
hydrochloride (1:1) (CA INDEX NAME)



● HCl

L22 ANSWER 40 OF 40 CAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 1980:568318 CAPLUS

DOCUMENT NUMBER: 93:168318

ORIGINAL REFERENCE NO.: 93:26814h,26815a

TITLE: 5H-2,3-Benzodiazepine derivatives and their  
pharmaceutical useINVENTOR(S): Korosi, Jeno; Lang, Tibor; Szekely, Jozsef; Andrasi,  
Ferenc; Zolyomi, Gabor; Borsy, Jozsef; Goldschmidt,  
Katalin; Hamori, Tamas; Szabo, Gabriella; et al.

PATENT ASSIGNEE(S): E. Gy. T. Gyogyszervegyeszeti Gyar, Hung.

SOURCE: Ger. Offen., 78 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent

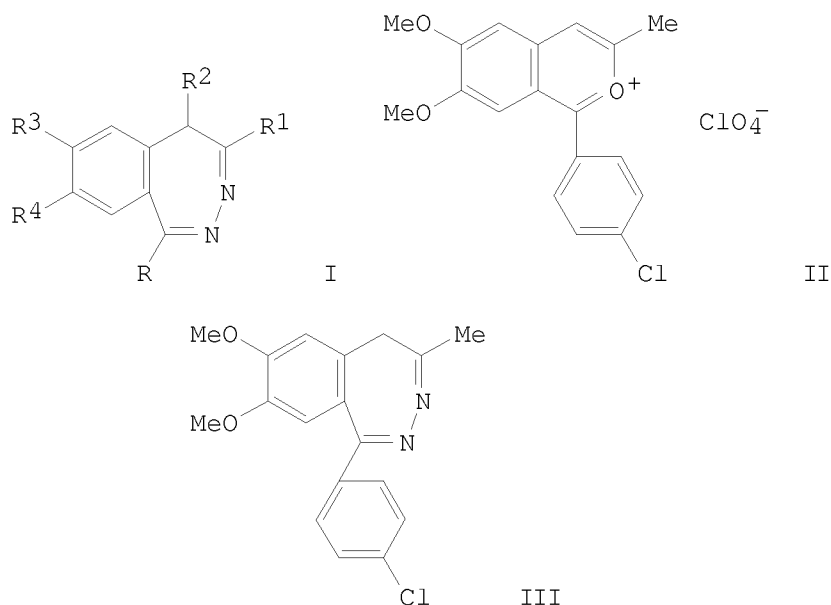
LANGUAGE: German

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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DE 2940483	A1	19800430	DE 1979-2940483	19791005
DE 2940483	C2	19890622		
HU 21372	A2	19811128	HU 1978-GO1426	19781019
HU 179018	B	19820828		
AT 7906472	A	19830615	AT 1979-6472	19791004
AT 373589	B	19840210		
SE 7908481	A	19800420	SE 1979-8481	19791012
SE 439919	B	19850708		
SE 439919	C	19851017		
BE 879404	A1	19800415	BE 1979-9569	19791015
FI 7903209	A	19800420	FI 1979-3209	19791016
FI 66604	B	19840731		
FI 66604	C	19841112		
FR 2439189	A1	19800516	FR 1979-25698	19791016
FR 2439189	B1	19841130		
AU 532079	B2	19830915	AU 1979-51817	19791016
CH 643835	A5	19840629	CH 1979-9292	19791016
CS 236456	B2	19850515	CS 1979-7020	19791016
DD 146596	A5	19810218	DD 1979-216290	19791017
DK 7904401	A	19800420	DK 1979-4401	19791018
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NL 190552	B	19931116		
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NO 7903349	A	19800422	NO 1979-3349	19791018
NO 152048	B	19850415		
NO 152048	C	19850724		
CA 1125749	A1	19820615	CA 1979-337955	19791018
PL 124063	B1	19821231	PL 1979-219034	19791018
SU 1402258	A3	19880607	SU 1979-2832177	19791018
PRIORITY APPLN. INFO.:			HU 1978-GO1426	A 19781019

GI



AB Benzodiazepines I [R = H, C1-5 alkyl, alkylamino, dialkylaminoalkyl, dialkylamino (optionally substituted), styryl, C7-10 phenylalkyl, O-, N-, or S-heterocyclyl; R1 = H, C1-4 alkyl, CH<sub>2</sub>OH, CHO, CO<sub>2</sub>H, carbalkoxy, optionally substituted acyl or aryl; R2 = H, optionally substituted acyl, aralkoxy, C1-4 alkyl, dialkylaminoalkyl or (di)alkylamino; R<sub>3</sub>R<sub>4</sub> = OCH<sub>2</sub>O, carbonic acid moiety; R<sub>3</sub>, R<sub>4</sub> independently = H, halo, NO<sub>2</sub>, NH<sub>2</sub>, OH, acyloxy, C1-3 alkyl optionally substituted with dialkylamino, carbalkoxy, C1-5 alkoxy, dialkylaminoalkyl, halo (un)substituted aralkoxy], useful as central nervous system depressants and narcotics potentiators (data tabulated), were prepared by several methods. Thus, treating NH<sub>2</sub>NH<sub>2</sub>.H<sub>2</sub>O with benzopyrylium salt II suspended in boiling MeOH gave 70.8% recrystd. benzodiazepine III. I (R = Ph, R<sub>1</sub> = Me, R<sub>2</sub> = Et, R<sub>3</sub> = R<sub>4</sub> = MeO) had ED<sub>50</sub> 35 mg/kg (mice) in inhibiting aggressiveness and gave 181% increase at 25 mg/kg (mice) in potentiation of hexobarbital Na.

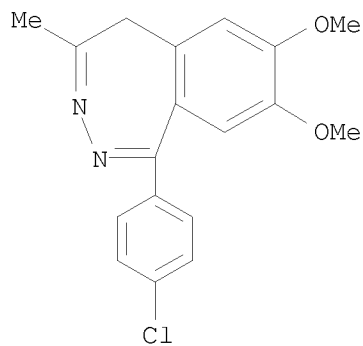
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	75114-07-7P	75114-10-2P	75114-12-4P
	75114-13-5P	75114-14-6P	75114-16-8P
	75114-26-0P	75114-27-1P	75114-28-2P

RL: SPN (Synthetic preparation); PREP (Preparation)  
(preparation of)

RN 75113-85-8 CAPLUS

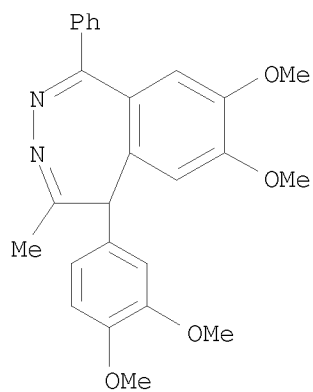
CN	5H-2,3-Benzodiazepine, 1-(4-chlorophenyl)-7,8-dimethoxy-4-methyl- (CA INDEX NAME)	(CA INDEX NAME)
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RN 75113-89-2 CAPLUS

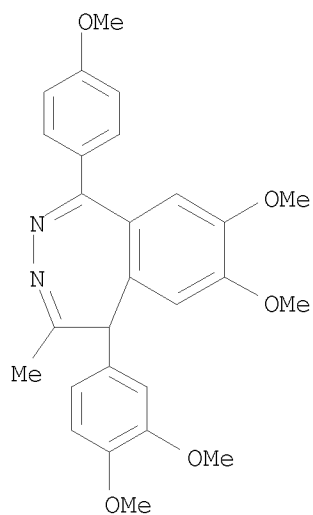
CN 5H-2,3-Benzodiazepine, 5-(3,4-dimethoxyphenyl)-7,8-dimethoxy-4-methyl-1-phenyl- (CA INDEX NAME)



RN 75113-91-6 CAPLUS

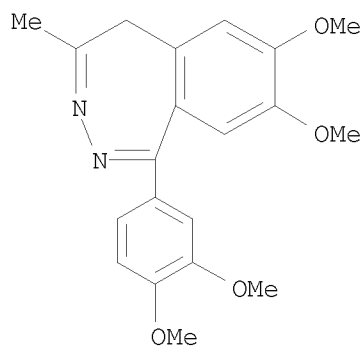
CN 5H-2,3-Benzodiazepine, 5-(3,4-dimethoxyphenyl)-7,8-dimethoxy-1-(4-methoxyphenyl)-4-methyl- (CA INDEX NAME)

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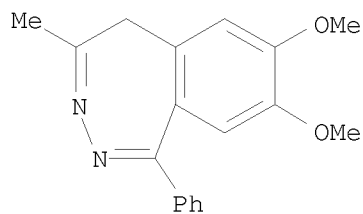
RN 75114-07-7 CAPLUS

CN 5H-2,3-Benzodiazepine, 1-(3,4-dimethoxyphenyl)-7,8-dimethoxy-4-methyl-  
(CA INDEX NAME)



RN 75114-10-2 CAPLUS

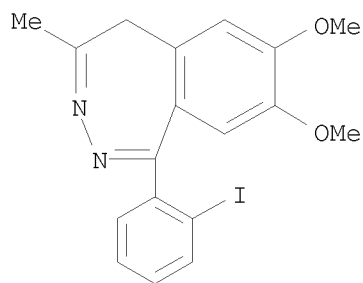
CN 5H-2,3-Benzodiazepine, 7,8-dimethoxy-4-methyl-1-phenyl- (CA INDEX NAME)



RN 75114-12-4 CAPLUS

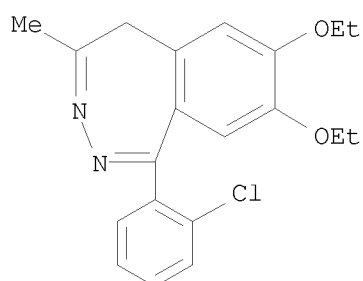
CN 5H-2,3-Benzodiazepine, 1-(2-iodophenyl)-7,8-dimethoxy-4-methyl- (CA INDEX  
NAME)

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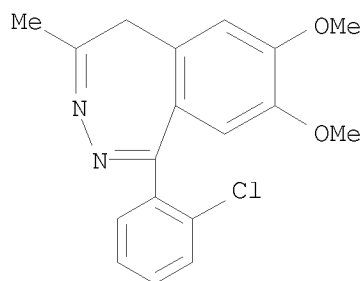
RN 75114-13-5 CAPLUS

CN 5H-2,3-Benzodiazepine, 1-(2-chlorophenyl)-7,8-diethoxy-4-methyl- (CA INDEX NAME)



RN 75114-14-6 CAPLUS

CN 5H-2,3-Benzodiazepine, 1-(2-chlorophenyl)-7,8-dimethoxy-4-methyl-, hydrochloride (1:1) (CA INDEX NAME)

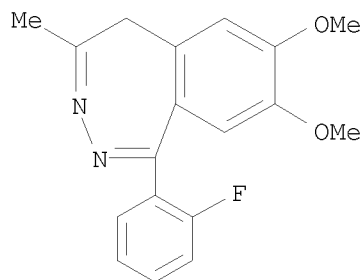


● HCl

RN 75114-16-8 CAPLUS

CN 5H-2,3-Benzodiazepine, 1-(2-fluorophenyl)-7,8-dimethoxy-4-methyl-, hydrochloride (1:1) (CA INDEX NAME)

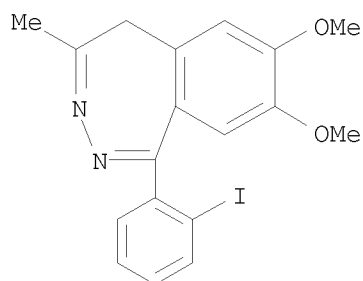
10/567,598



● HCl

RN 75114-26-0 CAPLUS

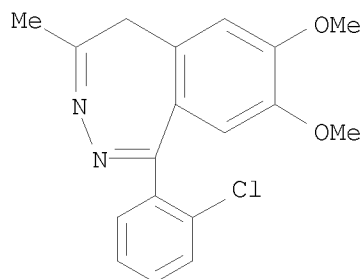
CN 5H-2,3-Benzodiazepine, 1-(2-iodophenyl)-7,8-dimethoxy-4-methyl-,  
hydrochloride (1:1) (CA INDEX NAME)



● HCl

RN 75114-27-1 CAPLUS

CN 5H-2,3-Benzodiazepine, 1-(2-chlorophenyl)-7,8-dimethoxy-4-methyl- (CA  
INDEX NAME)

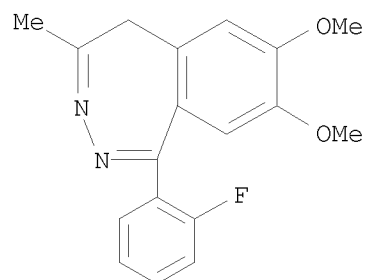


RN 75114-28-2 CAPLUS

CN 5H-2,3-Benzodiazepine, 1-(2-fluorophenyl)-7,8-dimethoxy-4-methyl- (CA

10/567,598

INDEX NAME)



OS.CITING REF COUNT:

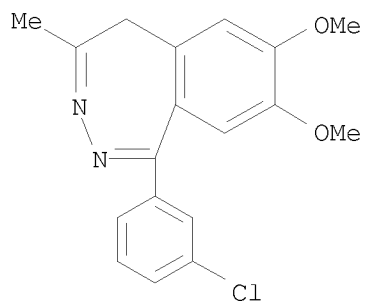
5

THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD  
(6 CITINGS)



10/567,598

L18 1 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN  
IN 5H-2,3-Benzodiazepine, 1-(3-chlorophenyl)-7,8-dimethoxy-4-methyl-  
MF C18 H17 Cl N2 O2  
CI COM

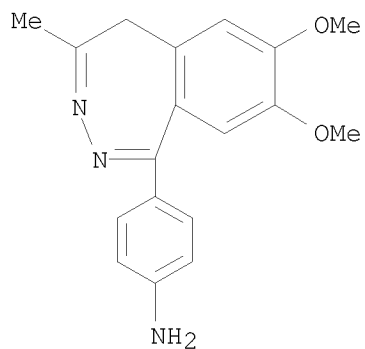


\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

ALL ANSWERS HAVE BEEN SCANNED

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L19 1 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN  
IN Benzenamine, 4-(7,8-dimethoxy-4-methyl-5H-2,3-benzodiazepin-1-yl)-  
MF C18 H19 N3 O2  
CI COM

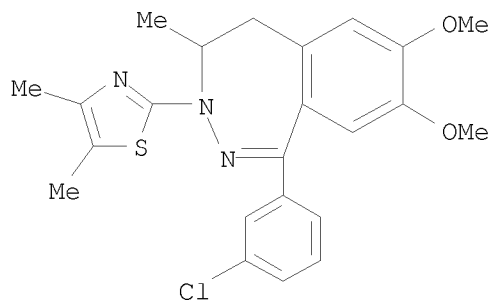


\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

ALL ANSWERS HAVE BEEN SCANNED

10/567,598

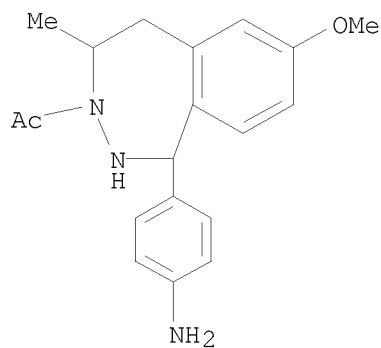
L15 ANSWER 1 OF 2 REGISTRY COPYRIGHT 2010 ACS on STN  
RN 1071287-42-7 REGISTRY  
ED Entered STN: 09 Nov 2008  
CN 3H-2,3-Benzodiazepine, 1-(3-chlorophenyl)-3-(4,5-dimethyl-2-thiazolyl)-4,5-dihydro-7,8-dimethoxy-4-methyl- (CA INDEX NAME)  
MF C23 H24 Cl N3 O2 S  
CI COM  
SR CA



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*

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L15 ANSWER 2 OF 2 REGISTRY COPYRIGHT 2010 ACS on STN  
RN 1028255-11-9 REGISTRY  
ED Entered STN: 15 Jun 2008  
CN Ethanone, 1-[1-(4-aminophenyl)-1,2,4,5-tetrahydro-7-methoxy-4-methyl-3H-  
2,3-benzodiazepin-3-yl]- (CA INDEX NAME)  
MF C19 H23 N3 O2  
SR Other Sources  
Database: ChemSpider (ChemZoo, Inc.)



\*\*PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT\*\*